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$$\begin{array}{c|c}
z\\
R^1-X-A-N\\
R^2\\
R^4
\end{array}$$
(I)

(57) Abstract

Arthropods are controlled in agronomic and nonagronomic environments by contacting them or their environment with an effective amount of a compound of formula (I), wherein R1 to R4, X, A, and Z are as defined in the text, including arthropodicidal compositions containing said compound(s).

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TITLE

ARTHROPODICIDAL NITROETHYLENES AND NITROGUANIDINES BACKGROUND OF THE INVENTION

Field of the Invention

This invention concerns nitroethylene and nitroguanidine compositions and a method for using the nitroethylene and nitroguanidine compounds of Formula I to control arthropods in agronomic and nonagronomic environments.

State of the Art

Insecticidal nitroethylene compounds are disclosed in EPA 302,389 and EPA 302,833. Insecticidal alkylenediamines are disclosed in U.S. 4,025,529 and U.S. 4,806,553. Heterocyclic alkylenediamine insecticides are disclosed in EPA 254,859. Insecticidal 1-nitro-2,2-diaminoethylenes are disclosed in AU 88/20510. U.K. 1,483,633 discloses 2-(nitromethylene)-1,3-diazocycloalkanes as insecticides.

SUMMARY OF THE INVENTION

The invention pertains to use of compounds of Formula I, including all geometric and stereoisomers, agriculturally suitable salts thereof, and agricultural compositions containing them, for the control of planthoppers and leafhoppers. The compounds are:

 $\begin{array}{c|c}
\mathbf{Z} \\
\mathbf{R}^{1}-\mathbf{X}-\mathbf{A}-\mathbf{N} \\
\mathbf{R}^{2} \\
\mathbf{R}^{4}
\end{array}$

30 wherein:

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Z is selected from the group CHNO₂ and NNO₂; X is selected from $S(O)_n$;

	A is selected from the group C_1 - C_4 alkylene optionally
	substituted with C_1 - C_3 alkyl, C_2 - C_3 alkoxycarbonyl, halogen
	and CN;
5	R^1 is selected from the group C_1 - C_4 alkyl, C_1 - C_4 haloalkyl,
	C ₃ -C ₆ cycloalkyl and C ₄ -C ₆ cycloalkylalkyl;
	n is 0, 1 or 2;
	$ m R^2$ and $ m R^3$ are independently selected from the group H, CH ₂ CN,
	C_1 - C_4 alkyl, CHO, C_2 - C_4 alkylcarbonyl, C_2 - C_3
10	alkoxycarbonyl C2-C4 alkoxyalkyl, C3-C6 dialkoxyalkyl,
	C_1 - C_3 alkoxy, C_1 - C_3 alkylsulfonyl, C_3 - C_4 alkenyl, C_3 - C_4
	alkynyl, C_1 - C_4 alkylamino, C_2 - C_4 dialkylamino and benzyl
	substituted with \mathbb{R}^5 ;
	R^4 is selected from the group C_1 - C_4 alkyl, C_1 - C_4 haloalkyl,
15	C3-C6 cycloalkyl and C4-C6 cycloalkylalkyl; or
	$ m R^2$ and $ m R^4$ can be taken together as $ m C_2$ - $ m C_3$ alkylene or $ m C_2$ - $ m C_3$
	alkenylene each optionally substituted with 1-4 C_1 - C_2 alkyl;
	and
90	$ m R^{5}$ is selected from the group halogen, $ m C_{1} ext{-}C_{2}$ alkyl, $ m C_{1} ext{-}C_{2}$
20	haloalkyl, C ₁₋ C ₂ alkoxy, C ₁ -C ₂ thioalkyl, C ₁ -C ₂
	halothioalkyl, C ₁ -C ₂ haloalkoxy, NO ₂ and CN.

Preferred Method A for controlling plant and leaf hoppers comprises use of compounds of Formula I wherein Z is CHNO₂.

Preferred Method B employs compounds of Formula I wherein Z is NNO₂. Preferred Method C employs compounds A wherein:

A is CH₂CH₂;

R¹ is selected from the group C₁-C₄ alkyl;

 R^2 and R^3 are independently selected from the group H, C_1 - C_4 alkyl, C_2 - C_3 alkoxycarbonyl and C_2 - C_4 alkylcarbonyl; and R^4 is selected from the group C_1 - C_4 alkyl.

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Preferred M thod D employs compounds A wherein:
R² and R⁴ are taken together and independ ntly s lected from
the group C₂-C₃ alkylene and C₂-C₃ alkenylene, each
optionally substituted by 1-4 C₁-C₄ alkyl.

Preferred Method E employs compounds C wherein X is S. Preferred Method F employs compounds D wherein X is S.

This invention also concerns novel arthropodicidal compositions comprising an effective amount of a compound of Formula I and a carrier therefor which is effective to deliver the compound to agronomic and nonagronomic arthropods, particularly planthoppers and leafhoppers, and their environment so that said arthropods are controlled.

In the above definitions, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl", denotes straight chain or branched alkyl such as methyl, ethyl, n-propyl, isopropyl or the different butyl isomers. Alkoxy denotes methoxy, ethoxy, n-propyloxy and isopropyloxy. Alkenyl denotes straight chain or branched alkenes such as vinyl, 1-propenyl, 2-propenyl, 3-propenyl and the different butenyl isomers. Alkynyl denotes straight or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl isomers. Alkylthio denotes methylthio, ethylthio and the different propylthio and butylthio isomers. Alkylsulfonyl and alkylamino are defined analogously to the above examples. Cycloalkyl denotes cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "halogen", either alone or in compound words as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl" said alkyl can be partially or fully substituted with halogen atoms, which can be the same or different. Examples of haloalkyl include CH2CHF2, CF2CF3 and CH2CHFCl.

The total number of carbon atoms in a substituent group is indicated by the "C_i-C_j" prefix where i and j are numbers from 1 to 6. For example, C₁-C₃ alkylsufonyl designates methylsulfonyl through propylsulfonyl; C₂ alkoxy designates OCH₂CH₃ and C₃ alkoxy designates OCH₂CH₃ and OCH(CH₃)₂; C₂ alkylcarbonyl designates

C(O)CH₃ and C₄ alkylcarbonyl designates C(O)CH₂CH₂CH₃ and C(O)CH(CH₃)₂; C₃ alkoxyalkyl designates CH₂OCH₂CH₃ and CH₂CH₂OCH₃; C₄ alkoxyalkyl designates CH₂OCH₂CH₂CH₃, CH₂CH₂OCH₂CH₃ and CH₂OCH(CH₃)₂; and as a final example, C₄ dialkoxyalkyl designates CH₂OCH₂CH₂OCH₃.

DETAILS OF THE INVENTION

The compounds of Formula I can be prepared by the reaction of nitroethenes and nitroimines of Formula II with an amine of Formula III (Scheme 1). Alternatively, compounds of Formula I can be prepared by 10 the reaction of nitroethenes and nitroimines of Formula IV with amines of Formula V (Scheme 2) using procedures which are analogous to those used for reactions of compounds of Formula II with compounds of Formula III; therefore, for brevity only reactions of compounds of Formula II with compounds of Formula III are described. Typical conditions involve combination of Π with a stoichiometric excess of Π in a suitable solvent or combination of solvents at temperatures generally in the range of about 0 to 100°C. Suitable solvents typically have sufficient polarity to effect solution of the Formula II compound and the Formula III amine and include, but are not limited to, alcohols such as methanol, ethanol and isopropanol; ethers such as diethyl ether, tetrahydrofuran and dioxane; esters such as ethyl acetate; water; and polar and aprotic solvents such as dimethylformamide and dimethylacetamide. Amine III can also be used as its hydrochloride salt and in these cases an equivalent amount of a base (such as potassium hydroxide) is added to 25 the reaction mixture.

SCHEME 1

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$$Z$$

$$R^{1}XAN SMe + HNR^{3}R^{4} \longrightarrow I$$

$$R^{2}$$

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Ш

wherein:

A, X, Z, \mathbb{R}^1 , \mathbb{R}^2 , \mathbb{R}^3 , and \mathbb{R}^4 are as previously defined.

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SCHEME 2

V

wherein:

A, X, Z, R¹, R², R³, and R⁴ are as previously defined.

IV

Compounds of Formula I include both geometrical and optical isomers as well as Z and E isomers around the nitroethene or imine double bond. These isomers may vary in their biological activity. In some instances, it may be desirable to obtain compounds which are geometrically and/or optically pure or which are enriched in one or more of the possible isomers. All such isomers are included within the scope of the invention. They, as well as all salts, are included within the term "compound(s)".

For the sake of simplifying the description of this invention, the generic formula (Formula I) encompasses certain compounds that may have long term stability problems and/or are difficult to prepare. For example, haloalkylamines when R⁴ is C₁ to C₄ haloalkyl are unstable when the halo substituent is directly adjacent to nitrogen. These generally decompose to the corresponding hydrogen halides and imine. Similarly, Formula I compounds where A is a C₁ haloalkyl would be expected to be hydrolytically unstable. These compounds, however, are relatively few; their identity would be obvious to one skilled in the art, and their excision from the scope would unduly complicate and lengthen the description of the invention.

Compounds of Formula II where Z is CHNO2 can be prepared using processes known in the art involving reaction of nitroethene VI with an amin of Formula V (Scheme 3). Compounds of Formula IV where Z is CHNO2 can be prepared by procedures which are analogous to 5 those for compounds of Formula II; therefore, for brevity, only the compounds of Formula II are described. Typical conditions involve the combination of equimolar amounts of V and VI in a suitable solvent or solvent mixture at temperatures in the range of about 0 to 100°C. Suitable solvents typically have sufficient polarity to effect solution of V 10 and VI and include, but are not limited to, alcohols such as methanol, ethanol and isopropanol; ethers such as diethyl ether, tetrahydrofuran and dioxane; esters such as ethyl acetate; polar aprotic solvents such as dimethylformamide and dimethylacetamide; water as well as mixtures of 15 solvents.

SCHEME 3

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$$\frac{NO_2}{MeS} + V \longrightarrow \Pi$$

Amines of Formula V can be prepared by reaction of an alkylating agent of Formula VII with an amine of Formula VIII (Scheme 4). Typical conditions involve combination of VII with a stoichiometric excess of VIII in a suitable solvent or combination of solvents at temperatures in the range of about 0 to 100°C. Suitable solvents or solvent mixtures typically have sufficient polarity to effect solution of the Formula VIII amine and the Formula V product and include, but are not limited to, alcohols such as methanol, ethanol and isopropanol; ethers such as tetrahydrofuran and dioxane; water and acetonitrile. Amine VIII can also be used as its hydrochloride salt and in these cases an equivalent amount of a base (such as potassium hydroxide) is added to the reaction mixture.

SCHEME 4

 $R^{1}XAY + R^{2}NH_{2} \longrightarrow V$ VII VIII

wherein:

Y is a leaving group; and A, X, R¹ and R² are as previously defined.

Compounds of Formula I where R² and R⁴ are taken together as an optionally substituted C₂-C₃ alkylene or C₂-C₃ alkenylene group can be prepared using the analogous procedures illustrated by Schemes 5 and 6.

SCHEME 5

SCHEME 6

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$$R^{1}XA-N = NH + R^{3}Y = \frac{Proton}{Acceptor} I$$

$$I (R^{3} = H) \qquad X = (R^{3} \neq H)$$

35 wherein:

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B is C₂-C₃ alkylene or C₂-C₃ alkenylene ach ptionally substituted with 1-4 C₁-C₂ alkyl;

Y is a 1 aving group; and A, X, R₁, R₃, and Z are as previously defined.

Reactions such as those shown in Scheme 5 are typically carried out by treatment of a solution of Formula IX and VII compounds in a suitable solvent with a proton acceptor such as, but not limited to, sodium hydride at a temperature of about 0 to 100°C. Suitable solvents include, but are not limited to, dimethylformamide and THF. Completely analogous procedures can be used to effect the reactions illustrated by Scheme 6, and, for the sake of brevity, will not be discussed further.

Scheme 7 illustrates the formation of Formula IX compounds.

15 Procedures for this transformation are analogous to those previously described for Scheme 5.

SCHEME 7

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wherein:

B and Z are as previously defined.

When B is equal to C₂ alkenylene and Z is equal to NNO₂,

Formula XI compounds may exist as the amino-imidazole tautomer, XII.

Scheme 8 illustrates the formation of Formula IX nitroguanidines using the precursors of Formula XII. Scheme 9 illustrates the formation of Formula I compounds where Z is NNO₂ and B is an optionally substituted C₂ alkenylene using the precursors of Formula XII. The

procedur s illustrated by Schemes 8 and 9 are completely analogous to those described previously for Scheme 5.

5 SCHEME 8

wherein:

15 R^6 and R^7 are H, or C_1 - C_2 alkyl.

SCHEME 9

Formula XII nitroaminoimidazoles can be formed by the reaction of S-methyl-N-nitro-isothiourea with amino-acetals of Formula XIII.

Typical reaction conditions involve the treatment of a mixture of XIII and S-methyl-N-nitroisothiourea in a suitable solvent with 0 to 5 equivalents of an acid catalyst such as hydrochloric acid at a temperature of 0°C to the reflux temperature of the solvent. Typical solvents include, but are not limited to, methanol, ethanol and isopropanol. Scheme 10 illustrates this transformation.

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SCHEME 10

XIII

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wherein: \mathbf{R}^8 is an alkyl or aryl group; and \mathbf{R}^6 and \mathbf{R}^7 are as previously defined.

One skilled in the art will recognize Formula XIII compounds as acetals of α -amino aldehydes and α -amino-ketones, whose syntheses are well precedented.

Compounds of Formula XI where B is an optionally substituted C₂-C₃ alkylene and Z is CHNO₂ can be prepared by the reactions of diamines of Formula XIV with V in a suitable solvent at temperatures in the range of about 0 to 100°C. Suitable solvents include, but are not limited to, alcohols such as methanol, ethanol and isopropanol, and water, as well as other polar solvents. Typical reactions involve the use of equimolar amounts of V and XIV. Scheme 11 illustrates this transformation.

25 SCHEME 11

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Compounds of Formula XI where B is an optionally substituted C₂-C₃ alkylene and Z is NNO₂ can be prepared by the reactions of Formula XIV diamines with nitroguanidine using procedures completely analogous to those described for Scheme 11 and, for the sake of brevity, will not be discussed further.

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Formula XIV compounds are 1,2- and 1,3-diamines whose preparations are known in th art.

Compounds of Formula II where Z is NNO2 can be prepared by the reaction of N-nitroimines of Formula XV with an alkylating agent of Formula VII in a suitable solvent in the presence of a proton acceptor (Scheme 12). Typical proton acceptors are metal hydrides such as sodium hydride, metal alkoxides such as sodium methoxide or potassium tbutoxide and carbonates such as cesium carbonate. Suitable solvents for reactions using metal hydride include DMF and THF. Suitable solvents for reactions using metal alkoxides include alcohols such as methanol, ethanol and t-butanol and THF. Suitable solvents for reactions using carbonate bases include methanol, ethanol and acetonitrile. The reactions are typically run at temperatures that range from 0 to 100°C. Typical reactions involve the use of equimolar amounts of VII and XV.

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SCHEME 12

wherein:

 \mathbb{R}^2 is as previously defined.

Compounds of Formula IV where Z is NNO2 can be prepared by the reaction of N-nitroimines of Formula XVI with an alkylating agent of Formula XVII (Scheme 13) using procedures that are analogous to those described for Scheme 12.

Compounds of Formula XV can be prepared by the reaction of an alkylating agent of Formula XVIII with S-methyl-N-nitroisothiourea (Scheme 14) using procedures that are analogous to those described for Scheme 12.

Compounds of Formula XVI can be prepared by the reaction of an alkylating agent of Formula X with S-methyl-N-nitroisothiourea (Scheme 15) using procedur s that are analogous to those described for Scheme 12.

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SCHEME 13

SCHEME 14

SCHEME 15

wherein:

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 ${\bf R^2},\,{\bf R^3},\,{\bf R^4}$ and Y are as previously defined.

Compounds of Formula I where X is SO can be obtained by reaction of the corresponding compound of Formula I where X is S with a variety of oxidants including, but not limited to, peracids, periodates and hydroperoxides in a suitable solvent. Compounds of Formula I where X is SO₂ can be obtained using analogous reaction conditions wherein the amount of oxidant used is greater than or equal to two oxidizing equivalents.

The following Examples further illustrate the invention.

EXAMPLE 1

Step A: N-Methyl-2-(methylthio)ethanamine

Solid 85% potassium hydroxide (54 g, 0.81 moles) was added in portions to a solution of methylamine hydrochloride (50 g, 0.74 moles) 5 and water (200 mL), maintaining the temperature below 30°C. The resulting solution was treated with a solution of 2-chloroethyl methyl sulfide (15 mL, 0.15 moles) and ethanol (50 mL) at room temperature. After 1 hour, the temperature of the initially two-phase reaction had risen to about 40°C and the reaction became homogeneous. After stirring 10 for 10 hours at room temperature, the reaction was saturated with solid sodium chloride and the aqueous layer was extracted with ether (3 x 100 mL). The combined organic layers were washed with brine made basic with potassium hydroxide, dried over potassium carbonate and concentrated under vacuum to yield 11.1 g (70%) of a clear oil that was 15 used without further purification. ¹H NMR (200 MHz, CDCl₃) δ: 2.80 (t, 2H), 2.65 (t, 2H), 2.46 (s, 3H), 2.11 (s, 3H), 1.5 (br s, 1H).

2.11 (s, 3H), 1.5 (br s, 1H).

20 Step B: <u>Methyl N-methyl-N-[2-(methylthio)ethyll-2-nitro-</u> ethanimidothioate

A solution of the amine from Step A (1.0 g, 9.5 mmoles), 1,1-bis(methylthio)-2-nitroethylene (1.9 g, 11.4 mmoles) and ethanol (34 mL) was heated at reflux for 5 hours and then cooled to room temperature.

The resulting mixture was dissolved in acetone, silica gel (5 g) was added and the solvent was removed under vacuum. The residue was chromatographed on silica gel eluting with 1:1 hexanes-ethyl acetate to give 0.76 g (30%) of a yellow oil.

1_{H NMR} (200 MHz, CDCl₃) δ: 6.72 (s, 1H), 3.78 (t, 2H), 3.15 (s, 3H), 2.75 (t, 2H), 2.49 (s, 3H), 2.16 (s, 3H).

Step C: <u>N.N'-Dimethyl-N-[2-(methylthio)ethyll-2-nitro-1.1-ethenediamine</u>

Aqueous sodium hydroxide (50%, 0.5 mL, 9.0 mmoles) was added to a solution of the product of Step B (0.4 g, 1.8 mmoles), methylamine

hydrochlorid (0.6 g, 9 mmoles), ethanol (5 mL), tetrahydrofuran (2 mL) and water (1 mL). The resulting solution was stirred for 20 hours at room temperature and then silica gel (2 g) was added and the solvent was removed. Flash chromatography of the residue on silica gel using 5% ethanol in methylene chloride gave 0.36 g (98%) of the title compound as a yellow oil.

¹H NMR (200 MHz, CDCl₃) δ: 9.8 (br s, 1H), 6.56 (s, 1H), 3.42 (t, 2H), 3.05 (d, 3H), 2.94 (s, 3H), 2.73 (t, 2H), 2.13 (s, 3H).

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EXAMPLE 2

Step A: 2-(Nitromethylene)-imidazolidine

A solution of 4.0 mL (0.06 moles) of ethylene diamine, 10 g (0.06moles) of 2,2 bis(methylthio)nitroethylene and 60 mL of ethanol was heated at reflux for 12h and then concentrated to give 7.6 g of a beige solid.

¹H NMR (200 MHz, DMSO-d₆) δ: 6.33 (s, 1H), 3.58 (s, 4H).

Step B: 1-I2-(Methylthio)ethyll-2-(nitroethylene)imidazolidine

The product from Step A (2.0 g, 0.016 moles) was added to a suspension of 60% sodium hydride (0.7 g, 0.017 moles) and 31 mL of DMF at room temperature. The resulting mixture was stirred for 10 min and then 1.5 mL (0.016 moles) of 2-chloroethyl methyl sulfide was added. Resulting mixture was heated at 100°C for 12 h and then cooled to room temperature. Ethanol, 20 ml, was added and the reaction was concentrated at 70°C. The residue was dissolved in 50 mL of EtOH; 5 g of silica gel was added, and the mixture was concentrated. The residue was chromatographed on 100 g silica gel eluting with CH₂Cl₂-EtOH-48% NH₄OH (20:1:0.1) to give 1.0 g of a brown oil that solidified on standing. Trituration of the solid with MeOH gave a light yellow solid; mp = 102-104°C.

¹H NMR (400 MHz, CDCl₃) δ: 8.65 (br s, 1H), 6.55 (s, 1H), 3.78 (m, 4H), 3.38 (t, 2H), 2.70 (t, 2H), 2.16 (s, 3H).

In Tables 1 through 33, the following notations have been us d:

5	allyl	æ	$CH_2CH=CH_2$
	propargyl	=	CH ₂ C≡CH
	Me		-СН3
10	Et	=	-CH ₂ -CH ₃
	n-Pr	=	$-\mathrm{CH}_2\mathrm{-CH}_2\mathrm{-CH}_3$
15	i-Pr	2 2	-CH-CH ₃ CH ₃
	n-Bu	=	$\hbox{-CH}_2\hbox{-CH}_2\hbox{-CH}_2\hbox{-CH}_3$
20	i-Bu	=	-сн ₂ -сн-сн ₃ сн ₃
	s-Bu	=	-CH-CH ₂ -CH ₂ CH ₃
25	t-Bu [:]	=	CH ₃ -C-CH ₃
30	Ph	=	CH ₃ 2 3 4
	c-Pr	=	$\overline{}$

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TABLE 1

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10	R1	R ³	R ⁴	R1	\mathbb{R}^3	R ⁴
	Me	i-Pr	н	Me	$\mathrm{CH_2OC(CH_3)_2OCH_3}$	H
	Me	n-Bu	н	Me	SO ₂ CH ₃	H
	Me	i-Bu	н	Me	SO ₂ CH ₂ CH ₃	H
	Me	s-Bu	н	Me	$SO_2CH_2CH_2CH_3$	H
15	Me	t-Bu	н	Me	$CH_2CH_2SO_2CH_3$	H
	Me	H	н	Me	CH ₂ CHCH ₂	H
	Me	COCH ₃	н	Me	CH ₂ CH ₂ CHCH ₂	H
	Me	СНО	н	Me	CH ₂ CHCHCH ₃	H
	Me .	COCH ₂ CH ₃	н	Me	CH ₂ CCH	H
2 0	Me	CH ₂ OCH ₃	н	Me	CH ₂ CH ₂ CCH	H
	Me	CH ₂ OCH ₂ CH ₃	H	Me	CH ₂ CCCH ₃	H
	Me	CH ₂ OCH ₂ CH ₂ CH ₃	H	Me	CH ₂ CN	H
	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	н	Me	NHCH ₃	H
	Me	CH2OCH2CH2OCH2CH3	н	Me	NHCH ₂ CH ₃	H
2 5	Me	OCH ₃ .	н	Me	NHCH(CH ₃) ₂	H
	Me	OCH ₂ CH ₃	н	Me	NHC(CH ₃) ₃	H
	Me	OCH ₂ CH ₂ CH ₃	H	Me	NHCH2CH2CH2CH3	H
	Me	OCH(CH ₃) ₂	H	Me	NHCH2CH2CH3	H
	Me	CH2OCH(CH3)OCH3	н	Me	N(CH ₃) ₂	H
30				Me	N(CH ₃)CH ₂ CH ₃	H
				Me	N(CH ₂ CH ₃) ₂	H
				Me	CH ₂ Ph	H
			1	Me	Et	Me
		•		Me	n-Pr	Me
35			1	Me	i-Pr	Me

	R1	R ³	R ⁴	R1	R ³	R ⁴
5	Me	n-Bu	Me	Me	OCH ₂ CH ₃	Et
	Me	COCH ₃	Me	Me	SO ₂ CH ₃	Et
	Me	СНО	Me	Me	$\mathrm{CH_2CH_2SO_2Me}$	Et
	Me	COCH ₂ CH ₃	Me	Me	CH ₂ CHCH ₂	Et
	Me	CH ₂ OCH ₃	Me	Me	CH ₂ CCH	Et
10	Me	CH2OCH2CH2OCH3	Me	Me	CH ₂ CN	Et
10	Me	OCH ₃	Me	Me	$N(CH_3)_2$	Et
	Me	OCH ₂ CH ₃	Me	Me	n-Pr	n-Pr
	Me	SO_2CH_3	Me	Me	i-Pr	i-Pr
	Me	$\mathtt{CH_2CH_2SO_2CH_3}$	Me	Me	COCH ₃	n-Pr
15	Me	CH ₂ CCH	Me	Me	СНО	n-Pr
	Me	CH ₂ CN	Me	Ме	COCH ₂ CH ₃	n-Pr
	Me	NHCH3	Me	Me	CH ₂ OCH ₃	n-Pr
	Me	$N(CH_3)_2$	Me	Me	CH2OCH2CH2OCH3	n-Pr
	Me ·	Et	Et	Me	OCH ₃	n-Pr
20	Me	COCH ₃	Et	Me	OCH ₂ CH ₃	n-Pr
	Me	СНО	Et	Me	SO ₂ CH ₃	n-Pr
	Me	COCH ₂ CH ₃	Et	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	n-Pr
	Me	CH ₂ OCH ₃	Et	Me	CH ₂ CN	n-Pr
	Me	CH2OCH2CH2OCH3	Et	Me	N(CH ₃) ₂	n-Pr
25	Me	OCH ₃	Et		- -	

	R1	R ³	R ⁴	R ¹	R ³	R4
5	Me	COCH ₃	n-Bu	Me	CH ₂ CHCH ₂	c-Bu
	Me	CHO	n-Bu	Me	CH ₂ CCH	c-Bu
	Me	COCH ₂ CH ₃	n-Bu	Me	$N(CH_3)_2$	c-Bu
	Me	CH ₂ OCH ₃	n-Bu	Me	H	$(CH_2)_3Cl$
	Me	CH2OCH2CH2OCH3	n-Bu	Me	Me	$(CH_2)_3Cl$
10	Me	OCH ₃	n-Bu	Me	Et	$(CH_2)_3Cl$
	Me	SO ₂ CH ₃	n-Bu	Me	осн ₃	$(CH_2)_3Cl$
	Me	CH ₂ CN	n-Bu	Me	H	c-pentyl
	Me	Me	c-Pr	Me	Me	c-pentyl
	Me	Et	c-Pr	Me	Et	c-pentyl
15	Me	n-Pr	c-Pr	Me	осн ₃	c-pentyl
	Me	OCH ₃	e-Pr	Me	${ m CH}_2{ m CHCH}_2$	c-pentyl
	Me	CH ₂ CHCH ₂	e-Pr	Me	$\mathrm{CH}_2\mathrm{CCH}$	c-pentyl
	Me	CH ₂ CCH	c-Pr	Me	CH ₂ Ph	c-pentyl
	Me	·N(CH ₃) ₂	e-Pr	Me	H	c-hexyl
2 0	Me	Me	c-Bu	Me	Me	c-hexyl
	Me	Et	c-Bu	Me	Et	c-hexyl
	Me	n-Pr	c-Bu	Me	OCH ₃	c-hexyl
	Me	OCH ₃	c-Bu	Me	$\mathrm{CH}_2\mathrm{CHCH}_2$	c-hexyl
		-		Me	CH ₂ CCH	c-hexyl

	R ¹	\mathbb{R}^3	R ⁴	R ¹	R ³	R ⁴
5	Me	CH ₂ Ph	CH ₂ -c-Pr	Et	CH ₂ OCH ₃	H
Ū	Me	COCH ₃	CH ₂ -c-Pr	Et	$(CH_2)_2OCH_2CH_3$	H
	Me	CHO	CH ₂ -c-Pr	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	H
	Me	CH ₂ OCH ₃	CH ₂ -c-Pr	Et	OCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ -c-Pr	Et	OCH ₂ CH ₃	H
10	Me	CH ₂ CN	CH ₂ -c-Pr	Et	SO ₂ CH ₃	H
	Me	H	CH ₂ C(Cl)CH ₂	Et	$(\mathrm{CH_2})_2\mathrm{SO}_2\mathrm{CH}_3$	H
	Me	Me	CH ₂ C(Cl)CH ₂	Et	CH_2CHCH_2	H
	Me	Et	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCHCH ₃	H
	Me	n-Pr	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2CHCH_2$	H
15	Me	CHO	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCH	H
	Me	OCH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CN	H
	Me	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂	Et	NHCH ₈	H
	Et	. H	H	Et	N(CH ₃) ₂	H
20	Et	Me	н	Et	CH ₂ Ph	H
	Et	Et	H	Et	Et	Me
	Et	n-Pr	H	Et	n-Pr	Me
	Et	i-Pr	н	Et	Me	Me
	Et	n-Bu	H	Et	COCH3	Me
2 5	Et	i-Bu	H	Et	CHO	Me
	Et	s-Bu	н	Et	CH ₂ OCH ₃	Me
	Et	t-Bu	H	Et	CH ₂ O(CH ₂) ₂ OCH ₃	Me
	Et	COCH3	H	Et	OCH ₃	Me
	Et	CHO	H	Et	SO ₂ CH ₃	Me

	R1	R ³	R ⁴	R1	R ³	R4
5	Et	$(\mathrm{CH_2})_2\mathrm{SO}_2\mathrm{CH}_3$	Me	Et	CH ₂ O(CH ₂) ₂ OCH ₃	n-Pr
U	Et	CH2CHCH2	Me	Et	OCH ₃	n-Pr
	Et	CH ₂ CCH	Me	Et	SO ₂ CH ₃	n-Pr
	Et	CH ₂ CN	Me	Et	$(CH_2)_2SO_2CH_3$	n-Pr
	Et	NHCH ₃	Me	Et	CH ₂ CHCH ₂	n-Pr
10	Et	N(CH ₃) ₂	Me	Et	CH ₂ CCH	n-Pr
10	Et	CH ₂ Ph	Me	Et	CH ₂ CN	n-Pr
	Et	Et	Et	Et	N(CH ₃) ₂	n-Pr
	Et	COCH ₃	Et	Et	CH ₂ Ph	n-Pr
	Et	СНО	Et	Et	n-Bu	n-Bu
15	Et	CH ₂ OCH ₃	Et	Et	CHO	n-Bu
	Et	$\mathrm{CH_2O}(\mathrm{CH_2})_2\mathrm{OCH_3}$	Et	Et	COCH ₃	n-Bu
	Et	осн _а	Et	Et	CH ₂ OCH ₃	n-Bu
	Et	SO ₂ CH ₃	Et	Et	$CH_2O(CH_2)_2OCH_3$	n-Bu
	Et	(CH ₂) ₂ SO ₂ CH ₃	Et	Et	och ₃	n-Bu
20	Et	CH ₂ CCH	Et	Et	SO ₂ CH ₃	n-Bu
20	Et	CH ₂ CHCH ₂	Et	Et	CH ₂ CN	n-Bu
	Et	CH ₂ CN	Et	Et	CH ₂ Ph	n-Bu
	Et	$N(CH_3)_2$	Et	Et	i-Pr	i-Pr
	Et	CH ₂ Ph	Et	Et	Me	c-Pr
25	Et	n-Pr	n-Pr	Et	Et	c-Pr
	Et	СНО	n-Pr	Et	n-Pr	c-Pr
	Et	COCH ₃	n-Pr	Et	OCH ₃	c-Pr
	Et	CH ₂ OCH ₃	n-Pr	Et	CH ₂ CHCH ₂	c-Pr
		•				

	R1	R ³	R ⁴	R1	R ³	R ⁴
5	Et	CH ₂ CCH	c-Pr	Et	CH ₂ CCH	c-hexyl
	Et	$N(CH_3)_2$	c-Pr	Et	СН ₂ РЬ	c-hexyl
	Et	CH ₂ Ph	c-Pr	Et	CH ₂ Ph	CH ₂ -c-Pr
	Et	H	c-Pr	Et	CHO	CH ₂ -c-Pr
	Et	H	c-Bu	Et	CH ₂ OCH ₃	CH ₂ -c-P _T
10	Et	Me	c-Bu	Et	80_2 CH $_3$	CH ₂ -c-Pr
	Et	OCH ₃	c-Bu	Et	CH ₂ CN	CH ₂ -c-P _T
	Et	$N(CH_3)_2$	c-Bu	Et	H	${ m CH_2C}({ m CI}){ m CH_2}$
	Et	CH ₂ Ph	c-Bu	Et	Me	${ m CH}_2{ m C(CI)CH}_2$
	Et	H	(CH ₂) ₃ Cl	Et	Et	$\mathrm{CH}_2\mathrm{C}(\mathrm{Cl})\mathrm{CH}_2$
15	Et	Me	(CH ₂) ₃ Cl	Et	n-Pr	CH ₂ C(Cl)CH ₂
	Et	OCH ₃	(CH ₂) ₃ Cl	Et	OCH ₃	$CH_2C(CI)CH_2$
	Et	СН ₂ РЬ	(CH ₂) ₃ Cl	Et	$N(CH_3)_2$	${ m CH_2C(Cl)CH_2}$
	Et	H	c-pentyl	n-Pr	H	H
	Et	· Me	c-pentyl	n-Pr	Me	H
20	Et	£t	c-pentyl	n-Pr	Et	H
	Et	och ₃	c-pentyl	n-Pr	n-Pr	H
	Et	CH ₂ CHCH ₂	c-pentyl	n-Pr	i-Pr	H
	Et	CH ₂ CCH	c-pentyl	n-Pr	n-Bu	H
	Et	CH ₂ Ph	c-pentyl	n-Pr	i-Bu	H
25	Et	H ,	c-hexyl	n-Pr	e-Bu	H
	Et	Me	c-hexyl	n-Pr	t-Bu	H
	Et	Et	c-hexyl	n-Pr	CHO	H
	Et	OCH ₃	c-hexyl	n-Pr	COCH3	H
	Et	CH ₂ CHCH ₂	c-hexyl	n-Pr	CH ₂ OCH ₃	H

n-Pr CHO Me n-Pr H c-pentyl n-Pr COCH3 Me n-Pr H c-hexyl n-Pr OCH3 Me n-Pr H CH2C(Cl n-Pr SO2CH3 Me n-Pr H CH2C(Cl n-Pr (CH2)2SO2CH3 Me n-Bu Me H n-Pr CH2CN Me CH2CH2Cl Me H n-Pr CH2Ph Me (CH2)3Cl Me H n-Pr CH2Ph Me (CH2)4Cl Me H n-Pr COCH3 Et c-Pr Me H n-Pr COCH3 Et c-Bu Me H n-Pr OCH3 Et c-Bu Me H n-Pr CH2CN Et c-pentyl Me H n-Pr CH2CN Et c-hexyl Me H n-Pr CH2Ph Et CH2-c-pentyl Me H n-Pr CH2Ph Et CH2-c-pentyl Me H n-Pr CH2Ph Et CH2-c-pentyl Me H n-Pr CH2CN n-Pr CH2-c-pentyl Me H		\mathbb{R}^1	R ³	R ⁴	R ¹	R ³	R ⁴
n-Pr SO ₂ CH ₃ H n-Pr n-Bu n-Bu n-Bu n-Pr (CH ₂) ₂ SO ₂ CH ₃ H n-Pr CH ₂ CHCH ₂ H n-Pr SO ₂ CH ₃ n-Bu n-Pr CH ₂ CCH H n-Pr CH ₂ CN n-Bu n-Pr CH ₂ CN n-Bu n-Pr CH ₂ CN n-Pu N(CH ₃) ₂ H n-Pr Me c-Pr n-Pr Me n-Pr Me c-Pr n-Pr Me n-Pr H (CH ₂) ₃ Cl n-Pr CH ₂ CN Me n-Pr H c-Pr H c-Pr n-Pr CH ₂ CN Me n-Pr H c-Pr n-Pr CH ₂ CN Me n-Pr H c-Pr n-Pr CH ₂ CN Me n-Pr H c-Pr n-Pr CH ₂ COCH ₃ Me n-Pr H CH ₂ CCCH n-Pr SO ₂ CH ₃ Me n-Pr H CH ₂ CCCH n-Pr CH ₂ CN Me n-Pr H CH ₂ C-Pr n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H CH ₂ C-Pr n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Et c-Pr Me H n-Pr CH ₂ CN n-Pr CH ₂ CN n-Pr CH ₂ C-Pr Me H n-Pr CH ₂ C-P	5	n-Pr	OCH ₃	H	n-Pr	CH ₂ Ph	n-Pr
n-Pr CH2CHCH2 H n-Pr CH2CN n-Bu n-Pr CH2CCH H n-Pr CH2CN n-Bu n-Pr CH2CN H n-Pr CH2Ph n-Bu n-Pr N(CH3)2 H n-Pr Me c-Pr n-Pr CH2Ph H n-Pr H c-Pr n-Pr CH2Ph H n-Pr H c-Pr n-Pr CH0 Me n-Pr H c-Pr n-Pr COCH3 Me n-Pr H c-Pr n-Pr SO2CH3 Me n-Pr H CH2CCI n-Pr SO2CH3 Me n-Pr H CH2CCI n-Pr CH2CN Me CH2CH2CI Me H n-Pr CH2CN Me CH2CH2CI Me H n-Pr CH2CN Me (CH2)3CI Me H n-Pr CH2CN Me (CH2)3CI Me H n-Pr CH2CN Me (CH2)4CI Me H n-Pr CH2CN Me CH2CH2CI Me H n-Pr CH2CN Et c-Pr Me H n-Pr CH0C Et c-Pr Me H n-Pr CH0CH3 Et c-Pr Me H n-Pr CH2CN Et c-Bu Me H n-Pr CH2CN Et c-Bu Me H n-Pr CH2CN Et c-Pr Me H n-Pr CH2CN n-Pr CH2C-Pr Me H	Ū	n-Pr	SO ₂ CH ₃	н	n-Pr	n-Bu	n-Bu
n-Pr CH2CCH H n-Pr CH2CN n-Bu n-Pr CH2CN H n-Pr CH2Ph n-Bu n-Pr N(CH3)2 H n-Pr Me e-Pr n-Pr CH2Ph H n-Pr Me e-Pr n-Pr CH2Ph H n-Pr H c-Pr n-Pr Me Me n-Pr H c-Pr n-Pr CHO Me n-Pr H c-Pr n-Pr CHO Me n-Pr H CH2CCI n-Pr SO2CH3 Me n-Pr H CH2CCI n-Pr SO2CH3 Me n-Pr H CH2CCI n-Pr CH2CN Me CH2CH2CI Me H n-Pr CH2CN Me (CH2)3CI Me H n-Pr CH2Ph Me (CH2)3CI Me H n-Pr CH0 Et c-Pr Me H n-Pr CH0 Et c-Pr Me H n-Pr CH0CH3 Et c-Pr Me H n-Pr CH2CN n-Pr CH2C-Pr Me H		n-Pr	$(CH_2)_2SO_2CH_3$	н	n-Pr	CHO	n-Bu
10 n-Pr CH ₂ CN H n-Pr CH ₂ Ph n-Bu n-Pr N(CH ₃) ₂ H n-Pr Me c-Pr n-Pr CH ₂ Ph H n-Pr H c-Pr n-Pr Me Me n-Pr H (CH ₂) ₃ Cl n-Pr CHO Me n-Pr H c-pentyl n-Pr COCH ₃ Me n-Pr H CH ₂ CCl n-Pr SO ₂ CH ₃ Me n-Pr H CH ₂ CCl n-Pr SO ₂ CH ₃ Me n-Pr H CH ₂ CCl n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me (CH ₂) ₃ Cl Me H n-Pr CH ₂ Ph Me (CH ₂) ₃ Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr CH ₂ CN Et c-Pr Me H n-Pr CH ₂ CN n-Pr CH ₂ C-Pr Me H n-Pr CH ₂ CN n-Pr CH ₂ C-Pr Me H		n-Pr	CH ₂ CHCH ₂	H	n-Pr	SO_2CH_3	n-Bu
10		n-Pr	CH ₂ CCH	H	n-Pr	CH ₂ CN	n-Bu
n-Pr N(CH ₃) ₂ H n-Pr Me c-Pr n-Pr CH ₂ Ph H n-Pr H c-Pr n-Pr Me me n-Pr H (CH ₂) ₃ Cl n-Pr COCH ₃ Me n-Pr H c-pentyl n-Pr OCH ₃ Me n-Pr H c-pentyl n-Pr OCH ₃ Me n-Pr H CH ₂ Cl n-Pr SO ₂ CH ₃ Me n-Pr H CH ₂ Cl Me n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ Ph Me (CH ₂) ₃ Cl Me H n-Pr CH ₂ Ph Me (CH ₂) ₄ Cl Me H n-Pr COCH ₃ Et c-Pr Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr OCH ₃ Et c-Bu Me H n-Pr CH ₂ CN Et c-Pentyl Me H n-Pr CH ₂ CN Et c-pentyl Me H n-Pr CH ₂ CN Et c-pentyl Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph n-Pr CH ₂ Ch n-Pr C	10	n-Pr	CH ₂ CN	H	n-Pr	CH ₂ Ph	n-Bu
n-Pr Me Me n-Pr H (CH2)3Cl n-Pr COCH3 Me n-Pr H CH2CCl n-Pr SO2CH3 Me n-Pr H CH2CCl n-Pr CH2DN Me n-Pr H CH2CCl n-Pr CH2DN Me CH2CH2Cl Me H n-Pr CH2Ph Me (CH2)3Cl Me H n-Pr CH0 Et c-Pr Me H n-Pr COCH3 Et c-Pr Me H n-Pr COCH3 Et c-Pr Me H n-Pr CH2CN Et c-Pr Me H n-Pr CH2CN Et c-Pr Me H n-Pr CH2CN Et c-Pr Me H n-Pr COCH3 Et c-Pr Me H n-Pr CH2CN Et c-Pr Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr CH2Ph T-Pr T-Pr T-Pr CH2-c-Pr Me H n-Pr CHO n-Pr CHO n-Pr CHO n-Pr CHO n-Pr CHO n-Pr CH2-c-Pr Me H		n-Pr	$N(CH_3)_2$	н	n-Pr	Me	e-Pr
n-Pr CHO Me n-Pr H c-pentyl n-Pr COCH3 Me n-Pr H c-hexyl n-Pr OCH3 Me n-Pr H CH2C(Cl n-Pr SO2CH3 Me n-Pr H CH2C(Cl n-Pr (CH2)2SO2CH3 Me n-Bu Me H n-Pr CH2CN Me CH2CH2Cl Me H n-Pr CH2Ph Me (CH2)3Cl Me H n-Pr CH2Ph Me (CH2)4Cl Me H n-Pr COCH3 Et c-Pr Me H n-Pr COCH3 Et c-Bu Me H n-Pr OCH3 Et c-Bu Me H n-Pr CH2CN Et c-pentyl Me H n-Pr CH2CN Et c-hexyl Me H n-Pr CH2Ph Et CH2-c-pentyl Me H n-Pr CH2Ph Et CH2-c-pentyl Me H n-Pr CH2Ph Et CH2-c-pentyl Me H n-Pr CH2CN n-Pr CH2-c-pentyl Me H		n-Pr	CH ₂ Ph	H	n-Pr	H	
15 n-Pr COCH ₃ Me n-Pr H CH ₂ C(Cl n-Pr SO ₂ CH ₃ Me n-Pr H CH ₂ C-Pr n-Pr (CH ₂) ₂ SO ₂ CH ₃ Me n-Pr H CH ₂ C-Pr n-Pr (CH ₂) ₂ SO ₂ CH ₃ Me n-Bu Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr Et Et (CH ₂) ₃ Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr CH ₂ CN Et c-pentyl Me H n-Pr CH ₂ CN Et c-pentyl Me H n-Pr CH ₂ CN Et c-pentyl Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ Ph Et CH ₂ C-Pr Me H n-Pr CH ₂ CN n-Pr SO ₂ CH ₃ n-Pr CH ₂ C-Pr Me H n-Pr CH ₂ CN n-Pr CH ₂ C-Pr Me H n-Pr CH ₂ CN n-Pr CH ₂ C-Pr Me H n-Pr CH ₂ CN n-Pr CH ₂ C-Pr Me H n-Pr		n-Pr	Me	Me	n-Pr	H	(CH ₂) ₃ Cl
15 n-Pr OCH3 Me n-Pr H CH2C(Cl n-Pr SO2CH3 Me n-Pr H CH2-c-Pr n-Pr (CH2)2SO2CH3 Me n-Bu Me H n-Pr CH2CN Me CH2CH2Cl Me H n-Pr CH2Ph Me (CH2)3Cl Me H n-Pr CH0 Et c-Pr Me H n-Pr COCH3 Et c-Bu Me H n-Pr OCH3 Et c-Bu Me H n-Pr OCH3 Et c-pentyl Me H n-Pr CH2CN Et c-hexyl Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr GH0 n-Pr GH2Ph Et CH2-c-pentyl Me H n-Pr GH0 n		n-Pr	CHO	Me	n-Pr	H	c-pentyl
n-Pr OCH3 Me n-Pr H CH2CCI n-Pr SO2CH3 Me n-Pr H CH2-c-Pr n-Pr (CH2)2SO2CH3 Me n-Bu Me H n-Pr CH2CN Me CH2CH2Cl Me H n-Pr CH2Ph Me (CH2)3Cl Me H n-Pr Et Et (CH2)4Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH3 Et c-Bu Me H n-Pr OCH3 Et i-Pr Me H n-Pr CH2CN Et c-pentyl Me H n-Pr CH2CN Et c-hexyl Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr i-Pr i-Pr i-Pr Me H n-Pr CHO n-	15	n-Pr	COCH ₃	Me	n-Pr	H	-
n-Pr (CH ₂) ₂ SO ₂ CH ₃ Me n-Bu Me H n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H 20 n-Pr CH ₂ Ph Me (CH ₂) ₃ Cl Me H n-Pr Et Et (CH ₂) ₄ Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH ₃ Et e-Bu Me H n-Pr OCH ₃ Et i-Pr Me H n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr CHO n-Pr CH ₂ CO n-		n-Pr	OCH ₃	Me	n-Pr	H	CH ₂ C(Cl)CH ₂
n-Pr CH ₂ CN Me CH ₂ CH ₂ Cl Me H n-Pr CH ₂ Ph Me (CH ₂) ₃ Cl Me H n-Pr Et Et (CH ₂) ₄ Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr OCH ₃ Et i-Pr Me H n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr i-Pr CH ₂ -c-pentyl Me H n-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	SO_2CH_3	Me	n-Pr	H	CH ₂ -c-Pr
20 n-Pr CH ₂ Ph Me (CH ₂) ₃ Cl Me H n-Pr Et Et (CH ₂) ₄ Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr OCH ₃ Et i-Pr Me H n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr i-Pr i-Pr CH ₂ -c-pentyl Me H n-Pr CHO n-Pr SO ₂ CH ₃ n-		n-Pr	$(CH_2)_2SO_2CH_3$	Me	n-Bu		
20 n-Pr CH2Ph Et Et (CH2)4Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH3 Et c-Bu Me H n-Pr OCH3 Et i-Pr Me H 25 n-Pr SO2CH3 Et c-pentyl Me H n-Pr CH2CN Et c-hexyl Me H n-Pr CH2Ph Et CH2-c-Pr Me H n-Pr i-Pr i-Pr i-Pr CH2-c-pentyl Me H n-Pr CH0 n-Pr CH0 n- 30 Pr n-Pr SO2CH3 n-		n-Pr	CH ₂ CN	Me	CH ₂ CH ₂ Cl	Me	
n-Pr Et Et (CH ₂) ₄ Cl Me H n-Pr CHO Et c-Pr Me H n-Pr COCH ₃ Et c-Bu Me H n-Pr OCH ₃ Et i-Pr Me H n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr i-Pr CH ₂ -c-pentyl Me H n-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-	20	n-Pr	CH ₂ Ph	Me	(CH ₂) ₃ Cl	Me	
n-Pr COCH ₃ Et c-Bu Me H n-Pr OCH ₃ Et i-Pr Me H 25 n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr i-Pr CH ₂ -c-pentyl Me H n-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-	_,	n-Pr	Et	Et	(CH ₂) ₄ Cl	Me	H
n-Pr OCH ₃ Et i-Pr Me H n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr i-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	СНО	Et	e-Pr	Me	H
25 n-Pr SO ₂ CH ₃ Et c-pentyl Me H n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr i-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	COCH ₃	Et	e-Bu	Me	H
n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	och ₃	Et	i-P r	Me	H
n-Pr CH ₂ CN Et c-hexyl Me H n-Pr CH ₂ Ph Et CH ₂ -c-Pr Me H n-Pr i-Pr i-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-	25	n-Pr	SO_2CH_3	Et	c-pentyl	Me	H
n-Pr i-Pr i-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	CH ₂ CN	Et	——————————————————————————————————————		
n-Pr i-Pr i-Pr n-Pr CHO n- 30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	CH ₂ Ph	Et	-		
30 Pr n-Pr SO ₂ CH ₃ n-		n-Pr	i-Pr	i-Pr	CH ₂ -c-pentyl	Me	H
n-Pr SO ₂ CH ₃ n-		n-Pr	CHO	n-			•
n-Pr SO ₂ CH ₃ n-	30	Pr					
# # # # # # # # # # # # # # # # # # #		n-Pr	SO ₂ CH ₃	n-			
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TABLE 2

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10	R ¹	<u>R</u> 3	R ⁴	R1	R ³	R ⁴
	Me	i-Pr	H	Me	CH ₂ OC(CH ₃) ₂ OCH ₃	H
	Me	n-Bu	H	Me	SO ₂ CH ₃	H
	Me	i-Bu	H	Me	80_2 CH $_2$ CH $_3$	H
	Me	s-Bu	H	Me	$SO_2CH_2CH_2CH_3$	H
15	Me	t-Bu	H	Me	CH2CH2SO2CH3	H
	Me	H	H	Me	CH ₂ CHCH ₂	H
	Me	COCH ₃	H	Me	CH ₂ CH ₂ CHCH ₂	H
	Me	СНО	н	Me	CH ₂ CHCHCH ₃	H
	Me	COCH ₂ CH ₃	H	Me	CH ₂ CCH	H
20	Me	CH ₂ OCH ₃	H	Me	CH ₂ CH ₂ CCH	H
	Me	CH2OCH2CH3	H	Me	CH ₂ CCCH ₃	H
	Me	CH2OCH2CH2CH3	H	Me	CH ₂ CN	H
	Me	CH2OCH2CH2OCH3	H	Me	NHCH3	Ħ
	Me	$\mathrm{CH_{2}OCH_{2}CH_{2}OCH_{2}CH_{3}}$	H	Me	NHCH ₂ CH ₃	H
25	Me	OCH3	H	Me	NHCH(CH ₃) ₂	H
	Me	OCH ₂ CH ₃	H	Me	NHC(CH ₃) ₃	H
	Me	OCH ₂ CH ₂ CH ₃	H	Me	NHCH2CH2CH2CH3	H
	Me	OCH(CH ₃) ₂	H	Me	NHCH2CH2CH3	H
	Me	CH ₂ OCH(CH ₃)OCH ₃	H	Me	$N(CH_3)_2$	H
30				Me	N(CH ₃)CH ₂ CH ₃	H
				Me	$N(CH_2CH_3)_2$	H
				Me	CH ₂ Ph	H
				Me	Et	Me
				Me	n-Pr	Me
35				Me	i-Pr	Me

	R ¹	R ³	R4	R ¹	R 3	R ⁴
5	Me	n-Bu	Me	Me	OCH ₂ CH ₃	Et
b	Me	COCH3	Me	Me	SO ₂ CH ₃	Et
	Me	CHO	Me	Me	$\mathrm{CH_2CH_2SO_2Me}$	Et
	Me	COCH ₂ CH ₃	Me	Me	CH ₂ CHCH ₂	Et
	Me	CH ₂ OCH ₃	Me	Me	CH ₂ CCH	Et
10	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	Me	Me	CH ₂ CN	Et
10	Me	OCH ₃	Me	Me	N(CH ₃) ₂	Et
	Me	OCH ₂ CH ₃	Me	Me	n-Pr	n-Pr
	Me	SO ₂ CH ₃	Me	Me	i-Pr	i-Pr
	Me	CH2CH2SO2CH3	Me	Me	COCH3	n-Pr
15	Me	CH ₂ CCH	Me	Me	СНО	n-Pr
10	Me	CH ₂ CN	Me	Me	COCH ₂ CH ₃	n-Pr
	Me	NHCH ₃	Me	Me	CH ₂ OCH ₃	n-Pr
	Me	N(CH ₃) ₂	Me	Me	CH2OCH2CH2OCH3	n-Pr
	Me .	Et	Et	Me	OCH ₃	n-Pr
20	Me	COCH ₃	Et	Me	OCH ₂ CH ₃	n-Pr
20	Me	СНО	Et	Me	SO ₂ CH ₃	n-Pr
	Me	COCH ₂ CH ₃	Et	Me	CH2CH2SO2CH3	n-Pr
	Me	CH ₂ OCH ₃	Et	Me	CH ₂ CN	n-Pr
	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	Et	Me	N(CH ₃) ₂	n-Pr
05	Me	OCH _{3.}	Et		. 	
2 5		 0		1		

	R1	R ³	R ⁴	R1	R ³	R4
5	Me	COCH ₃	n-Bu	Me	сн ₂ снсн ₂	c-Bu
	Me	СНО	n-Bu	Me	CH ₂ CCH	c-Bu
	Me	COCH ₂ CH ₃	n-Bu	Me	$N(CH_3)_2$	c-Bu
	Me	CH ₂ OCH ₃	n-Bu	Me	H	$(CH_2)_3CI$
	Me	CH2OCH2CH2OCH3	n-Bu	Me	Me	$(CH_2)_3Cl$
10	Me	OCH ₃	n-Bu	Me	Et	$(CH_2)_3CI$
	Me	SO ₂ CH ₃	n-Bu	Me	OCH ₃	(CH ₂) ₈ Cl
	Me	CH ₂ CN	n-Bu	Me	H	c-pentyl
	Me	Me	c-Pr	Me	Me	c-pentyl
	Me	Et	c-Pr	Me	Et	c-pentyl
15	Me	n-Pr	c-Pr	Me	OCH ₃	c-pentyl
	Me	OCH ₃	c-Pr	Me	CH_2CHCH_2	c-pentyl
	Me	CH ₂ CHCH ₂	c-Pr	Me	CH ₂ CCH	c-pentyl
	Me	CH ₂ CCH	c-Pr	Me	CH ₂ Ph	c-pentyl
	Me ·	$N(CH_3)_2$	c-Pr	Me	H	c-hexyl
20	Me	Me	c-Bu	Me	Me	c-hexyl
	Me	Et	c-Bu	Me	Et	c-hexyl
	Me	n-Pr	c-Bu	Me	OCH ₃	c-hexyl
	Me	OCH ₃	c-Bu	Me	CH_2CHCH_2	c-hexyl
				Me	CH ₂ CCH	c-hexyl

0

	R1	R ³	R ⁴	R1	R ³	R ⁴
5	Me	CH ₂ Ph	CH ₂ -c-Pr	Et	СH ₂ OСH ₃	H
U	Me	COCH ₃	CH ₂ -c-Pr	Et	$(CH_2)_2OCH_2CH_3$	H
	Me	CHO	CH ₂ -c-Pr	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	H
	Me	CH ₂ OCH ₃	CH ₂ -c-Pr	Et	OCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ -c-Pr	Et	OCH ₂ CH ₃	H
10	Me	CH ₂ CN	CH ₂ -c-Pr	Et	SO ₂ CH ₃	H
10	Me	H	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2SO_2CH_3$	H
	Me	Me	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCH ₂	H
	Me	Et	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCHCH ₃	H
	Me	n-Pr	CH ₂ C(Cl)CH ₂	Et	(CH ₂) ₂ CHCH ₂	H
15	Me	CHO	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCH	H
20	Me	och ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CN	H
	Me	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂	Et	NHCH ₃	H
	Et	. H	H	Et	N(CH ₃) ₂	H
20	Et	Me	H	Et	CH ₂ Ph	H
	Et	Et	H	Et	Et	Me
	Et	n-Pr	н	Et	n-Pr	Me
	Et	i-Pr	H	Et	Me	Me
	Et	n-Bu	H	Et	COCH ₃	Me
25	Et	i-Bu	н	Et	СНО	Me
	Et	s-Bu	н	Et	CH ₂ OCH ₃	Me
	Et	t-Bu	н	Et	CH ₂ O(CH ₂) ₂ OCH ₃	Me
	Et	COCH ₃	H	Et	OCH ₃	Me
	Et	CHO	н	Et	SO ₂ CH ₃	Me

	R	1 <u>R</u> 3	\mathbb{R}^4	R1 R3	R ⁴
	5 E	(CH ₂) ₂ SO ₂ CH ₃	Me	Et CHoO(CHo)oOCHo	_
	Et	_	Me	Et CH ₂ O(CH ₂) ₂ OCH ₃ Et OCH ₃	n-Pr
	Et	CH ₂ CCH	Me	_	n-Pr
	Et	CH ₂ CN	Me	2023	n-Pr
	Et	NHCH ₃	Me	(3-2/20020113	n-Pr
10	Et	N(CH ₃) ₂	Me	20110112	n-Pr
	Et	CH ₂ Ph	Me	Et CH ₂ CCH	n-Pr
	Et	Et		Et CH ₂ CN	n-Pr
	Et	COCH3	Et Et	Et N(CH ₃) ₂	n-Pr
	Et	CHO		Et CH ₂ Ph	n-Pr
15	Et	CH ₂ OCH ₃	Et Et	Et n-Bu	n-Bu
10	Et	CH ₂ O(CH ₂) ₂ OCE		Et CHO	n-Bu
	Et	OCH ₃	Et	Et COCH3	n-Bu
	Et	SO ₂ CH ₃	Et.	Et CH ₂ OCH ₃	n-Bu
	Et	(CH ₂) ₂ SO ₂ CH ₃		Et CH ₂ O(CH ₂) ₂ OCH ₃	n-Bu
20	Et	CH ₂ CCH	Et	Et OCH3	n-Bu
20	Et	CH ₂ CHCH ₂	Et	Et SO ₂ CH ₃	n-Bu
	Et	CH ₂ CN	Et	Et CH ₂ CN	n-Bu
	Et	N(CH ₃) ₂	Et .	Et CH ₂ Ph	n-Bu
	Et	CH ₂ Ph	Et .	Et i-Pr	i-Pr
0-			Et	Et Me	c-Pr
2 5	Et	n-Pr	n-Pr	Et Et	c-Pr
	Et Et	СНО	n-Pr	Et n-Pr	c-Pr
	Et	COCH3	n-Pr	Et OCH3	c-Pr
	r.	CH ₂ OCH ₃	n-Pr	Et CH2CHCH2	c-Pr
					-

	R ¹	R ³	R ⁴	R1	R ³	B ⁴
5	Et	CH ₂ CCH	с-Рт	Et	CH ₂ CCH	e-hexyl
Đ	Et	N(CH ₃) ₂	c-Pr	Et	CH ₂ Ph	c-hexyl
	Et	CH ₂ Ph	c-Pr	Et	CH ₂ Ph	CH ₂ -c-Pr
	Et	н	c-Pr	Et	CHO	CH ₂ -c-Pr
	Et	н	c-Bu	Et	CH ₂ OCH ₃	CH2-c-Pr
	Et	Me	c-Bu	Et	SO ₂ CH ₃	CH ₂ -c-Pr
10	Et	OCH ₃	c-Bu	Et	CH ₂ CN	CH ₂ -c-Pr
	Et	N(CH ₃) ₂	c-Bu	Et	H	$CH_2C(Cl)CH_2$
	Et	CH ₂ Ph	c-Bu	Et	Me	$CH_2C(Cl)CH_2$
	Et	н	(CH ₂) ₃ Cl	Et	Et	$CH_2C(CI)CH_2$
	Et	Me	(CH ₂) ₃ Cl	Et	n-Pr	$CH_2C(CI)CH_2$
15	Et	OCH ₃	(CH ₂) ₃ Cl	Et	OCH ₃	$\mathrm{CH_2C}(\mathrm{Cl})\mathrm{CH_2}$
	Et	CH ₂ Ph	(CH ₂) ₈ Cl	Et	N(CH ₃) ₂	$\mathrm{CH_2C}(\mathrm{Cl})\mathrm{CH_2}$
	Et	н	c-pentyl	n-Pr	H	н
	Et	.Me	c-pentyl	n-Pr	Me	H
	Et	Et	c-pentyl	n-Pr	Et	H
20	Et.	OCH ₃	c-pentyl	n-Pr	n-Pr	H
	Et	CH ₂ CHCH ₂	_	n-Pr	i-Pr	H
	Et	CH ₂ CCH	c-pentyl	n-Pr	n-Bu	н
	Et	CH ₂ Ph	c-pentyl	n-Pr	i-Bu	н
~-	Et	-	c-hexyl	n-Pr	s-Bu	н
25	Et	H Me	c-hexyl	n-Pr	t-Bu	н
			c-hexyl	n-Pr	CHO	н
	Et Et	Et OCH ₃	c-hexyl	n-Pr	COCH ₃	H
	E l	CH ₂ CHCH ₂	c-hexyl	n-Pr	CH ₂ OCH ₃	н

	\mathbb{R}^1	R ³	R ⁴	\mathbf{R}^{1}	R ³	R ⁴
5	n-Pr	OCH ₃	н	n-Pr	CH ₂ Ph	n-Pr
_	n-Pr	SO ₂ CH ₃	H	n-Pr	n-Bu	n-Bu
	n-Pr	$(CH_2)_2SO_2CH_3$	H	n-Pr	СНО	n-Bu
	n-Pr	CH ₂ CHCH ₂	H	n-Pr	SO ₂ CH ₃	n-Bu
	n-Pr	CH ₂ CCH	H	n-Pr	CH ₂ CN	n-Bu
10	n-Pr	CH ₂ CN	н	n-Pr	CH ₂ Ph	n-Bu
	n-Pr	$N(CH_3)_2$	н	n-Pr	Me	c-Pr
	n-Pr	CH ₂ Ph	н	n-Pr	H	c-Pr
	n-Pr	Me	Me	n-Pr	H	$(CH_2)_3Cl$
	n-Pr	СНО	Me	n-Pr	H	c-pentyl
15	n-Pr	COCH ₃	Me	n-Pr	H	c-hexyl
	n-Pr	OCH ₃	Me	n-Pr	H	$CH_2C(CI)CH_2$
	n-Pr	SO ₂ CH ₃	Me	n-Pr	H	CH ₂ -c-Pr
	n-Pr	$(\mathrm{CH_2})_2\mathrm{SO}_2\mathrm{CH}_3$	Me	n-Bu	Me	H
	n-Pr	CH ₂ CN	Me	CH_2CH_2CI	Me	Ħ
20	n-Pr	CH ₂ Ph	Me	(CH ₂) ₃ Cl	Me	H
	n-Pr	Et	Et	(CH ₂) ₄ Cl	Me	H
	n-Pr	CHO	Et	c-Pr	Me	H
	n-Pr	COCH ₃	Et	c-Bu	Me	H
	n-Pr	OCH ₃	Et	i-Pr	Me	H
25	n-Pr	SO ₂ CH ₃	Et	c-pentyl	Me	H
	n-Pr	CH ₂ CN	Et	c-he xy l	Me	H
	n-Pr	CH ₂ Ph	Et	CH ₂ -c-Pr	Me	H
	n-Pr	i-Pr	i-Pr	CH ₂ -c-pentyl	Me	H
	n-Pr	CHO	n-			
30	Pr n-Pr	SO ₂ CH ₃	n-			
•	Pr					

TABLE 3

10	R ¹	R ³	R ⁴	R ¹	R ³	R ⁴
	Me	i-Pr	н	Me	CH ₂ OC(CH ₃) ₂ OCH ₃	H
	Me	n-Bu	H	Me	SO ₂ CH ₃	H
	Me	i-Bu	н	Me	SO ₂ CH ₂ CH ₃	20C(CH ₃) ₂ OCH ₃ H 2CH ₃ H 2CH ₂ CH ₃ H 2CH ₂ CH ₂ CH ₃ H 2CH ₂ CH ₂ CH ₃ H 2CH ₂ CHCH ₂ H 2CH ₂ CHCH ₂ H 2CH ₂ CHCH ₃ H 2CH ₂ CHCH ₃ H 2CCH H 2CCH ₃ H 2CCH ₃ H 2CCH ₃ H 2CCH ₃ H 2CH ₂ CH ₃ CH ₃ H 2CH ₃ CH ₃ CH 2CH 2CH ₃ CH ₃ CH 2CH 2CH ₃ CH 2CH 2CH 2CH 2CH 2CH 2CH 2CH 2CH 2CH 2
	Me	s-Bu	н	Me	SO2CH2CH2CH3	H
15	Me	t-Bu	н	Me	$\mathrm{CH_{2}CH_{2}SO_{2}CH_{3}}$	H
	Me	H	н	Me	CH ₂ CHCH ₂	H
	Me	COCH ₃	н	Me	$CH_2CH_2CHCH_2$	H
	Me	CHO	H	Me	CH ₂ CHCHCH ₃	H
	Me	COCH ₂ CH ₃	H	Me	CH ₂ CCH	H
20	Me	CH ₂ OCH ₃	H	Me	CH ₂ CH ₂ CCH	H
	Me	CH ₂ OCH ₂ CH ₃	H	Me	CH ₂ CCCH ₃	H
	Me	CH2OCH2CH2CH3	H	Me	CH ₂ CN	H
	Me	$\mathrm{CH_{2}OCH_{2}CH_{2}OCH_{3}}$	H	Me	CH2OC(CH3)2OCH3 SO2CH3 SO2CH2CH3 SO2CH2CH3 SO2CH2CH2CH3 CH2CH2SO2CH3 CH2CHCH2 CH2CHCCH2 CH2CHCCH3 CH2CCCH CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCH3 CH2CCCCH3 CH2CCCCCCCCCC	H
	Me	$\mathtt{CH_2OCH_2CH_2OCH_2CH_3}$	H .	Me	NHCH ₂ CH ₃	H
25	Me	OCH3	H	Me	NHCH(CH ₃) ₂	H
	Me	OCH ₂ CH ₃	H	Me	NHC(CH ₃) ₃	H
	Me	OCH ₂ CH ₂ CH ₃	H	Me	NHCH2CH2CH2CH3	H
	Me	OCH(CH ₃) ₂	H	Me	NHCH2CH2CH3	H
	Me	CH2OCH(CH3)OCH3	H	Me	N(CH ₃) ₂	H
30				Me	N(CH ₃)CH ₂ CH ₃	H
			1	Me	$N(CH_2CH_3)_2$	H
				Me	CH ₂ Ph	H
				Me	Et	Me
3 5				Me	n-Pr	Me
JU			1	Me	i-Pr	Me

	R ¹	R ³	R ⁴	R1	\mathbb{R}^3	R ⁴
5	Me	n-Bu	Me	Me	OCH ₂ CH ₃	Et
	Me	COCH3	Me	Me	SO ₂ CH ₃	Et
	Me	CHO	Me	Me	${ m CH_2CH_2SO_2Me}$	Et
	Me	COCH ₂ CH ₃	Me	Me	CH ₂ CHCH ₂	Et
	Me	CH ₂ OCH ₃	Me	Me	CH ₂ CCH	Et
10	Me	CH2OCH2CH2OCH3	Me	Me	CH ₂ CN	Et
20	Me	OCH ₃	Me	Me	$N(CH_3)_2$	Et
	Me	OCH ₂ CH ₃	Me	Me	n-Pr	n-Pr
	Me	SO ₂ CH ₃	Me	Me	i-Pr	i-Pr
	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	Me	Me	COCH ₃	n-Pr
15	Me	CH ₂ CCH	Me	Me	CHO	n-Pr
20	Me	CH ₂ CN	Me	Me	COCH ₂ CH ₃	n-Pr
	Me	NHCH ₃	Me	Me	CH ₂ OCH ₃	n-Pr
	Me	$N(CH_3)_2$	Me	Me	CH2OCH2CH2OCH3	n-Pr
	Me	Et	Et	Me	OCH ₃	n-Pr
20	Me	COCH ₃	Et	Me	OCH ₂ CH ₃	n-Pr
	Me	CHO	Et	Me	SO ₂ CH ₃	n-Pr
	Me	COCH ₂ CH ₃	Et	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	n-Pr
	Me	CH ₂ OCH ₃	Et	Me	CH ₂ CN	n-Pr
	Me	CH2OCH2CH2OCH3	Et	Me	N(CH ₃) ₂	n-Pr
2 5	Me	OCH _{3.}	Et			

Me CH ₂ OCH ₂ CH ₂ OCH ₃ n-Bu Me Me (CH ₂) ₃ Cl 10 Me OCH ₃ n-Bu Me Et (CH ₂) ₃ Cl		\mathbb{R}^1	R ³	R ⁴	R1	R ³	R ⁴
Me CHO n-Bu Me CH2CCH c-Bu Me COCH2CH3 n-Bu Me N(CH3)2 c-Bu Me CH2OCH3 n-Bu Me H (CH2)3CH Me CH2OCH2CH2OCH3 n-Bu Me Me (CH2)3CH Me OCH3 n-Bu Me Bt (CH2)3CH Me SO2CH3 n-Bu Me OCH3 (CH2)3CH Me SO2CH3 n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me Me OCH3 (CH2)3CH Me CH2CN n-Bu Me Me CH2)3CH Me Pentyl Me Me CH2CN n-Bu Me Me CPentyl Me Me CH2CH c-pentyl Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-Pr Me CH2CHCH2 c-pentyl Me N(CH3)2 c-Pr Me	5	Me	COCH ₃	n-Bu	Me	сн ₂ снсн ₂	c-Bu
Me COCH2CH3 n-Bu Me N(CH3)2 c-Bu Me CH2OCH3 n-Bu Me H (CH2)3CH2 Me CH2OCH2CH2OCH3 n-Bu Me Me CH2)3CH2 Me OCH3 n-Bu Me Et (CH2)3CH2 Me SO2CH3 n-Bu Me OCH3 (CH2)3CH2 Me CH2CN n-Bu Me OCH3 (CH2)3CH2 Me CH2CN n-Bu Me OCH3 (CH2)3CH2 Me CH2CN n-Bu Me Me CH2)3CH2 Me CH2CN n-Bu Me Me C-pentyl Me CH2CN n-Bu Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-Pr Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-Pr Me CH2CH c-pentyl Me Me CH2CH c-pentyl Me CH2CHCH2 c-pentyl <		Me	CHO	n-Bu	Me	CH ₂ CCH	c-Bu
Me CH2OCH3 n-Bu Me H (CH2)3CH 10 Me CH2OCH2CH2OCH3 n-Bu Me Me Me (CH2)3CH Me OCH3 n-Bu Me Et (CH2)3CH Me SO2CH3 n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me OCH3 (CH2)3CH Me Me OCH3 (CH2)3CH Me OCH3 (CH2)3CH Me Me Me Me OCH3 CH2DH OCH3 CPentyl Me CH2CN n-Bu Me Me CH2CHCH2 CPentyl Me CH2CHCH2 c-Pr Me CH2CHCH2 C-Pentyl Me CH2CHCH c-Pr Me CH2CH C-Pentyl Me Me CH2CH C-Pentyl Me Me Chexyl Me Me			-	n-Bu	Me	$N(CH_3)_2$	c-Bu
Me CH2OCH2CH2OCH3 n-Bu Me Me (CH2)3CH Me SO2CH3 n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me He c-pentyl Me Me CH2 c-pentyl Me Me c-pentyl Me CH2CHCH2 c-Pr Me CH2CHCH2 c-pentyl Me CH2CCH c-Pr Me CH2CCH c-pentyl Me Me CH2CH c-pentyl Me CH2CCH c-pentyl		Me		n-Bu	Me	H	$(CH_2)_3Cl$
10 Me OCH3 n-Bu Me Et (CH2)3CH Me SO2CH3 n-Bu Me OCH3 (CH2)3CH Me CH2CN n-Bu Me He c-pentyl Me Me C-Pr Me Me c-pentyl Me Et c-Pr Me OCH3 c-pentyl Me CH2CHCH2 c-Pr Me CH2CHCH2 c-pentyl Me CH2CCH c-Pr Me CH2CCH c-pentyl Me CH2CCH c-Pr Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me Me Chexyl Me Et c-Bu Me Me c-hexyl Me n-Pr c-Bu Me CH2CHCH2 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl				n-Bu	Me	Me	$(CH_2)_3Cl$
Me SO2CH3 n-Bu Me OCH3 (CH2)3CR Me CH2CN n-Bu Me H c-pentyl Me Me H c-pentyl Me Et c-pentyl Me OCH3 c-pentyl Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-pentyl Me CH2CH c-pentyl Me CH2Ph c-pentyl Me Me chexyl Me Me chexyl Me CH2CHCH2	10			i	Me	Et	$(CH_2)_3CI$
Me CH2CN n-Bu Me H c-pentyl Me Me c-pentyl Me Et c-pentyl Me Et c-pentyl Me OCH3 c-pentyl Me OCH3 c-Pr Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-Pr Me CH2CCH c-pentyl Me CH2CCH c-pentyl Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl Me Et c-bexyl Me Me CH2CHCH2 c-hexyl Me NCH3 c-hexyl Me CH2CHCH2 c-hexyl	10		•	n-Bu	Me	осн ₃	$(CH_2)_3CI$
Me Me C-Pr Me Me c-pentyl Me Et c-pentyl Me OCH3 c-pentyl Me OCH3 c-pentyl Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-pentyl Me CH2CCH c-pentyl Me CH2CCH c-Pr Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl Me Me c-bexyl Me Me c-hexyl Me n-Pr c-Bu Me Me CH2CHCH2 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl				n-Bu	Me	H	c-pentyl
Me Et c-Pr Me Et c-pentyl Me OCH3 c-pentyl Me OCH3 c-pentyl Me CH2CHCH2 c-Pr Me CH2CCH c-pentyl Me CH2CCH c-Pr Me CH2CCH c-pentyl Me CH2CCH c-pentyl Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl Me Et c-Bu Me Me c-hexyl Me Nc-Pr c-Bu Me OCH3 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl			_	A.Pr		Me	_
15 Me n-Pr c-Pr Me OCH3 c-pentyl Me OCH3 c-pentyl Me CH2CHCH2 c-pentyl Me CH2CCH c-Pr Me CH2CCH c-pentyl Me CH2CCH c-Pr Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl Me Et c-Bu Me Me c-hexyl Me n-Pr c-Bu Me OCH3 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl						Et	
Me N-PT CH1 Me CH2CHCH2 c-pentyl Me CH2CHCH2 c-Pr Me CH2CCH c-pentyl Me CH2CCH c-Pr Me CH2CH c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl Me Me c-Bu Me Me c-hexyl Me n-Pr c-Bu Me OCH3 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl	15						_
Me CH2CHCH2 c-Pr Me CH2CCH c-pentyl Me CH2CCH c-Pr Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl Me Me C-Bu Me Me c-hexyl Me n-Pr c-Bu Me OCH3 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl	10			1	Me	_	c-pentyl
Me CH2CCH c-Pr Me CH2Ph c-pentyl Me N(CH3)2 c-Pr Me H c-hexyl 20 Me Me c-Bu Me Me c-hexyl Me n-Pr c-Bu Me OCH3 c-hexyl Me OCH3 c-hexyl Me CH2CHCH2 c-hexyl			•		Me		
Me N(CH ₃) ₂ c-Pr Me H c-hexyl 20 Me Me c-Bu Me Me c-hexyl Me Et c-hexyl Me OCH ₃ c-hexyl Me OCH ₃ c-hexyl Me CH ₂ CHCH ₂ c-hexyl			-		Me	_	_ :
20 Me Me c-Bu Me Me c-hexyl Me Et c-Bu Me Et c-hexyl Me n-Pr c-Bu Me OCH ₃ c-hexyl Me OCH ₃ c-Bu Me CH ₂ CHCH ₂ c-hexyl			•			_	_
Me Et c-Bu Me Et c-hexyl Me n-Pr c-Bu Me OCH3 c-hexyl Me OCH3 c-Bu Me CH2CHCH2 c-hexyl							-
Me n-Pr c-Bu Me OCH ₃ c-hexyl Me OCH ₃ c-hexyl	20	Me	Me				-
Me OCH3 c-Bu Me CH2CHCH2 c-hexyl		Me	Et	c-Bu			-
220 0000		Me	n-Pr	c-Bu	Me	OCH ₃	c-hexyl
- I		Me	OCH ₃	c-Bu	Me	CH ₂ CHCH ₂	c-hexyl
			· ·		Me	CH ₂ CCH	c-hexyl

	R ¹	R ³	R ⁴	<u>R</u> 1	R ³	R4
5	Me	CH ₂ Ph	CH ₂ -c-Pr	Et	СН ₂ ОСН ₃	H
· ·	Me	COCH ₃	CH ₂ -c-Pr	Et	$(CH_2)_2OCH_2CH_3$	H
	Me	СНО	CH ₂ -c-Pr	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	H
	Me	CH ₂ OCH ₃	CH ₂ -c-Pr	Et	OCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ -c-Pr	Et	OCH ₂ CH ₃	H
10	Me	CH ₂ CN	CH ₂ -c-Pr	Et	SO ₂ CH ₃	H
10	Me	н	CH ₂ C(Cl)CH ₂	Et	(CH ₂) ₂ SO ₂ CH ₃	H
	Me	Me	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCH ₂	H
	Me	Et	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCHCH ₃	Ħ
	Me	n-Pr	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2CHCH_2$	H
15	Me	СНО	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCH	H
	Me	och ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CN	H
	Me	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂	Et	NHCH ₃	H
	Et	H	н	Et	$N(CH_3)_2$	H
20	Et	Me	н	Et	CH ₂ Ph	H
	Et	Et	H	Et	Et	Me
	Et	n-Pr	H	Et	n-Pr	Me
	Et	i-Pr	н	Et	Me	Me
	Et	n-Bu	н	Et	COCH ₃	Me
25	Et	i-Bu	н	Et	СНО	Me
	Et	s-Bu	н	Et	CH ₂ OCH ₃	Me
	Et	t-Bu	н	Et,	$CH_2O(CH_2)_2OCH_3$	Me
	Et	COCH ₃	H	Et	OCH ₃	Me
	Et	CHO	H	Et	SO_2CH_3	Me
30						

	\mathbf{R}^{1}	L R3	R4	R1 R3	\mathbb{R}^4
5	Et	Z.Z- Z3	Me	Et CH ₂ O(CH ₂) ₂ OCH ₃	n-Pr
	Et	CH ₂ CHCH ₂	Me	Et OCH3	n-Pr
	Et	CH ₂ CCH	Me	Et SO ₂ CH ₃	n-Pr
	Eŧ	CH ₂ CN	Me	Et (CH ₂) ₂ SO ₂ CH ₃	n-Pr
	Et	NHCH ₃	Me	Et CH2CHCH2	n-Pr
10	Et	$N(CH_3)_2$	Me	Et CH2CCH	n-Pr
	Et	CH ₂ Ph	Me	Et CH ₂ CN	n-Pr
	Et	Et	Et	Et N(CH ₃) ₂	n-Pr
	Et	COCH3	Et	Et CH ₂ Ph	n-Pr
	Et	CHO	Et	Et n-Bu	n-Bu
15	Et	CH ₂ OCH ₃	Et	Et CHO	n-Bu
	Et	CH ₂ O(CH ₂) ₂ OCH ₃	Et	Et COCH3	n-Bu
	Et	OCH ₃	Et	Et CH2OCH3	n-Bu
	Et	SO_2CH_3	Et	Et CH2O(CH2)2OCH3	n-Bu
	Et	$(CH_2)_2SO_2CH_3$	Et	Et OCH3	n-Bu
20	Et	CH ₂ CCH	Et	Et SO ₂ CH ₃	n-Bu
	Et	CH ₂ CHCH ₂	Et	Et CH ₂ CN	n-Bu
	Et	CH ₂ CN	Et	Et CH ₂ Ph	n-Bu
	Et	$N(CH_3)_2$	Et	Et i-Pr	i-Pr
	Et	CH ₂ Ph	Et	Et Me	c-Pr
25	Et	n-Pr	n-Pr	Et Et	c-Pr
	Et	CHO	n-Pr	Et n-Pr	c-Pr
	Et	COCH ₃	n-Pr	Et OCH3	с-Рт
	Et	CH ₂ OCH ₃	n-Pr	Et CH2CHCH2	c-Pr
00			1		

	R1	\mathbb{R}^3	R ⁴	<u>R</u> 1	\mathbb{R}^3	R ⁴
			_	TRA	on con	. h
5	Et	CH ₂ CCH	c-Pr	Et	CH ₂ CCH	c-hexyl
	Et	$N(CH_3)_2$	c-Pr	Et	CH ₂ Ph	c-hexyl
	Et	CH ₂ Ph	e-Pr	Et	CH ₂ Ph	CH ₂ -c-Pr
	Et	H	c-Pr	Et	CHO	CH ₂ -c-Pr
	Et	H	c-Bu	Et	CH ₂ OCH ₃	CH ₂ -c-Pr
10	Et	Me	c-Bu	Et	SO_2CH_3	CH ₂ -c-Pr
	Et	OCH ₃	c-Bu	Et	CH ₂ CN	CH ₂ -c-Pr
	Et	$N(CH_3)_2$	c-Bu	Et	H	$\mathrm{CH}_2\mathrm{C}(\mathrm{Cl})\mathrm{CH}_2$
	Et	CH ₂ Ph	c-Bu	Et	Me	$CH_2C(Cl)CH_2$
	Et	Ħ	(CH ₂) ₃ Cl	Et	Et	$CH_2C(CI)CH_2$
15	Et	Me	(CH ₂) ₃ Cl	Et	n-Pr	$\mathrm{CH_2C}(\mathrm{Cl})\mathrm{CH_2}$
	Et	OCH8	(CH ₂) ₃ Cl	Et	OCH ₃	$\mathrm{CH}_2\mathrm{C}(\mathrm{Cl})\mathrm{CH}_2$
	Et	CH ₂ Ph	(CH ₂) ₃ Cl	Et	$N(CH_3)_2$	$\mathrm{CH}_2\mathrm{C}(\mathrm{Cl})\mathrm{CH}_2$
	Et	H	c-pentyl	n-Pr	H	H
	Et	Me	c-pentyl	n-Pr	Me	H
20	Et	Et	c-pentyl	n-Pr	Et	H
	Et	OCH ₃	c-pentyl	n-Pr	n-Pr	H
	Et	CH_2CHCH_2	c-pentyl	n-Pr	i-Pr	H
	Et	CH ₂ CCH	c-pentyl	n-Pr	n-Bu	H
	Et	CH ₂ Ph	c-pentyl	n-Pr	i-Bu	H
2 5	Et	H	c-hexyl	n-Pr	s-Bu	H
	Et	Me	c-hexyl	n-Pr	t-Bu	H
	Et	Et	c-hexyl	n-Pr	CHO	H
	Et	осн ₃	c-hexyl	n-Pr	COCH ₃	H
	Et	$\mathrm{CH_2CHCH_2}$	c-hexyl	n-Pr	CH ₂ OCH ₃	н .

	R ¹	R ³	R ⁴	\mathbf{R}^{1}	\mathbb{R}^3	R ⁴
5	n-Pr	осн ₃	н	n-Pr	CH ₂ Ph	n-Pr
U	n-Pr	SO ₂ CH ₃	н	n-Pr	n-Bu	n-Bu
	n-Pr	$(CH_2)_2SO_2CH_3$	H	n-Pr	CHO	n-Bu
	n-Pr	CH2CHCH2	H	n-Pr	SO_2CH_3	n-Bu
	n-Pr	CH ₂ CCH	н	n-Pr	CH ₂ CN	n-Bu
10	n-Pr	CH ₂ CN	н	n-Pr	CH ₂ Ph	n-Bu
10	n-Pr	N(CH ₃) ₂	H	n-Pr	Me	e-Pr
	n-Pr	CH ₂ Ph	н	n-Pr	H	c-Pr
	n-Pr	Me	Me	n-Pr	H	$(CH_2)_3Cl$
	n-Pr	СНО	Me	n-Pr	H	c-pentyl
15	n-Pr	COCH ₃	Me	n-Pr	H	c-hexyl
15	n-Pr	OCH ₃	Me	n-Pr	H	CH ₂ C(Cl)CH ₂
	n-Pr	SO ₂ CH ₃	Me	n-Pr	H	CH ₂ -c-Pr
	n-Pr	$(CH_2)_2SO_2CH_3$	Me	n-Bu	Me	H
	n-Pr	CH ₂ CN	Me	$\mathrm{CH_2CH_2Cl}$	Me	Ħ
20	n-Pr	CH ₂ Ph	Me	$(CH_2)_3Cl$	Me	H
20	n-Pr	Et	Et	(CH ₂) ₄ Cl	Me	H
	n-Pr	CHO	Et	e-Pr	Me	H
	n-Pr	COCH3	Et	e-Bu	Me	H
	n-Pr	OCH ₃	Et	i-Pr	Me	H
25	n-Pr	SO ₂ CH ₃	Et	c-pentyl	Me	Ħ
	n-Pr	CH ₂ CN	Et	c-hexyl	Me	H
	n-Pr	CH ₂ Ph	Et	CH ₂ -c-Pr	Me	H
	n-Pr	i-Pr	i-Pr	CH ₂ -c-pentyl	Me	H
	n-Pr	CHO	n-	- 0 ₁		
30	Pr n-Pr	SO ₂ CH ₃	n-			
	Pr					

5 R¹S NO₂

10	R ¹	R ³	R ⁴	R ¹	\mathbb{R}^3	R4
	Me	i-Pr	н	Me	$\mathrm{CH_2OC}(\mathrm{CH_3})_2\mathrm{OCH_3}$	H
	Me	n-Bu	H	Me	80_2 CH $_3$	H
	Me	i-Bu	H	Me	$SO_2CH_2CH_3$	H
	Me	s-Bu	H	Me	$SO_2CH_2CH_2CH_3$	H
15	Me	t-Bu	н	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	H
	Me	H	н	Me	CH ₂ CHCH ₂	H
	Me	COCH ₃	H	Me	$CH_2CH_2CHCH_2$	H
	Me	СНО	н	Me	CH ₂ CHCHCH ₃	H
	Me	COCH ₂ CH ₃	H	Me	CH ₂ CCH	H
20	Me	CH ₂ OCH ₃	H	Me	CH ₂ CH ₂ CCH	H
	Me	CH2OCH2CH3	H	Me	CH ₂ CCCH ₃	H
	Me	CH2OCH2CH2CH3	н	Me	CH ₂ CN	H
	Me	CH2OCH2CH2OCH3	H	Me	NHCH ₃	H
	Me	CH2OCH2CH2OCH2CH3	H	Me	NHCH ₂ CH ₃	H
2 5	Me	OCH3	H	Me	NHCH(CH ₃) ₂	H
	Me	OCH ₂ CH ₃	H	Me	NHC(CH ₃) ₃	H
	Me	OCH ₂ CH ₂ CH ₃	H	Me	NHCH2CH2CH2CH3	H
	Me	OCH(CH ₃) ₂	H	Me	NHCH2CH2CH3	H
	Me	CH ₂ OCH(CH ₃)OCH ₃	H	Me	$N(CH_3)_2$	H
30			1	Me	N(CH ₃)CH ₂ CH ₃	H
			1	Me	$N(CH_2CH_3)_2$	H
				Me	CH ₂ Ph	H
				Me	Et	Me
35				Me	n-Pr	Me
JU				Me	i-Pr	Me

	\mathbb{R}^1	R ³	R4	R1	R ³	R ⁴
5	Me	n-Bu	Me	Me	OCH ₂ CH ₃	Et
v	Me	COCH ₃	Me	Me	SO ₂ CH ₃	Et
	Me	CHO	Me	Me	$\mathrm{CH_2CH_2SO_2Me}$	Et
	Me	COCH ₂ CH ₃	Me	Me	CH ₂ CHCH ₂	Et
	Me	CH ₂ OCH ₃	Me	Me	CH ₂ CCH	Et
10	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	Me	Me	CH ₂ CN	Et
10	Me	OCH ₃	Me	Me	N(CH ₃) ₂	Et
	Me	OCH ₂ CH ₃	Me	Me	n-Pr	n-Pr
	Me	so ₂ CH ₃	Me	Me	i-Pr	i-Pr
	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	Me	Me	COCH ₃	n-Pr
15	Me	CH ₂ CCH	Me	Me	СНО	n-Pr
10	Me	CH ₂ CN	Me	Me	COCH ₂ CH ₃	n-Pr
•	Me	NHCH ₃	Me	Me	CH ₂ OCH ₃	n-Pr
	Me	N(CH ₃) ₂	Me	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	n-Pr
	Me	Et	Et	Me	OCH ₃	n-Pr
20	Me	COCH8	Et	Me	OCH ₂ CH ₃	n-Pr
20	Me	СНО	Et	Me	SO ₂ CH ₃	n-Pr
	Me	COCH ₂ CH ₃	Et	Me	CH2CH2SO2CH3	n-Pr
	Me	CH ₂ OCH ₃	Et	Me	CH ₂ CN	n-Pr
	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	Et	Me	N(CH ₃) ₂	n-Pr
25	Me	OCH3	Et			

	R1	R ³	R ⁴	R1	R 3	R4
5	Me	COCH ₃	n-Bu	Me	сн ₂ снсн ₂	c-Bu
	Me	СНО	n-Bu	Me	CH ₂ CCH	c-Bu
	Me	COCH ₂ CH ₃	n-Bu	Me	$N(CH_3)_2$	c-Bu
	Me	CH ₂ OCH ₃	n-Bu	Me	H	$(CH_2)_3Cl$
	Me	$CH_2OCH_2CH_2OCH_3$	n-Bu	Me	Me	$(CH_2)_3Cl$
10	Me	осн ₃	n-Bu	Me	Et	$(CH_2)_3Cl$
	Me	SO ₂ CH ₃	n-Bu	Me	OCH ₃	$(CH_2)_3Cl$
	Me	CH ₂ CN	n-Bu	Me	H	c-pentyl
	Me	Me	c-Pr	Me	Me	c-pentyl
	Me	Et	c-Pr	Me	Et	e-pentyl
1 5	Me	n-Pr	c-Pr	Me	OCH ₃	c-pentyl
	Me	OCH ₃	e-Pr	Me	CH_2CHCH_2	c-pentyl
	Me	CH2CHCH2	e-Pr	Me	CH ₂ CCH	c-pentyl
	Me	CH ₂ CCH	e-Pr	Me	CH_2Ph	c-pentyl
	Me	N(CH ₃) ₂	e-Pr	Me	H	c-hexyl
20	Me	Me	e-Bu	Me	Me	c-hexyl
	Me	Et	e-Bu	Me	Et	c-hexyl
	Me	n-Pr	c-Bu	Me	OCH3	c-hexyl
	Me	OCH ₃	e-Bu	Me	CH ₂ CHCH ₂	c-hexyl
				Me	CH ₂ CCH	c-hexyl

	R1	R ³	R ⁴	R ¹	R ³	R ⁴
5	Me	CH ₂ Ph	CH ₂ -c-Pr	Et	CH ₂ OCH ₃	H
J	Me	COCH ₃	CH ₂ -c-Pr	Et	$(CH_2)_2OCH_2CH_3$	H
	Me	СНО	CH2-c-Pr	Et	$\mathrm{CH_2O}(\mathrm{CH_2})_2\mathrm{OCH_3}$	H
	Me	CH ₂ OCH ₃	CH ₂ -c-Pr	Et	och ₃	H
	Me	SO ₂ CH ₃	CH ₂ -c-Pr	Et	OCH ₂ CH ₃	H
10	Me	CH ₂ CN	CH ₂ -e-Pr	Et	SO_2CH_3	H
10	Me	H	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2SO_2CH_3$	H
-	Me	Me	CH ₂ C(Cl)CH ₂	Et	CH_2CHCH_2	H
	Me	Et	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCHCH ₃	H
	Me	n-Pr	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2CHCH_2$	H
15	Me	CHO	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCH	H
10	Me	oca3	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CN	H
	Me	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂	Et	NHCH ₃	H
	Et	н	н	Et	N(CH ₃) ₂	H
20	Et	Me	н	Et	CH ₂ Ph	H
	Et	Et	H	Et	Et	Me
	Et	n-Pr	н	Et	n-Pr	Me
	Et	i-Pr	н	Et	Me	Me
	Et	n-Bu	н	Et	COCH ₃	Me
25	Et	i-Bu ,	н	Et	СНО	Me
	Et	s-Bu	H	Et	CH ₂ OCH ₃	Me
	Et	t-Bu	н	Et	CH ₂ O(CH ₂) ₂ OCH ₃	Me
	Et	COCH ₃	H	Et	och ₃	Me
	Et	СНО	н	Et	SO ₂ CH ₃	Me

	\mathbf{R}^{1}	\mathbb{R}^3	R ⁴	R ¹	R ³	R ⁴
5	Et	(CH ₂) ₂ SO ₂ CH ₃	Me	Et	CH ₂ O(CH ₂) ₂ OCH ₃	n-Pr
J	Et	CH ₂ CHCH ₂	Me	Et	OCH ₃	n-Pr
	Et	CH ₂ CCH	Me	Et	SO ₂ CH ₃	n-Pr
	Et	CH ₂ CN	Me	Et	$(CH_2)_2SO_2CH_3$	n-Pr
	Et	NHCH ₃	Me	Et	CH ₂ CHCH ₂	n-Pr
10	Et	$N(CH_3)_2$	Me	Et	CH ₂ CCH	n-Pr
10	Et	CH ₂ Ph	Me	Et	CH ₂ CN	n-Pr
	Et	Et	E t	Et	N(CH ₃) ₂	n-Pr
	Et	COCH3	E t	Et	CH ₂ Ph	n-Pr
	Et	СНО	Et	Et	n-Bu	n-Bu
15	Et	CH ₂ OCH ₃	Et	Et	СНО	n-Bu
10	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	E t	Et	COCH ₃	n-Bu
	Et	OCH ₃	Et	Et	CH ₂ OCH ₃	n-Bu
	Et	SO ₂ CH ₃	Et	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	n-Bu
	Et	$(CH_2)_2SO_2CH_3$	Et	Et	OCH ₃	n-Bu
20	Et	CH ₂ CCH	Et	Et	SO ₂ CH ₃	n-Bu
20	Et	CH ₂ CHCH ₂	Et	Et	CH ₂ CN	n-Bu
	Et	CH ₂ CN	Et	Et	CH ₂ Ph	n-Bu
	Et	$N(CH_3)_2$	Et	Et	i-Pr	i-Pr
	Et	CH ₂ Ph	Et	Et	Me	c-Pr
25	Et	n-Pr	n-Pr	Et	Et	c-Pr
	Et	СНО	n-Pr	Et	n-Pr	c-Pr
	Et	COCH ₃	n-Pr	Et	OCH ₃	c-Pr
	Et	сн ₂ осн ₃	n-Pr	Et	CH ₂ CHCH ₂	c-Pr

	\mathbb{R}^1	R ³	R ⁴	R ¹	R ³	R4
5	Eŧ	CH ₂ CCH	c-Pr	Et	CH ₂ CCH	c-hexyl
Ð	Et	N(CH ₃) ₂	e-Pr	Et	CH ₂ Ph	c-hexyl
	Et	CH ₂ Ph	e-Pr	Et	CH ₂ Ph	CH ₂ -c-Pr
	Et	н	c-Pr	Et	CHO	CH2-c-Pr
	Et	н	c-Bu	Et	CH ₂ OCH ₃	CH ₂ -e-Pr
4.0	Et	Me	c-Bu	Et	SO ₂ CH ₃	CH ₂ -c-Pr
10	Et	OCH ₃	c-Bu	Et	CH ₂ CN	CH2-e-Pr
	Et	N(CH ₃) ₂	c-Bu	Et	H	$CH_2C(Cl)CH_2$
	Et	CH ₂ Ph	c-Bu	Et	Me	$\mathrm{CH_2C}(\mathrm{Cl})\mathrm{CH_2}$
	Et	Н	(CH ₂) ₃ Cl	Et	Et	$CH_2C(CI)CH_2$
	Et	Me	(CH ₂) ₃ Cl	Et	n-Pr	$CH_2C(Cl)CH_2$
15	Et	OCH ₃	(CH ₂) ₃ Cl	Et	OCH ₃	$CH_2C(Cl)CH_2$
	Et	CH ₂ Ph	(CH ₂) ₃ Cl	Et	$N(CH_3)_2$	$\mathrm{CH_2C}(\mathrm{Cl})\mathrm{CH_2}$
	Et	н	c-pentyl	n-Pr	H	H
	Et	Me	c-pentyl	n-Pr	Me	H
20	Et	Et	c-pentyl	n-Pr	Et	H
20	Et	OCH ₃	c-pentyl	n-Pr	n-Pr	H
	Et	CH_2CHCH_2	c-pentyl	n-Pr	i-Pr	H
	Et	CH ₂ CCH	c-pentyl	n-Pr	n-Bu	H
	Et	CH ₂ Ph	c-pentyl	n-Pr	i-Bu	н
25	Et	H	c-hexyl	n-Pr	s-Bu	H
20	Et	Me	c-hexyl	n-Pr	t-Bu	H
	Et	Et	c-hexyl	n-Pr	СНО	H
	Et	OCH ₃	c-hexyl	n-Pr	COCH ₃	H
	Et	CH ₂ CHCH ₂	c-hexyl	n-Pr	CH ₂ OCH ₃	H

	R ¹	R ³	R4	R ¹	R ³	R ⁴
5	n-Pr	OCH3	н	n-Pr	CH ₂ Ph	n-Pr
	n-Pr	SO ₂ CH ₃	H	n-Pr	n-Bu	n-Bu
	n-Pr	$(\mathrm{CH_2})_2\mathrm{SO}_2\mathrm{CH}_3$	H	n-Pr	СНО	n-Bu
	n-Pr	CH ₂ CHCH ₂	H	n-Pr	SO_2CH_3	n-Bu
	n-Pr	CH ₂ CCH	H	n-Pr	CH ₂ CN	n-Bu
10	n-Pr	CH ₂ CN	н	n-Pr	CH ₂ Ph	n-Bu
•	n-Pr	$N(CH_3)_2$	H	n-Pr	Me	e-Pr
	n-Pr	CH ₂ Ph	H	n-Pr	н	e-Pr
	n-Pr	Me	Me	n-Pr	H	$(CH_2)_3Cl$
	n-Pr	CHO	Me	n-Pr	н	c-pentyl
15	n-Pr	COCH ₃	Me	n-Pr	H	c-hexyl
	n-Pr	OCH ₃	Me	n-Pr	H	$CH_2C(Cl)CH_2$
	n-Pr	SO ₂ CH ₃	Me	n-Pr	H	CH ₂ -c-Pr
	n-Pr	$(CH_2)_2SO_2CH_3$	Me	n-Bu	Me	н
	n-Pr	CH ₂ CN	Me	CH ₂ CH ₂ Cl	Me	H
20	n-Pr	CH ₂ Ph	Me	(CH ₂) ₃ Cl	Me	H
	n-Pr	Et	Et	(CH ₂) ₄ Cl	Me	H
	n-Pr	CHO	Et	c-Pr	Me	H
	n-Pr	COCH3	Et	c-Bu	Me	H
	n-Pr	OCH ₃	Et	i-Pr	Me	H
25	n-Pr	SO ₂ CH ₃	Et	c-pentyl	Me	H
	n-Pr	CH ₂ CN	Et	c-hexyl	Me	H
	n-Pr	CH ₂ Ph	Et	СН2-с-Рт	Me	H
	n-Pr	i-Pr	i-Pr	CH ₂ -c-pentyl	Me	H
	n-Pr	CHO	n-			
30	Pr					
	n-Pr	SO ₂ CH ₃	n-			
	Pr					

 $\begin{array}{c|c}
 & NO_2 \\
 & N-R^5 \\
 & R^4
\end{array}$

10	\mathbb{R}^1	R ³	R4	R1	R ³	R4
	Me	i-Pr	H	Me	CH ₂ OC(CH ₃) ₂ OCH ₃	н
	Me	n-Bu	H	Me	SO ₂ CH ₃	H
	Me	i-Bu	H	Me	$SO_2CH_2CH_3$	H
15	Me	g-Bu	H	Me	SO2CH2CH2CH3	H
10	Me	t-Bu	H	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	H
	Me	H	H	Me	CH ₂ CHCH ₂	H
	Me	COCH ₃	н -	Me	CH2CH2CHCH2	H
		СНО	H	Me	CH2CHCHCH3	Ħ
20	Me Me	COCH ₂ CH ₃	H	Me	CH ₂ CCH	H
4 0	Me	CH ₂ OCH ₃	H	Me	CH ₂ CH ₂ CCH	H
	Me	CH ₂ OCH ₂ CH ₃	H	Me	CH ₂ CCCH ₃	H
			H	Me	CH ₂ CN	н
	Me	CH ₂ OCH ₂ CH ₂ CH ₃	H	Me	NHCH ₃	H
	Me	CH ₂ OCH ₂ CH ₂ OCH ₃		Me	NHCH ₂ CH ₃	H
25	Me	CH ₂ OCH ₂ CH ₂ OCH ₂ CH ₃		1		H
	Me	OCH ₃	H	Me	NHCH(CH ₃) ₂	
	Me	OCH ₂ CH ₃	H	Me	NHC(CH ₃) ₃	H
	Me	OCH ₂ CH ₂ CH ₃	H	Me	NHCH2CH2CH2CH3	H
	Me	OCH(CH ₃) ₂	H	Me	NHCH2CH2CH3	H
30	Me	CH2OCH(CH3)OCH3	H	Me	N(CH ₃) ₂	H
~~		-		197		

	R ¹	R ⁸	R ⁴	R ¹	\mathbb{R}^3	R ⁴
5	Me	N(CH ₃)CH ₂ CH ₃	н	Me	CH ₂ OCH ₃	Et
	Me	$N(CH_2CH_3)_2$	H	Me	CH2OCH2CH2OCH3	Et
	Me	CH ₂ Ph	H	Me	OCH ₃	Et
	Me	Et	Me	Me	OCH ₂ CH ₃	Et
	Me	n-Pr	Me	Me	SO ₂ CH ₃	Et
10	Me	i-Pr	Me	Me	CH ₂ CH ₂ SO ₂ Me	Et
	Me	n-Bu	Me	Me	CH ₂ CHCH ₂	Et
	Me	COCH ₃	Me	Me	CH ₂ CCH	Et
	Me	CHO	Me	Me	CH ₂ CN	Et
	Me	COCH ₂ CH ₃	Me	Me	$N(CH_3)_2$	Et
15	Me	сн ₂ осн ₃	Me	Me	n-Pr	n-Pr
	Me	CH2OCH2CH2OCH3	Me	Me	i-Pr	i-Pr
	Me	OCH ₃	Me	Me	COCH3	n-Pr
	Me	OCH ₂ CH ₃	Me	Me	СНО	n-Pr
	Me	SO ₂ CH ₃	Me	Me	COCH ₂ CH ₃	n-Pr
20	Me	$\mathrm{CH_{2}CH_{2}SO_{2}CH_{3}}$	Me	Me	CH ₂ OCH ₃	n-Pr
	Me	CH ₂ CCH	Me	Me	CH ₂ OCH ₂ CH ₂ OCH ₃	n-Pr
	Me	CH ₂ CN	Me	Me	осн ₃	n-Pr
	Me	NHCH ₃	Me	Me	OCH ₂ CH ₃	n-Pr
	Me	N(CH ₃) ₂	Me	Me	SO ₂ CH ₃	n-Pr
25	Me	Et	Et	Me	$\mathrm{CH_{2}CH_{2}SO_{2}CH_{3}}$	n-Pr
	Me	COCH ₃	Et	Me	CH ₂ CN	n-Pr
	Me Me	CHO COCH ₂ CH ₃	Et Et	Me	N(CH ₃) ₂	n-Pr

	R ¹	R ³	R ⁴
5	Me	COCH3	n-Bu
	Me	CHO	n-Bu
	Me	COCH ₂ CH ₃	n-Bu
	Me	CH ₂ OCH ₃	n-Bu
	Me	${\tt CH_2OCH_2CH_2OCH_3}$	n-Bu
10	Me	OCH ₃	n-Bu
	Me	SO ₂ CH ₃	n-Bu
	Me	CH ₂ CN	n-Bu
	Me	Me	c-Pr
	Me	Et	c-Pr
15	Me	n-Pr	e-Pr
	Me	OCH ₃	c-Pr
	Me	CH ₂ CHCH ₂	c-Pr
	Me	CH ₂ CCH	c-Pr
	Me	$N(CH_3)_2$	e-Pr
20	Me	Me	c-Bu
	Me	Et	e-Bu
	Me	n-Pr	c-Bu
	Me	OCH ₃	c-Bu

R ¹	R ³	R ⁴
Me	CH ₂ CHCH ₂	c-Bu
Me	CH ₂ CCH	c-Bu
Me	$N(CH_3)_2$	c-Bu
Me	H	(CH ₂) ₃ Cl
Me	Me	(CH ₂) ₃ Cl
Me	Et	(CH ₂) ₃ Cl
Me	OCH ₃	(CH ₂) ₃ Cl
Me	H	c-pentyl
Me	Me	c-pentyl
Me	Et	c-pentyl
Me	OCH ₃	c-pentyl
Me	CH_2CHCH_2	c-pentyl
Me	CH ₂ CCH	c-pentyl
Me	CH_2Ph	c-pentyl
Me	H	c-hexyl
Me	Me	c-hexyl
Me	Et	c-hexyl
Me	OCH ₃	c-hexyl
Me	CH ₂ CHCH ₂	c-hexyl
Me	CHoCCH	c-hexyl

	R ³	L R ³	R ⁴	R1	R 3	R ⁴
5	M	e CH ₂ Ph	CH _{2-c-Pr}	Et	CH ₂ OCH ₃	H
	Mo	e COCH3	CH ₂ -c-Pr	Et	(CH ₂) ₂ OCH ₂ CH ₃	
	Me	е СНО	CH ₂ -c-Pr	Et	CH ₂ O(CH ₂) ₂ OCH	
	Me	CH ₂ OCH ₃	CH ₂ -c-Pr	Et	OCH ₃	я н Н
	Me	so ₂ CH ₃	CH ₂ -c-Pr	Et	OCH ₂ CH ₃	H
10	Me	2	CH ₂ -c-Pr	Et	SO ₂ CH ₃	H
	Me	H	CH ₂ C(Cl)CH ₂	Et	(CH ₂) ₂ SO ₂ CH ₃	H
	Me	Me	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCH ₂	H
	Me	Et	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCHCH ₃	H
	Me	n-Pr	CH ₂ C(Cl)CH ₂	Et	(CH ₂) ₂ CHCH ₂	H
15	Me	CHO	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCH	H
	Me	осн3	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCCH ₃	H
	Me	so ₂ ch ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CN	H
	Me	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂	Et	NHCH ₃	H
	Et	. H	H	Et	N(CH ₃) ₂	H
20	Et	Me	H	Et	CH ₂ Ph	H
	Et	Et	H	Et	Et	Me
	Et	n-Pr	H	Et	n-Pr	Me
	Et	i-Pr	H	Et	Me	Me
	Et	n-Bu	H.	Et	COCH3	Me Me
2 5	Et	i-Bu	H	Et	CHO	Me
	Et	s-Bu	H	Et	CH ₂ OCH ₃	Me Me
	Et	t-Bu	H	Et	CH ₂ O(CH ₂) ₂ OCH ₃	Me
	Et	COCH3	H	Et	OCH ₃	Me
	Et	CHO	H	Et	SO ₂ CH ₃	Me
30			·		- 0	

		•				
	R1	R ³	R4	R1	R ³	R4
5	Et	(CH ₂) ₂ SO ₂ CH ₃	Me	Et	CH ₂ O(CH ₂) ₂ OCH ₃	n-Pr
U	Et	CH ₂ CHCH ₂	Me	Et	och ₃	n-Pr
	Et	CH ₂ CCH	Me	Et	SO ₂ CH ₃	n-Pr
	Et	CH ₂ CN	Me	Et	$(CH_2)_2SO_2CH_3$	n-Pr
	Et	NHCH3	Me	Et	CH ₂ CHCH ₂	n-Pr
10	Et	N(CH ₃) ₂	Me	Et	CH ₂ CCH	n-Pr
10	Et	CH ₂ Ph	Me	Et	CH ₂ CN	n-Pr
	Et	Et	Et	Et	N(CH ₃) ₂	n-Pr
	Et	COCH ₃	Et	Et	CH ₂ Ph	n-Pr
	Et	СНО	Et	Et	n-Bu	n-Bu
15	Et	CH ₂ OCH ₃	Et	Et	CHO	n-Bu
10	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	Et	Et	COCH ₃	n-Bu
	Et	осн ₃	Et	Et	CH ₂ OCH ₃	n-Bu
	Et	SO ₂ CH ₃	Et	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	n-Bu
	Et	$(CH_2)_2SO_2CH_3$	Et	Et	OCH ₃	n-Bu
20	Et	CH ₂ CCH	Et	Et	SO ₂ CH ₃	n-Bu
20	Et	CH ₂ CHCH ₂	Et	Et	CH ₂ CN	n-Bu
	Et	CH ₂ CN	Et	Et	CH ₂ Ph	n-Bu
	Et	N(CH ₃) ₂	Et	Et	i-Pr	i-Pr
	Et	CH ₂ Ph	Et	Et	Me	c-Pr
25	Et	n-Pr	n-Pr	Et	Et	e-Pr
	Et	СНО	n-Pr	Et	n-Pr	c-Pr
	Et	COCH ₃	n-Pr	Et	осн ₃	c-Pr
	Et	CH ₂ OCH ₃	n-Pr	Et	CH ₂ CHCH ₂	c-Pr

	R1	R ³	R ⁴	R ¹	R ³	R ⁴
5	Et	CH ₂ CCH	c-Pr	Et	CH ₂ CCH	c-hexyl
J	Et	$N(CH_3)_2$	c-Pr	Et	CH ₂ Ph	c-hexyl
	Et	CH ₂ Ph	c-Pr	Et	CH ₂ Ph	CH2-c-Pr
	Et	н	c-Pr	Et	СНО	CH ₂ -c-Pr
	Et	H	c-Bu	Et	CH ₂ OCH ₃	CH ₂ -c-Pr
10	Et	Me	c-Bu	Eŧ	SO ₂ CH ₃	CH ₂ -c-Pr
10	Et	OCH ₃	c-Bu	Et	CH ₂ CN	CH2-c-Pr
	Et	N(CH ₃) ₂	c-Bu	Et	H	CH ₂ C(Cl)CH ₂
	Et	CH ₂ Ph	c-Bu	Et	Me	$\mathrm{CH_2C}(\mathrm{Cl})\mathrm{CH_2}$
	Et	н	(CH ₂) ₃ Cl	Et	Et	CH ₂ C(Cl)CH ₂
15	Et	Me	(CH ₂) ₃ Cl	Et	n-Pr	CH ₂ C(Cl)CH ₂
10	Et	осн ₃	(CH ₂) ₃ Cl	Et	осн ₃	CH ₂ C(Cl)CH ₂
	Et	CH ₂ Ph	(CH ₂) ₃ Cl	Et	N(CH ₃) ₂	CH ₂ C(Cl)CH ₂
	Et	н	c-pentyl	n-Pr	н	H
	Et	Me	c-pentyl	n-Pr	Me	н
20	Et	Et	c-pentyl	n-Pr	Et	H
	Et	осн ₃	c-pentyl	n-Pr	n-Pr	H
	Et	CH_2CHCH_2	c-pentyl	n-Pr	i-Pr	H
	Et	CH ₂ CCH	c-pentyl	n-Pr	n-Bu	H
	Et	CH ₂ Ph	c-pentyl	n-Pr	i-Bu	H
2 5	Et	H	c-hexyl	n-Pr	e-Bu	H
	Et	Me	c-hexyl	n-Pr	t-Bu	H
	Et	Et	c-hexyl	n-Pr	CHO	H
	Et	OCH3	c-hexyl	n-Pr	COCH ₃	H
	Et	CH_2CHCH_2	c-hexyl	n-Pr	CH ₂ OCH ₃	H
00						

	R1	R ³	R ⁴	R ¹	R ³	R ⁴
5	n-Pr	осн ₃	H	n-Pr	CH ₂ Ph	n-Pr
U	n-Pr	SO ₂ CH ₃	H	n-Pr	n-Bu	n-Bu
	n-Pr	$(CH_2)_2SO_2CH_3$	H	n-Pr	CHO	n-Bu
	n-Pr	CH ₂ CHCH ₂	H	n-Pr	SO_2CH_3	n-Bu
	n-Pr	CH ₂ CCH	H	n-Pr	$\mathrm{CH_{2}CN}$	n-Bu
10	n-Pr	CH ₂ CN	H	n-Pr	CH ₂ Ph	n-Bu
10	n-Pr	N(CH ₃) ₂	Ħ	n-Pr	Me	e-Pr
	n-Pr	CH ₂ Ph	H	n-Pr	H	e-Pr
	n-Pr	Me	Me	n-Pr	H	(CH ₂) ₃ Cl
	n-Pr	СНО	Me	n-Pr	H	c-pentyl
15	n-Pr	COCH ₃	Me	n-Pr	н	c-hexyl
19	n-Pr	OCH ₃	Me	n-Pr	H	$CH_2C(Cl)CH_2$
	n-Pr	SO ₂ CH ₃	Me	n-Pr	H	CH ₂ -c-Pr
	n-Pr	$(CH_2)_2SO_2CH_3$	Me	n-Bu	Me	H
	n-Pr	CH ₂ CN	Me	CH ₂ CH ₂ Cl	Me	H
20	n-Pr	CH ₂ Ph	Me	(CH ₂) ₃ Cl	Me	H
20	n-Pr	E t	Et	(CH ₂) ₄ Cl	Me	H
	n-Pr	CHO	Et	e-Pr	Me	H
	n-Pr	COCH ₃	Et	e-Bu	Me	H
	n-Pr	OCH ₃	Et	i-Pr	Me	H
25	n-Pr	SO ₂ CH ₃	Et	c-pentyl	Me	H
20	n-Pr	CH ₂ CN	Et	c-hexyl	Me	H
	n-Pr	CH ₂ Ph	Et	CH ₂ -c-Pr	Me	H
	n-Pr	i-Pr	i-Pr	CH ₂ -c-pentyl	Me	H
	n-Pr	CHO	n-			
30	Pr n-Pr	SO ₂ CH ₃	n-			-
	Pr					

5

$$\begin{array}{c|c}
 & N \\
 & R^3
\end{array}$$
Et

10	<u>R</u> 1	R ³	R ⁴	<u>R</u> 1	R ³	R4
	Me	i-Pr	н	Me	SO ₂ CH ₂ CH ₂ CH ₃	H
	Me	n-Bu	н	Me	$\mathrm{CH_2CH_2SO_2CH_3}$	H
	Me	i-Bu	H	Me	CH ₂ CHCH ₂	H
15	Me	s-Bu	H	Me	$CH_2CH_2CHCH_2$	H
	Me	t-Bu	H	Me	CH ₂ CHCHCH ₃	H
	Me	H	H	Me	CH ₂ CCH	H
	Me	COCH ₃	н	Me	CH ₂ CH ₂ CCH	H
	Me	CHO	н	Me	CH ₂ CCCH ₃	H
20	Me	COCH ₂ CH ₃	H	Me	CH ₂ CN	H
	Me	CH ₂ OCH ₃	H	Me	NHCH3	H
	Me	CH ₂ OCH ₂ CH ₃	н	Me	NHCH ₂ CH ₃	H
	Me	CH2OCH2CH2CH3	H	Me	NHCH(CH ₃) ₂	H
	Me	CH2OCH2CH2OCH3	H	Me	NHC(CH ₃) ₃	H
25	Me	CH2OCH2CH2OCH2CH3	н	Me	NHCH2CH2CH2CH3	H
	Me	OCH ₃	н	Me	NHCH2CH2CH3	H
	Me	OCH ₂ CH ₃	н	Me	N(CH ₃) ₂	H
	Me	OCH ₂ CH ₂ CH ₃	н	Me	N(CH ₃)CH ₂ CH ₃	H
	Me	OCH(CH ₃) ₂	H	Me	N(CH ₂ CH ₃) ₂	H
30	Me	CH2OCH(CH3)OCH3	H	Me	CH ₂ Ph	H
	Me	CH ₂ OC(CH ₃) ₂ OCH ₃	н	Me	Et	Me
	Me	SO ₂ CH ₃	H	Me	n-Pr	Me
	Me	SO ₂ CH ₂ CH ₃	H	Me	i-Pr	Me
			- 1			

	R ¹	R ³	R ⁴	R1	R ³	R4
10 15 20	Me M	n-Bu COCH ₃ CHO COCH ₂ CH ₃ CH ₂ OCH ₃ CH ₂ OCH ₂ CH ₂ OCH ₃ OCH ₃ OCH ₂ CH ₃ SO ₂ CH ₃ CH ₂ CCH ₂ CCH ₃ CH ₂ CCH CH ₂ CCH CH ₂ CCH CH ₂ CCH CHCH ₃ N(CH ₃) ₂ Et COCH ₃ CHO COCH ₂ CH ₃	R4 Me Et Et Et	R1 Me	R ³ OCH ₂ CH ₃ SO ₂ CH ₃ CH ₂ CH ₂ SO ₂ Me CH ₂ CHCH ₂ CH ₂ CCH CH ₂ CCH N(CH ₃) ₂ n-Pr i-Pr COCH ₃ CHO COCH ₂ CH ₃ CH ₂ OCH ₃ CH ₂ OCH ₂ CH ₂ OCH ₃ OCH ₃ SO ₂ CH ₃ CH ₂ CCH ₃ CH ₂ CCH ₃ SO ₂ CH ₃ CH ₂ CCH ₂ SO ₂ CH ₃	Et Et Et Et Et ro-Pr
25	Me Me Me	CH ₂ OCH ₃ CH ₂ OCH ₂ CH ₂ OCH ₃ OCH ₃	Et Et Et	Me Me	CH ₂ CN N(CH ₃) ₂	n-Pr n-Pr

	R1	R ³	R ⁴	R1	R ³	<u>R</u> ⁴
5	Me	COCH3	n-Bu	Me	CH ₂ CHCH	o c-Bu
	Me	СНО	n-Bu	Me	CH ₂ CCH	c-Bu
	Me	COCH ₂ CH ₃	n-Bu	Me	$N(CH_3)_2$	c-Bu
•	Me	CH ₂ OCH ₃	n-Bu	Me	H	(CH ₂) ₃ Cl
	Me	CH2OCH2CH2OCH3	n-Bu	Me	Me	(CH ₂) ₃ C ₁
10	Me	och3	n-Bu	Me	Et	
	Me	so_2ch_3	n-Bu	Me	OCH ₃	(CH ₂) ₃ Cl
	Me	CH ₂ CN	n-Bu	Me	•	(CH ₂) ₃ Cl
	Me	Me	c-Pr	Me	H	c-pentyl
	Me	Et	c-Pr	Me	Me	c-pentyl
15	Me	n-Pr	c-Pr	Me	Et OCH ₃	c-pentyl
	Me	OCH ₃	c-Pr	Me	_	c-pentyl
	Me	CH ₂ CHCH ₂	c-Pr	Me	CH ₂ CHCH ₂	
	Me	CH ₂ CCH	c-Pr	Me	CH ₂ CCH	c-pentyl
	Me	N(CH ₃) ₂	c-Pr		CH ₂ Ph	c-pentyl
20	Me	3.0	c-Bu	Me	H	c-hexyl
	Me		c-Bu	Me	Me	c-hexyl
	Me			Me	Et	c-hexyl
	Me	0.077	c-Bu c-Bu	Me	OCH ₃	c-hexyl
			-Du	Me	CH ₂ CHCH ₂	c-hexyl
2 5			1	Me	CH ₂ CCH	c-hexyl

	R1	R ³	R ⁴	R ¹	R ³	R ⁴
5	Me	CH ₂ Ph	CH ₂ -c-Pr	Et	сн ₂ осн ₃	H
Ð	Me	COCH ₃	CH2-c-Pr	Et	$(CH_2)_2OCH_2CH_3$	H
	Me	СНО	CH2-c-Pr	Et	$\mathrm{CH_2O}(\mathrm{CH_2})_2\mathrm{OCH_3}$	H
	Me	CH ₂ OCH ₃	CH ₂ -c-Pr	Et	OCH ₃	H
	Me	SO_2CH_3	CH2-c-Pr	Et	OCH ₂ CH ₃	H
10	Me	CH ₂ CN	CH ₂ -c-Pr	Et	SO ₂ CH ₃	H
10	Me	H	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2SO_2CH_3$	H
	Me	Me	CH ₂ C(Cl)CH ₂	Et	${ m CH}_2{ m CHCH}_2$	H
	Me	Et	CH ₂ C(Cl)CH ₂	Et	CH ₂ CHCHCH ₃	H
	Me	n-Pr	CH ₂ C(Cl)CH ₂	Et	$(CH_2)_2CHCH_2$	H
15	Me	CHO	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCH	H
10	Me	OCH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CCCH ₃	H
	Me	SO ₂ CH ₃	CH ₂ C(Cl)CH ₂	Et	CH ₂ CN	H
	Me	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂	Et	NHCH ₃	H
	Et	н	н	Et	$N(CH_3)_2$	H
20	Et	Me	H	Et	CH ₂ Ph	H
	Et	Et	н	Et	Et	Me
	Et	n-Pr	H	Et	n-Pr	Me
	Et	i-Pr	н	Et	Me	Me
	Et	n-Bu	H	Et	COCH ₃	Me
25	Et	i-Bu	н	Et	СНО	Me
	Et	s-Bu	н	Et	CH ₂ OCH ₃	Me
	Et	t-Bu	н	Et	CH ₂ O(CH ₂) ₂ OCH ₃	Me
	Et	COCH ₃	н	Et	OCH ₃	Me
	Et	СНО	н	Et	SO ₂ CH ₃	Me

	R ¹	R ³	R ⁴	R ¹ R ³	R ⁴
5	Et	$(CH_2)_2SO_2CH_3$	Me	Et CH2O(CH2)2OCH3	- D-
	Et	${ m CH_2CHCH_2}$	Me	Et OCH3	n-Pr n-Pr
	Et	CH ₂ CCH	Me	Et SO ₂ CH ₃	n-Pr
	Et	CH ₂ CN	Me	Et (CH ₂) ₂ SO ₂ CH ₃	n-Pr
	Et	NHCH ₃	Me	Et CH ₂ CHCH ₂	n-Pr
10	Et	$N(CH_3)_2$	Me	Et CH ₂ CCH	n-Fr n-Pr
	Et	CH_2Ph	Me	Et CH ₂ CN	n-Pr
	Et	Et	Et	Et N(CH ₃) ₂	n-Pr
	Et	COCH ₃	Et	Et CH ₂ Ph	n-Pr
	Et	СНО	Et	Et n-Bu	
15	Et	СH ₂ OСH ₃	Et	Et CHO	n-Bu
	Et	$\mathrm{CH_2O(CH_2)_2OCH_3}$	Et	Et COCH3	n-Bu n-Bu
	Et	OCH ³	Et	Et CH2OCH3	n-Bu n-Bu
	Et	SO_2CH_3	Et	Et CH ₂ O(CH ₂) ₂ OCH ₃	n-Bu
	Et	$(CH_2)_2SO_2CH_3$	Et	Et OCH3	n-Bu
20	Et	CH ₂ CCH	Et	Et SO ₂ CH ₃	n-Bu
	Et	CH ₂ CHCH ₂	Et	Et CH ₂ CN	n-Bu
	Et	CH ₂ CN	Et	Et CH ₂ Ph	n-Bu
	Et	N(CH ₃) ₂	Et	Et i-Pr	
	Et	CH ₂ Ph	Et	Et Me	i-Pr
25	Et	n-Pr	n-Pr	Et Et	c-Pr
	Et	СНО	n-Pr	Et n-Pr	c-Pr
	Et	COCH ₃	n-Pr	Et OCH3	c-Pr c-Pr
	Et	CH ₂ OCH ₃	n-Pr	Et CH2CHCH2	c-Pr

	\mathbb{R}^1	\mathbb{R}^3	R ⁴	R1	R ³	R ⁴
5	Et	CH ₂ CCH	c-Pr	Et	CH ₂ CCH	c-hexyl
5	Et	$N(CH_3)_2$	c-Pr	Et	CH ₂ Ph	c-hexyl
	Et	CH ₂ Ph	e-Pr	Et	CH ₂ Ph	CH ₂ -e-Pr
	Et	н	c-Pr	Et	CHO	CH ₂ -c-Pr
	Et	H	c-Bu	Et	CH ₂ OCH ₃	CH ₂ -c-Pr
10	Et	Me	c-Bu	Et	SO_2CH_3	CH ₂ -c-Pr
10	Et	OCH ₃	c-Bu	Et	CH ₂ CN	CH ₂ -c-Pr
	Et	$N(CH_3)_2$	c-Bu	Et	H	$CH_2C(Cl)CH_2$
	Et	CH ₂ Ph	c-Bu	Et	Me	$CH_2C(Cl)CH_2$
	Et	H	(CH ₂) ₃ Cl	Et	Et	$CH_2C(Cl)CH_2$
15	Et	Me	(CH ₂) ₃ Cl	Et	n-Pr	$CH_2C(Cl)CH_2$
10	Et	OCH ₃	(CH ₂) ₃ Cl	Et	och ₃	$CH_2C(Cl)CH_2$
	Et	CH_2Ph	(CH ₂) ₃ Cl	Et	$N(CH_3)_2$	CH ₂ C(Cl)CH ₂
	Et	H	c-pentyl	n-Pr	н	H
	Et	Me	c-pentyl	n-Pr	Me	H
20	Et	Et	c-pentyl	n-Pr	Et	H
	Et	OCH ₃	c-pentyl	n-Pr	n-Pr	H
	Et	CH ₂ CHCH ₂	c-pentyl	n-Pr	i-Pr	H
	Et	CH ₂ CCH	c-pentyl	n-Pr	n-Bu	H
	Et	CH ₂ Ph	c-pentyl	n-Pr	i-Bu	H
25	Et	H ,	c-hexyl	n-Pr	s-Bu	H
	Et	Me	c-hexyl	n-Pr	t-Bu	H
	Et	Et	c-hexyl	n-Pr	СНО	H
	Et	OCH ₃	c-hexyl	n-Pr	COCH ₃	H
	Et	CH ₂ CHCH ₂	c-hexyl	n-Pr	CH ₂ OCH ₃	H

	\mathbb{R}^1	R ³	R4	R ¹	R ³	R ⁴
5		OCH ₃	H	n-Pr	$\mathtt{CH_2Ph}$	n-Pr
	n-Pr	so_2ch_3	H	n-Pr	n-Bu	n-Bu
	n-Pr	$(CH_2)_2SO_2CH_3$	H	n-Pr	CHO	n-Bu
	n-Pr	CH ₂ CHCH ₂	H	n-Pr	SO ₂ CH ₃	n-Bu n-Bu
	n-Pr	CH ₂ CCH	Ħ	n-Pr	CH ₂ CN	n-Bu
10	n-Pr	CH ₂ CN	H	n-Pr	CH ₂ Ph	n-Bu
	n-Pr	$N(CH_3)_2$	H	n-Pr	Me	
	n-Pr	CH ₂ Ph	H	n-Pr	H	c-Pr
	n-Pr	Me	Me	n-Pr	H	c-Pr
	n-Pr	CHO	Me	n-Pr	H	(CH ₂) ₃ Cl
15	n-Pr	COCH ₃	Me	n-Pr		c-pentyl
	n-Pr	осн ₃	Me	n-Pr	H H	c-hexyl
	n-Pr	SO ₂ CH ₃	Me	n-Pr	H	CH ₂ C(CI)CH ₂
	n-Pr	$(CH_2)_2SO_2CH_3$	Me	n-Bu		CH ₂ -c-Pr
	n-Pr	CH ₂ CN	Me	CH ₂ CH ₂ Cl	Me Me	H
20	n-Pr	CH ₂ Ph	Me	(CH ₂) ₃ Cl	Me	H T
	n-Pr	Et	Et	(CH ₂) ₄ Cl	Me	H
	n-Pr	CHO	Et	c-Pr	Me	
	n-Pr	COCH ₃	Et	c-Bu	Me	H
	n-Pr	осн ₃	Et	i-Pr	Me	H
25	n-Pr	SO_2CH_3	Et	c-pentyl		H
	n-Pr	CH ₂ CN	Et	c-hexyl	Me	H
	n-Pr	CH ₂ Ph	Et	CH ₂ -c-Pr	Me Me	H
	n-Pr	i-Pr	i-Pr	CH ₂ -c-pentyl	Me	H
	n-Pr	СНО	n-	Z o pensy:	747.6	H
30	Pr	-	**-			,
	n-Pr	SO ₂ CH ₃	n-			
	Pr	-				
			•			

TABLE 7

10	R ¹	\mathbb{R}^2	\mathbb{R}^3	R ⁴	R ¹	\mathbb{R}^2	R ³	R ⁴
	Me	H	Me	н	Me	Et	Et	H
	Me	Me	Me	н	Me	n-Pr	Et	H
	Me	Et	Me	н	Me	СНО	Et	H
	Me	n-Pr	Me	н	Me	CH ₂ CN	Et	H
15	Me	СНО	Me	H	Me	соснз	Et	H
	Me	CH ₂ CN	Me	H	Me	${ m CH_2NMe_2}$	Et	H
	Me	COCH3	Me	H	Et	H	Me	H
	Me	CH_2NMe_2	Me	Ħ	Et	Me	Me	H
00	Me ·	H	Me	Me	Et	CHO	Me	H
20	Me	Me	Me	Me	Et	$\mathrm{CH_{2}CN}$	Me	H
•	Me	Et	Me	Me	Et	H	Me	Me
	Me	n-Pr	Me	Me	Et	Me	Me	·Me
	Me	CHO	Me	Me	Et	СНО	Me	Me
	Me	CH ₂ CN	Me	Me	Et	CH ₂ CN	Me	Me
2 5	Me	COCH3	Me ,	Me	Et	H	Et	H
	Me	${ m CH_2NMe_2}$	Me	Me	Et	Me	Et	H
	Me	н	Et	н	Et	СНО	Et	H
	Me	Me	Et	н	Et	CH ₂ CN	Et	H
		_						

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	R ¹	\mathbb{R}^2	R ³	R4	\mathbb{R}^1	R ²	R ³	R ⁴
10				1				
	Me	H	Me	H	Me	Et	Et	H
	Me	Me	Me	H	Me	n-Pr	Et	H
	Me	Et	Me	H	Me	CHO	Et	H
	Me	n-Pr	Me	H	Me	CH ₂ CN	Et	H
15	Me	CHO	Me	H	Me	COCH ₃	Et	H
10	Me	CH ₂ CN	Me	H	Me	CH ₂ NMe ₂	Et	H
	Me	COCH ₃	Me	H	Et	Ħ	Me	H
	Me	CH_2NMe_2	Me	H	Et	Me	Me	H
	Me	H	Me	Me	Et	СНО	Me	H
20	Me	Me	Me	Me	Et	$\mathrm{CH}_2\mathrm{CN}$	Me	H
	Me	Et	Me	Me	Et	H	Me	Me
	Me	n-Pr	Me	Me	Et	Me	Me	Me
	Me	CHO	Me	Me	Et	CHO	Me	Me
	Me	CH ₂ CN	Me	Me	Et	CH ₂ CN	Me	Me
25	Me	COCH3	Me	Me	Et	н	Et	H
20	Me	CH2NMe2	Me	Me	Et	Me	Et	H
	Me	Ħ	Et	н	Et	СНО	Et	H
	Me	Me	Et	H	Et	CH ₂ CN	Et	H

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$$R^{1}S(CH_{2})_{4}N \longrightarrow N \longrightarrow R^{3}$$

$$R^{2} \longrightarrow R^{4}$$

10	R ¹	R ²	R ³	R ⁴	R ¹	R ²	R 3	<u>R</u> 4
	Me	H	Me	H	Me	Et	Et	н
	Me	Me	Me	H	Me	n-Pr	Et	H
	Me	Et	Me	H	Me	CHO	Et	н
75	Me	n-Pr	Me	H	Me	CH ₂ CN	Et	H
15	Me	CHO	Me	H	Me	COCH ₃	Et	H
	Me	$\mathrm{CH_2CN}$	Me	H	Me	CH ₂ NMe ₂	Et	H
	Me	COCH3	Me	H .	Et	H	Me	H
	Me	${ m CH_2NMe_2}$	Me	H	Et	Me	Me	H
20	Me	H	Me	Me	Et	СНО	Me	H
20	Me	Me	Me	Me	Et	CH ₂ CN	Me	H
	Me	Et.	Me	Me	Et	H	Me	Me
	Me	n-Pr	Me	Me	Et	Me	Me	Me
	Me	CHO	Me	Me	Et .	СНО	Me	Me
05	Me	CH ₂ CN	Me	Me	Et	CH ₂ CN	Me	Me
25	Me	COCH ₃	Me	Me	Et	H	Et	Ħ
	Me	CH ₂ NMe ₂	Me	Me	Et	Me	Et	H
	Me	H	Et	H	Et	СНО	Et	H
	Me	Me	Et	H	Et	CH ₂ CN	Et	H

TABLE 10

	\mathbb{R}^1	\mathbb{R}^2	\mathbb{R}^3	R ⁴	R1	\mathbb{R}^2	R ³	\mathbb{R}^4
10	Me	н	Me	**				
	Me			H	Me	Et	Et	H
		Me	Me	H	Me	n-Pr	Et	H
	Me	Et	Me	H	Me	CHO	Et	н
	Me	n-Pr	Me	H	Me	CH ₂ CN	Et	H
15	Me	CHO	Me	H	Me	COCH3	Et	H
10	Me	CH ₂ CN	Me	H	Me	CH ₂ NMe ₂	Et	
	Me	COCH3	Me	H	Et	H		H
	Me	CH ₂ NMe ₂	Me	н	Et	Me	Me	H
	Me	H	Me	Me	Et		Me	H
-	Me	Me	Me	Me	Et	CHO CH-CN	Me	H
20	Me	Et				CH ₂ CN	Me	Ħ
	Me		Me	Me	Et	H	Me	Me
		n-Pr	Me	Me	Et	Me	Me	Me
	Me	CHO	Me	Me	Et	CHO	Me	Me
	Me	CH ₂ CN	Me	Me	Et	CH ₂ CN	Me	Me
25	Me	COCH3	Me	Me	Et	н		
	Me	CH2NMe2	Me	Me			Et	H
	Me	Ħ	Tita		Et	Me	Et	H
			Et	H	Et	CHO	Et	H
	Me	Me	Et	H	Et	CH ₂ CN	Et	H

30

	5				NO ₂	
			R ¹ S	✓N R ²	N-Me R3	
10	R ¹	\mathbb{R}^2	R ³	R1	R ²	R ³
	Me	n-Pr	н	Me	осн3	allyl
	Me	n-Bu	H	Me	SO2CH3	
	Me	i-Pr	н	Me	CH ₂ CN	allyl
	Me	s-Bu	H	Me	N(CH ₃) ₂	
15	Me	i-Bu	H	Me	CH ₂ Ph	allyl
	Me	t-Bu	H	Me	CHO	propargyl
	Me	СНО	Ħ	Me	OCH ₃	propargyl
	Me	COCH3	H	Me	SO ₂ CH ₃	propargyl
•	Me .	och3	H	Me	CH ₂ CN	propargyl
20	Me	CH ₂ O(CH ₂	2) ₂ OCH ₃ H	Me	N(CH ₃) ₂	propargyl
	Me	so_2ch_3	H	Me	CH ₂ Ph	propargyl
	Me	CH ₂ CN	H	Me	CHO	СНО
	Me	$N(CH_3)_2$	H	Me	COCH3	CHO
0.5	Me	CH ₂ Ph	H	Me	OCH ₃	СНО
2 5	Me	n-Pr	Me	Me	N(CH ₃) ₂	СНО
	Me	n-Bu	Me	Me	CH ₂ CN	СНО
	Me	CHO	Me	Me	осн3	COCH3
	Me	och ₃	Me	Me	CH ₂ CN	сосн3
00	Me	so_2ch_3	Me	Me	CHO	СH ₂ O(СH ₂) ₂ OСH ₃
30	Me	CH ₂ CN	Me	Me	осн ₃	CH ₂ O(CH ₂) ₂ OCH ₃
	Me	$N(CH_3)_2$	Me	Me	СНО	SO ₂ CH ₃
	Me	CH ₂ Ph	Me	Me	OCH ₃	SO ₂ CH ₃
	Me	СНО	allyl	Me	CH ₂ CN	SO ₂ CH ₃
0-					_	

	\mathbb{R}^1	R ²	\mathbb{R}^3
5	Me	CHO	CH ₂ CN
	Me	OCH3	CH ₂ CN
	Me	CH ₂ CN	CH ₂ CN
	Me	$N(CH_3)_2$	CH ₂ CN
	Me	n-Pr	CH ₂ Ph
10	Me	CHO	CH ₂ Ph
	Me	OCH ₃	CH ₂ Ph
	Me	CH ₂ CN	CH ₂ Ph
	Me	$\mathrm{CH_2Ph}$	CH ₂ Ph
	Et	n-Pr	н
15	Et	CHO	н
	Et	OCH ₃	н
	Et	SO ₂ CH ₃	H
	Et	CH ₂ CN	H
	Et	n-Pr	Me
2 0	Et	CHO	Me
	Et	осн ₃	Me
	Et	SO_2CH_3	Me
	Et	CH ₂ CN	Me
	Et	СНО	СНО
2 5	Et	COCH3	СНО
	Et	OCH3	СНО
	Et	CH ₂ CN	CHO
	Et	CHO	сосн3
	Et	COCH3	сосна
30	Et	осн ₃	COCH3
	Et	CH ₂ CN	COCH3

R ¹	\mathbb{R}^2	. B 3
n-Pr	СНО	н
n-Bu (CH ₂) ₂ Cl	CHO	H
c-Pr	СНО	H
c-pentyl CH ₂ -c-Pr	CHO	H

NO2 5 R¹S $\mathbf{R}^{\mathbf{1}}$ 3 R^2 \mathbb{R}^3 \mathbb{R}^2 \mathbb{R}^1 \mathbb{R}^3 \mathbb{R}^{1} \mathbb{R}^2 10 OCH₃ allyl Me H Me n-Pr allyl SO₂CH₃ Me H n-Bu Me allyl Me CH₂CN H i-Pr Me $N(CH_3)_2$ allyl Me H s-Bu Me CH_2Ph allyl Me **15** H i-Bu Me CHO Me propargyl H Me t-Bu OCH₃ Me propargyl H CHO Me SO2CH3 H Me propargyl COCH₃ Me Me CH₂CN propargyl H OCH₃ Me $N(CH_3)_2$ Me propargyl CH₂O(CH₂)₂OCH₃ H 20 Me Me CH₂Ph propargyl H SO₂CH₃ Me H CHO CHO CH₂CN Me Me CHO COCH₃ H Me $N(CH_3)_2$ Me CHO OCH₃ Me CH_2Ph H Me CHO $N(CH_3)_2$ **2**5 Me Me n-Pr Me CHO CH₂CN Me n-Bu Me Me COCH₃ Me OCH₃ CHO Me Me COCH₃ CH₂CN Me Me OCH₃ Me CHO CH₂O(CH₂)₂OCH₃ Me Me SO2CH3 Me CH2O(CH2)2OCH3 OCH3 Me **30** CH₂CN Me Мe CHO SO2CH3 Me $N(CH_3)_2$ Me Me OCH₃ Me SO₂CH₃ Me CH_2Ph Me SO₂CH₃ CH₂CN Me allyl CHO Me

	R1	\mathbb{R}^2	R ³
5	Me	СНО	CH ₂ CN
	Me	OCH3	CH ₂ CN
-	Me	CH ₂ CN	CH ₂ CN
	Me	$N(CH_3)_2$	CH ₂ CN
	Me	n-Pr	CH ₂ Ph
10	Me	CHO	CH ₂ Ph
	Me	OCH ₃	CH ₂ Ph
	Me	${ m CH_2CN}$	CH ₂ Ph
	Me	CH ₂ Ph	CH ₂ Ph
	Et	n-Pr	H
15	Et	CHO	H
	Et	осн ₃	H
	Et	SO_2CH_3	H
	Et	CH ₂ CN	H
	Et	n-Pr	Me
20	Et	CHO	Me
	Et	OCH ₃	Me
	Et	SO_2CH_3	Me
	Et	CH ₂ CN	Me
	Et	CHO	CHO
2 5	Et	COCH ₃	CHO
	Et	OCH_3	CHO
	Et	CH ₂ CN	CHO
	Et	CHO	COCH ₃
	Et	COCH ₃	COCH ₃
30	Et	OCH ₃	COCH ₃
	Et	CH ₂ CN	COCH ₃

R ¹	R ²	R3
n-Pr	СНО	H
n-Bu	CHO	H
$(CH_2)_2CI$	CHO	H
c-Pr	CHO	H
c-pentyl	CHO	H
CH ₂ -c-Pr	CHO	H

5 R¹S(CH₂)₃N N—Me

10	R ¹	\mathbb{R}^2	R ³	R ¹	\mathbb{R}^2	R ³
	Me	n-Pr	н	Me	осн ₃	allyl
	Me	n-Bu	н	Me	SO_2CH_3	allyl
	Me	i-Pr	н	Me	CH ₂ CN	allyl
	Me	s-Bu	н	Me	$N(CH_3)_2$	allyl
15	Me	i-Bu	H	Me	CH ₂ Ph	allyl
	Me	t-Bu	H	Me	CHO	propargyl
	Me	CHO	н	Me	OCH ₃	propargyl
	Me	COCH ₃	H	Me	SO_2CH_3	propargyl
	Me	OCH ₃	H	Me	CH ₂ CN	propargyl
20	Me	CH ₂ O(CH ₂) ₂ OCH ₃	н	Me	$N(CH_3)_2$	propargyl
	Me	SO ₂ CH ₃	H	Me	CH_2Ph	propargyl
	Me	CH ₂ CN	н	Me	CHO	CHO
	Me	N(CH ₃) ₂	н	Me	COCH ₃	СНО
	Me	CH ₂ Ph	н	Me	OCH ₃	СНО
25	Me	n-Pr	Me	Me	$N(CH_3)_2$	CHO
	Me	n-Bu	Me	Me	CH ₂ CN	CHO
	Me	CHO	Me	Me	och ₃	COCH ₃
	Me	OCH ₃	Me	Me	CH ₂ CN	COCH ₃
	Me	SO ₂ CH ₃	Me	Me	CHO	CH ₂ O(CH ₂) ₂ OCH ₃
30	Me	CH ₂ CN	Me	Me	OCH ₃	$CH_2O(CH_2)_2OCH_3$
	Me	N(CH ₃) ₂	Me	Me	CHO	SO ₂ CH ₃
	Me	CH ₂ Ph	Me	Me	OCH ₃	SO ₂ CH ₃
	Me	СНО	allyl	Me	CH ₂ CN	SO_2CH_3

	R ¹	R ²	R ³
5	Me	CHO	CH ₂ CN
	Me	OCH ₃	CH ₂ CN
	Me	CH ₂ CN	CH ₂ CN
	Me	$N(CH_3)_2$	CH ₂ CN
	Me	n-Pr	CH_2Ph
10	Me	CHO	CH ₂ Ph
	Me	OCH ₃	CH ₂ Ph
	Me	CH ₂ CN	CH ₂ Ph
	Me	CH ₂ Ph	CH ₂ Ph
	Et	n-Pr	H
15	Et	СНО	H
	Et	OCH ₃	H
	Et	SO ₂ CH ₃	H
	Et	CH ₂ CN	H
	Et	n-Pr	Me
20	Et	CHO	Me
	Et	OCH ₃	Me
	Et	SO_2CH_3	Me
	Et	CH ₂ CN	Me
	Et	CHO	CHO
25	Et	COCH ₃	CHO
	Et	OCH ₃	CHO
	Et	CH ₂ CN	CHO
	Et	CHO	COCH3
	Et	COCH ₃	COCH ₃
30	Et	OCH ₃	COCH3
	Et	CH ₂ CN	COCH3

R ¹	R ²	R3
n-Pr	CHO	H
n-Bu	CHO	H
$(CH_2)_2Cl$	CHO	H
e-Pr	CHO	H
e-pentyl	CHO	H
CH ₂ -c-Pr	CHO	H

5

R¹S(CH₂)3N N — Me
R³

10	R ¹	R ²	R ³	R ¹	\mathbb{R}^2	R ³
	Me	n-Pr	н	Me	OCH3	allyl
	Me	n-Bu	н	Me	SO_2CH_3	allyl
	Me	i-Pr	н	Me	CH ₂ CN	allyl
15	Me	s-Bu	H	Me	$N(CH_3)_2$	allyl
10		i-Bu	H	Me	CH ₂ Ph	allyl
	Me		H	Me	CHO	propargyl
	Me	t-Bu .	H	Me	OCH ₃	propargyl
	Me Me	CHO COCH ₃	H	Me	SO ₂ CH ₃	propargyl
			H	Me	CH ₂ CN	propargyl
20	Me	OCH3		Me	N(CH ₃) ₂	propargyl
	Me	CH ₂ O(CH ₂) ₂ OCH ₃	H	Me	CH ₂ Ph	propargyl
	Me	SO ₂ CH ₃	H		_	
	Me	CH ₂ CN	H	Me	CHO	CHO
	Me	$N(CH_3)_2$	H	Me	COCH ₃	CHO
25	Me	CH ₂ Ph	H	Me	OCH ₃	CHO
	Me	n-Pr	Me	Me	$N(CH_3)_2$	CHO
	Me	n-Bu	Me	Me	CH ₂ CN	CHO
	Me	CHO	Me	Me	OCH ₃	COCH3
	Me	OCH ₃	Me	Me	CH ₂ CN	COCH ₃
30	Me	SO ₂ CH ₃	Me	Me	CHO	$CH_2O(CH_2)_2OCH_3$
30	Me	CH ₂ CN	Me	Me	OCH ₃	CH ₂ O(CH ₂) ₂ OCH ₃
	Me	$N(CH_3)_2$	Me	Me	CHO	so_2CH_3
	Me	CH ₂ Ph	Me	Me	OCH ₃	SO ₂ CH ₃
		_	allyl	Me	CH ₂ CN	SO ₂ CH ₃
	Me	CHO	anyı			- 0
35			1)			

	R1	R ²	R 3
	5 Me	CHO	CH ₂ CN
	Me	OCH ₃	CH ₂ CN
	Me	CH ₂ CN	CH ₂ CN
	Me	$N(CH_3)_2$	CH ₂ CN
	Me	n-Pr	CH ₂ Ph
1	0 M e	CHO	$\mathrm{CH_2Ph}$
	Me	осн ₃	CH ₂ Ph
	Me	$\mathrm{CH_{2}CN}$	CH ₂ Ph
	Me	CH ₂ Ph	CH ₂ Ph
	Et	n-Pr	H
15	Et	CHO	H
	Et	осн ₃	Ħ
	Et	so_2ch_3	Ħ
	Et	CH ₂ CN	H
	Et	n-Pr	Me
20	Et	CHO	Me
	Et	осн ₃	Me
	Et	so_2CH_3	Me
	Et	CH ₂ CN	Me
	Et	СНО	CHO
25	Et	COCH3	CHO
	Et	OCH ₃	CHO
	Et	CH ₂ CN	СНО
	Et	CHO	COCH3
	Et	COCH3	COCH ₃
30	Et	OCH3	COCH3
	Et	CH ₂ CN	сосн3

R ¹	\mathbb{R}^2	R ³
n-Pr	CHO	H
n-Bu	CHO	H
$(CH_2)_2CI$	CHO	H
c-Pr	CHO	H
c-pentyl	CHO	H
CH ₂ -c-Pr	CHO	H

NO2 5 R1S(CH2)4N R¹ \mathbb{R}^2 \mathbb{R}^3 \mathbb{R}^1 \mathbb{R}^2 R³ 10 Me n-Pr H Me OCH3 allyl Me n-Bu SO₂CH₃ H Me allyl Me i-Pr H Me CH₂CN allyl Me s-Bu Ħ Me $N(CH_3)_2$ allyl 15 Me i-Bu H Me CH₂Ph allyl Me t-Bu H Me CHO propargyl Me CHO · H Me OCH₃ propargyl COCH₃ Me H Me SO_2CH_3 propargyl осн3 Me H Me CH₂CN propargyl 20 Me CH₂O(CH₂)₂OCH₃ H Me $N(CH_3)_2$ propargyl Me SO2CH3 H Me CH₂Ph propargyl Me CH₂CN H Me CHO CHO Me $N(CH_3)_2$ H Me COCH3 CHO Me CH_2Ph H OCH₃ Me CHO 25 Me n-Pr $N(CH_3)_2$ Me Me CHO Me n-Bu Me Me CH₂CN CHO Me СНО Me Me COCH₃ OCH₃ Me OCH₃ Me Me CH₂CN COCH₃ Me SO₂CH₃ Me Me CHO CH₂O(CH₂)₂OCH₃ 30 Me CH₂CN Me Me OCH₃ $\mathrm{CH_2O(CH_2)_2OCH_3}$ Me $N(CH_3)_2$ Me Me CHO SO₂CH₃ Me CH₂Ph Me Me OCH3 SO₂CH₃ Me CHO allyl Me

CH₂CN

SO₂CH₃

	R ¹	R ²	${f R}^3$
£	Me	CHO	CH ₂ CN
	Me	осн ₃	CH ₂ CN
	Me	CH ₂ CN	CH ₂ CN
	Me	$N(CH_3)_2$	CH ₂ CN
	Me	n-Pr	CH ₂ Ph
10	Me	CHO	CH ₂ Ph
	Me	OCH ₃	CH ₂ Ph
	Me	CH ₂ CN	CH ₂ Ph
	Me	$\mathrm{CH_2Ph}$	CH ₂ Ph
	Et	n-Pr	H
15	Et	СНО	H
	Et	OCH ₃	H
	Et	${ m SO_2CH_3}$	H
	Et	CH ₂ CN	H
	Et	n-Pr	Me
20	Et	CHO	Me
	Et	OCH ₃	Me
	Et	SO_2CH_3	Me
	Et	CH ₂ CN	Me
	Et	СНО	СНО
25	Et	COCH ³	CHO
	Et	осн3	CHO
	Et	CH ₂ CN	СНО
	Et	СНО	COCH3
	Et	COCH3	COCH ₃
30	Et	осн ₃	COCH3
	Et	CH ₂ CN	COCH3

R ¹	R ²	R ³		
n-Pr	СНО	н		
n-Bu (CH ₂) ₂ Cl	CHO CHO	H		
c-Pr	CHO	H		
c-pentyl CH ₂ -c-Pr	CHO CHO	H		

10	R ¹	\mathbb{R}^2	R ³	R ¹	\mathbb{R}^2	R ³
	Me	n-Pr	н	Me	OCH ₃	allyl
	Me	n-Bu	н	Me	SO_2CH_3	allyl
	Me	i-Pr	н	Me	CH ₂ CN	allyl
15	Me	s-Bu	н	Me	$N(CH_3)_2$	allyl
	Me	i-Bu	н	Me	CH ₂ Ph	allyl
	Me	t-Bu	н	Me	CHO	propargyl
	Me	CHO	н	Me	OCH ₃	propargyl
	Me	COCH ₃	H	Me	SO_2CH_3	propargyl
20	Me	OCH ₃	н	Me	CH ₂ CN	propargyl
20	Me	CH ₂ O(CH ₂) ₂ OCH ₃	н	Me	$N(CH_3)_2$	propargyl
	Me	SO ₂ CH ₃	н	Me	CH ₂ Ph	propargyl
	Me	CH ₂ CN	H	Me	СНО	CHO
	Me	N(CH ₃) ₂	H	Me	COCH ₃	CHO
			H	Me	OCH ₃	CHO
2 5	Me	CH ₂ Ph	Ā	Me	N(CH ₃) ₂	CHO
	Me	n-Pr	Me	Me	CH ₂ CN	CHO
	Me	n-Bu	Me	Me	OCH ₃	COCH ₃
	Me	CHO	Me	Me	CH ₂ CN	COCH ₃
	Me	OCH ₃	Me		_	CH ₂ O(CH ₂) ₂ OCH ₃
30	Me	SO ₂ CH ₃	Me	Me	CHO	
	Me	CH ₂ CN	Me	Me	OCH3	CH ₂ O(CH ₂) ₂ OCH ₃
	Me	N(CH ₃) ₂	Me	Me	CHO	SO ₂ CH ₃
	Me	CH ₂ Ph	Me	Me	OCH ₃	SO ₂ CH ₃
	Me	СНО	allyl	Me	CH ₂ CN	SO ₂ CH ₃
35						

	\mathbf{R}^1	R ²	R ³
5	Me	СНО	CH ₂ CN
	Me	OCH ₃	CH ₂ CN
	Me	CH ₂ CN	CH ₂ CN
	Me	$N(CH_3)_2$	CH ₂ CN
	Me	n-Pr	CH ₂ Ph
10	Me	CHO	CH ₂ Ph
	Me	осн ₃	CH ₂ Ph
	Me	CH ₂ CN	CH ₂ Ph
	Me	CH ₂ Ph	CH ₂ Ph
	Et	n-Pr	H
15	Et	CHO	H
	Et	OCH ₃	H
	Et	SO ₂ CH ₃	H
	Et	CH ₂ CN	H
	Et	n-Pr	Me
20	Et	CHO	Me
	Et	OCH ₃	Me
	Et	SO_2CH_3	Me
	Et	CH ₂ CN	Me
	Et	CHO	СНО
25	Et	COCH3	CHO
	Et	och ₃	СНО
	Et	CH ₂ CN	CHO
	Et	CHO	COCH3
	Et	COCH ₃	COCH ³
30	Et	OCH ₃	COCH ₃
	Et	CH ₂ CN	COCH ₃

R ²	R ³
СНО	н
CHO	H
	CHO CHO CHO

5

Me H Me Me McCH3)2 Et H Me Me Me H Et H Me H Me Me Me Et H Me Me Me Me Me Et H Et H Et H Me Me c-Pr H Et H CHO H Me Me n-Pr H Et H CHO Me Me CHO H H Et Me Me H Me CHO H H Et Me CHO Me Me SO ₂ CH ₃ Me H Et CHO Et H									
Me H H H Me N(CH ₃) ₂ H H Me H Me N(CH ₃) ₂ Me H Me H Me N(CH ₃) ₂ CHO He Me H Me N(CH ₃) ₂ CHO Me Me H Et H Me N(CH ₃) ₂ CHO Me Me H Et H Me N(CH ₃) ₂ CHO Me Me H Et H Me N(CH ₃) ₂ CHO Me Me Me H Et H Me H H Me Me Me H Et H Me H H H H H H H H H H H H H H H H H H H H H H H H H H H H	10	R ¹	R ²	\mathbb{R}^3	R ⁴	R ¹	R ²	R ³	R ⁴
Me Me H H Me N(CH ₃) ₂ Me H Me H Me N(CH ₃) ₂ CHO H Me H Me N(CH ₃) ₂ CHO Me Me H Et H Me N(CH ₃) ₂ Et H Me H Et H Me N(CH ₃) ₂ CHO Me Me H Et H Me N(CH ₃) ₂ CHO Me Me Me Me H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H H	10	Me	Ħ	н	н	Me	$N(CH_3)_2$	H	H
Me H Me N(CH ₃) ₂ CHO H Me H Me N(CH ₃) ₂ CHO Me 15 Me H Et H Me N(CH ₃) ₂ Et H Me Me Me H Et H Me H H H Me H H H Me H H Me H Me Me H Me Me H Me					H	Me	$N(CH_3)_2$	Me	H
Me H Me Me Me N(CH3)2 CHO Me Me N(CH3)2 Et H Me Me Me Me H Et H Me N(CH3)2 Et H Me Me Me Me H Et H Me Me Me Me Me Et H Et H Me Me Me Me C-Pr H Et H CHO H Me Me Me C-Pr H Et H CHO Me Me Me Me CHO H Et Me CHO H Me CHO Me H Et Me CHO Me Me COLO Me H Et CHO Me H Me COLO Me H Et CHO Me H Me OCH3 H H Et CHO Me Me Me OCH3 Me H Me OCH3 Me Me CHO Me OCH3 Me Me						Me	$N(CH_3)_2$	CHO	H
15 Me H Et H Me N(CH ₃) ₂ Et H Me Me Me Me H Et H Me Me Me Me Me Me Et H Me Me Me Me C-Pr H Et H CHO H Me Me Me C-Pr H Et H CHO Me Me Me Me CHO H H Et Me CHO H Me CHO Me H Et Me CHO Me Me SO ₂ CH ₃ Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me Me Me						Me	$N(CH_3)_2$	CHO	Me
Me Me Me Me Me Et H Me Me Me Me Me Me Et H Me Me Me Me Et H Et H Et H Me Me C-Pr H Et H CHO Me Me Me Me CHO Et Me Me Me H Me CHO Me H Et Me CHO Me Me SO ₂ CH ₃ Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me H Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me Me Me CHO Me Me CH ₂ CN Me Me Me Me Me CH ₂ CN Me Me	15				ı	Me	$N(CH_3)_2$	Et	H
Me Me Me Et H Me Me Me Me Et H Et H Et H Me Me C-Pr H Et H CHO H Me Me Ne CHO Et H CHO Me Me CHO H H Et Me CHO H Me CHO Me H Et Me CHO Me Me SO2CH3 Me H Et CHO Me H Me OCH3 H H Et CHO Me Me Me CH2CN Me H H H H H H H H H H H H H H H H H H H H H H H H H H H H H					ı	Et	H	Me	H
Me Me Et H Et H Et H Me Me c-Pr H Et H CHO H Me Me n-Pr H Et H CHO Me Me Me Me CHO Et Me Me H Me CHO H H Et Me CHO H Me SO ₂ CH ₃ Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me Me Me CH ₂ CN Me Me Me CH ₂ CN Me Me				•	ı			Me	Me
Me Me c-Pr H Et H CHO H Me Me n-Pr H Et H CHO Me Me Me Me CHO Et Me Me CHO H Me CHO H H Et Me CHO H Me SO ₂ CH ₃ Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me Me Me CH ₂ CN Me Me Me CH ₂ CN Me Me					1				H
20 Me Me n-Pr H Et H CHO Me Me Me Me CHO Et Me Me H Me CHO H H Et Me CHO H Me SO ₂ CH ₃ Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H									
Me Me Me CHO Et Me Me H Me CHO H H Et Me CHO H Me CHO Me H Et Me CHO Me Me SO ₂ CH ₃ Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me H Me OCH ₃ Me H Me CH ₂ CN Me H Me CH ₂ CN Me Me	20								
Me CHO H H Et Me CHO H Me CHO Me H Et Me CHO Me Me SO ₂ CH ₃ Me H Et CHO Me H 25 Me SO ₂ CH ₃ H H Et CHO Et H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me H Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me	20								
Me CHO Me H Et Me CHO Me Me SO ₂ CH ₃ Me H Et CHO Me H Et CHO Me H Me OCH ₃ H H Et CHO Me Me Me Me OCH ₃ Me H Me OCH ₃ Me Me CH ₂ CN Me H Me		Me	Me	Me	CHO	Et			
Me CHO Me H Me SO ₂ CH ₃ Me H Et CHO Me H 25 Me SO ₂ CH ₃ H H Me OCH ₃ H H Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me		Me	CHO	H	H	Et	Me		
Me SO ₂ CH ₃ Me H Et CHO Me H 25 Me SO ₂ CH ₃ H H Et CHO Et H Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me		Me	CHO	Me	H	Et	Me	CHO	Me
Me OCH ₃ H H Et CHO Me Me Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me			SO2CH3	Me	H	Et	CHO	Me	H
Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me	25	Me	SO2CH3	H	H	Et	CHO	Et	H
Me OCH ₃ Me H Me OCH ₃ Me CHO Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me		Me	OCH ₃	H	H	Et	CHO	Me	Me
Me OCH ₃ Me CHO Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me			_	Me	н				
Me CH ₂ CN Me H 30 Me CH ₂ CN Me Me		Me	•	Me	CHO				
30 Me CH ₂ CN Me Me			_	Me ·	н				
	30		-	Me	Me				
	-		_	Et	н				

5 R¹S(CH₂)₂N | R² \mathbb{R}^1 \mathbb{R}^2 \mathbb{R}^3 \mathbb{R}^4 R1 R² \mathbb{R}^3 \mathbb{R}^4 10 Me H H Me $N(CH_3)_2$ H H H Me Me H H Me $N(CH_8)_2$ Me H Me H Me H Me $N(CH_3)_2$ CHO H Me H Me Me Me $N(CH_3)_2$ CHO Me 15 Me H Et H Me $N(CH_3)_2$ Et H Me Me Me H Et H Me H Me Me Me Me Et H Me Me Me Me Et Ħ Et H Et H Me Me c-Pr H Et H CHO H 20 Me Me n-Pr H Et H CHO Me Me Me Me CHO Et Me Me H Me CHO H H Et Me CHO H Me CHO Me H Et Me CHO Me Me SO₂CH₃ Me H Et CHO Me H 25 Me SO₂CH₃ H H Et CHO Et H Me OCH₃ H H Et CHO Me Me Me OCH₃ Me H Me OCH3 Me CHO Me CH₂CN Me H 30 Me CH₂CN Me

Me

H

Me

CH₂CN

 $\begin{array}{c|c}
0 & & & \\
\mathbb{R}^{1}S(CH_{2})_{3} & & & N-\mathbb{R}^{3} \\
\mathbb{R}^{2} & & \mathbb{R}^{4}
\end{array}$

10	R1	R ²	R ³	E ⁴	R ¹	R ²	R ³	R ⁴
10	Me	H	H	н	Me	$N(CH_3)_2$	H	H
	Me	Me	H	н	Me	$N(CH_3)_2$	Me	H
		Н	Me	H	Me	$N(CH_3)_2$	CHO	H
	Me		Me	Me	Me	$N(CH_3)_2$	CHO	Me
15	Me	H	Et	н	Me	$N(CH_3)_2$	Et	H
10	Me	H	Me	H	Et	Ħ	Me	н
	Me	Me	Me Me	Me	Et	H	Me	Me
	Me	Me		H	Et	H	Et	H
	Me	Me	Et	Δ	Et	H	CHO	H
20	Me	Me	c-Pr	H	Et	H	СНО	Me
20	Me	Me	n-Pr	H		Me	Me	H
	Me	Me	Me	СНО	Et		СНО	H
	Me	CHO	H	H	Et	Me	CHO	Me
	Me	CHO	Me	H	Et	Me		
	Me	SO ₂ CH ₃	Me	H.	Et	CHO	Me	H
2 5	Me	SO_2CH_3	H	H	Et	CHO	Et	H
	Me	och ₃	H	H	Et	CHO	Me	Me
	Me	OCH ₃	Me	H				
	Me	OCH ₃	Me	СНО				
	Me	CH ₂ CN	Me	H				
30	Me	CH ₂ CN	Me	Me				

H

Me

CH₂CN Et

TABLE 20

5	;			O R ¹ S(CH ₂)	3 N N N N N N N N N N N N N N N N N N N	-R ³		
10	R1	\mathbb{R}^2	R ³	R ⁴	R1	R ²	R ³	\mathbb{R}^4
	Me	H	H	H	Me	N(CH ₃) ₂	H	Ħ
	Me	Me	H	H	Me	N(CH ₃) ₂	Me	H
	Me	H	Me	н	Me	N(CH ₃) ₂	СНО	H
	Me	H	Me	Me	Me	N(CH ₃) ₂	CHO	Me
15	Me	H	Et	H	Me	N(CH ₃) ₂	Et	H
	Me	Me	Me	H	Et	н	Me	H
	Me	Me	Me	Me	Et	H	Me	Me
	Me	Me	Et	H	Et	H	Et	H
-	Me	Me	c-Pr	H	Et	H	СНО	H
20	Me	Me	n-Pr	H	Et	H .	CHO	Me
	Me	Me	Me	СНО	Et	Me	Me	H
	Me	CHO	H	H	Et	Me	СНО	H
	Me	CHO	Me	н	Et	Me	CHO	Me
or	Me	SO ₂ CH ₃	Me	H	Et	CHO	Me	H
25	Me	SO ₂ CH ₃	H	H	Et	СНО	Et	H
	Me	OCH3	H	H	Et	CHO	Me	Me
	Me	OCH ₃	Me	н				2/20
	Me	OCH ₃	Me	СНО				
•	Me	CH ₂ CN	Me	H				
	Me	CH ₂ CN	Me	Me				
	Me	CH ₂ CN	Et	H				

5 R³ \mathbb{R}^2 \mathbb{R}^4 \mathbb{R}^1 \mathbb{R}^4 \mathbb{R}^3 \mathbb{R}^2 \mathbb{R}^1 10 H $N(CH_3)_2$ H Me Ħ H H Me H $N(CH_3)_2$ Me Me H H Me Me H $N(CH_3)_2$ CHO Me H Me Me H $N(CH_3)_2$ CHO Me Me Me H Me Me 15 H Et $N(CH_3)_2$ Me H Et Me H Me H H H Et Me · Me Me Me H Me Me Me Et Me Me H Et H Et H Et Me Me CHO H H H Et c-Pr Me Me 20 H CHO Me H Et n-Pr Me Me H Me Me CHO Et Me Me Me CHO H Me Et CHO H H Me CHO Me Me H Eŧ Me CHO Me H H SO₂CH₃ Me Me CHO Me Et 25 H Et H SO₂CH₃ H CHO Et Me H H Me Me OCH₃ CHO Me Et H OCH₃ Me Me CHO OCH₃ Me Me H CH₂CN Me Me 30 CH₂CN Me Me Me H CH₂CN Et Me

5		$_{ m O}$ $_{ m N}$											
J				$\mathbb{R}^1 \stackrel{\parallel}{\mathrm{S}} (\mathrm{CH}_2)$	2 N N - N - R 2 R 4	-R ³							
10	R ¹	R ²	R ³	R ⁴	R1	R ²	R ³	\mathbb{R}^4					
	Me	H	H	н	Me	N(CH ₃) ₂	H	H					
	Me	Me	H	H	Me	N(CH ₃) ₂	Me	H					
	Me	H	Me	H	Me	N(CH ₃) ₂	CHO	H					
15	Me	H	Me	Me	Me	$N(CH_3)_2$	CHO	Me					
10	Me	Ħ	Et	H	Me	$N(CH_3)_2$	Eŧ	H					
	Me	Me	- Me	H	Et	H	Me	H					
	Me	Me	Me	Me	Et	н	Me	Me					
	Me	Me	Et	H	Et	H	Et	н					
20	Me	Me	c-Pr	H	Et	H	СНО	H					
	Me	Me	n-Pr	H	Et	H	CHO	Me					
	Me	Me	Me	CHO	Et	Me	Me	H					
	Me	CHO	H	H	Et	Me	CHO	H					
	Me	CHO	Me	H	Et	Me	СНО	Me					
25	Me	SO ₂ CH ₃	Me	H	Et	CHO	Me	H					
	Me	SO ₂ CH ₃	H	H	Et	СНО	Et	H					
	Me	OCH ₃	H	H	Et	СНО	Me	Me					
	Me	OCH ₃	Me	H	19								
	Me	OCH ₃	Me	СНО									
30	Me	CH ₂ CN	Me	H									
	Me	CH ₂ CN	Me	Me									
	Me	CH ₂ CN	Et	H									

10	R1	R ²	R ³	R ⁴	R ¹	\mathbb{R}^2	R ³	R ⁴
	Me	н	H	H	Me	$N(CH_3)_2$	H	H
	Me	Me	H	H	Me	$N(CH_3)_2$	Me	H
	Me	H	Me	H	Me	$N(CH_3)_2$	CHO	H
45	Me	H	Me	Me	Me	$N(CH_3)_2$	CHO	Me
15	Me	H	Et	H	Me	$N(CH_3)_2$	Et	H
	Me	Me	Me	H .	Et	H	Me	H
	Me	Me	Me	Me	Et	H	Me	Me
	Me	Me	Et	H	Et	H	Et	H
90	Me	Me	c-Pr	H	Et	H	СНО	H
20	Me	Me	n-Pr	H	Et	H	CHO	Me
	Me	Me	Me	CHO	Et	Me	Me	H
	Me	CHO	H	н	Et	Me	CHO	H
	Me	CHO	Me	H	Et	Me	СНО	Me
OF	Me	SO_2CH_3	Me	H	Et	CHO	Me	H
25	Me	SO ₂ CH ₃	H	H	Et	СНО	Et	H
	Me	OCH ₃	H	H	Et	СНО	Me	Me
	Me	OCH ₃	Me	H				
	Me	OCH ₃	Me	СНО				
20	Me	CH ₂ CN	Me	H				
30	Me	$\mathrm{CH_2CN}$	Me	Me				
	Me	CH ₂ CN	Et	H				

5 R¹S(CH₂)₃N \mathbb{R}^1 \mathbb{R}^2 \mathbb{R}^3 \mathbb{R}^1 \mathbb{R}^4 \mathbb{R}^2 \mathbb{R}^3 \mathbb{R}^4 10 $N(CH_3)_2$ Me H H H Me H H Me Me Me Ħ H $N(CH_3)_2$ Me H Me H Me H Me $N(CH_3)_2$ CHO H Me H Me Me Me $N(CH_3)_2$ CHO Me 15 Me H Et Me H $N(CH_3)_2$ H Et Me Me . Me H Et H Me H Me Me Me Me Et H Me Me Me Me Et H Et H Et H Me Me c-Pr H Et H CHO H 20 Me Me n-Pr H Et H CHO Me Me Me Me CHO Et Me Me H Me CHO Ħ H Et Me CHO H Me CHO Me H Et Me CHO Me SO₂CH₃ Me Me H Et CHO Me H **25** Me SO₂CH₃ H H Et CHO Et H Me OCH₃ Ħ H Et CHO Me Me OCH₃ Me Me H Me OCH₃ Me СНО Me CH₂CN Me H **3**0 Me CH₂CN Me Me Me CH₂CN Et H

$$R^1$$
SAN $N-R^3$

10	A	\mathbb{R}^1	R ²	R ³	R ⁴	A	R1	R ²	\mathbb{R}^3	R ⁴
10	CH ₂ CH(CH ₃)	Me	Н	Me	H	CH(CH ₃)(CH ₂) ₃	Me	Me	Me	H
	CH(CH ₃)CH ₂	Me	H	Me	H	CH ₂ CH(n-Pr)	Me	Me	Me	H
	(CH ₂) ₂ CH(CH ₃)	Me	H	Me	H	CH(n-Pr)CH ₂	Me	Me	Me	н
	CH(CH ₃)CH ₂ CH ₂	Me	H	Me	H	CH ₂ CH(Me)	Me	H	Me	Me
15	$CH_2CH(CH_3)CH_2$	Me	H	Me	H	CH(Me)CH ₂	Me	H	Me	Me
	CH(CH ₃)(CH ₂) ₃	Me	H	Me	H	(CH ₂) ₂ CH(Me)	Me	H	Me	Me
	(CH ₂) ₃ CH(CH ₃)	Me	Ħ	Me	H	CH(Me)(CH ₂) ₂	Me	H	Me	Me
	$\mathrm{CH_2CH}(\mathrm{CH_3})(\mathrm{CH_2})_2$	Me	H	Me	H	CH ₂ CH(Et)	Me	H	Me	Me
	$(CH_2)_2CH(CH_3)CH_2$	Me	H	Me	H	CH(Et)CH ₂	Me	H	Me	Me
20	CH ₂ CH(Et)	Me	H	Me	H	(CH ₂) ₃ CH(Me)	Me	H	Me	Me
	CH(Et)CH ₂	Me	H	Me	H	CH(Me)(CH ₂) ₃	Me	H	Me	Me
	CH ₂ CH(Et)CH ₂	Me	H	Me	H	CH ₂ CH(n-Pr)	Me	H	Me	Me
	CH ₂ CH(n-Pr)	Me	H	Me	H	CH(n-Pr)CH ₂	Me	H	Me	Me
	CH(n-Pr)CH ₂	Me	H	Me	н	CH ₂ CH(CH ₃)	Me	H	Et	H
25	${ m CH_2CH(n-Pr)CH_2}$	Me	H	Me	н	CH ₂ CH(CH ₃)	Me	H	n-Pr	H
	CH ₂ CH(CH ₃)	Me	Me	Me	н	CH ₂ CH(CH ₃)	Me	H	n-Bu	H
	CH(CH ₃)CH ₂	Me	Me	Me	H	$CH_2CH(CH_3)$	Me	CHO	Me	H
	$(CH_2)_2CH(CH_3)$	Me	Me	Me	H	CH ₂ CH(CH ₃)	Me	CHO	Et	H
	$CH(CH_3)(CH_2)_2$	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	H	Et	H
30	CH ₂ CH(CH ₃)CH ₂	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	H	n-Pr	H
	CH ₂ CH(Et)	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	H	n-Bu	H
	CH(Et)CH ₂	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	CHO	Me	H
	$(CH_2)_3CH(CH_3)$	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	CHO	Et	H

5

R¹SAN

N

R²

R³

10	A	R1	R ²	R ³	R4	A	R ¹	R ²	R ³	R ⁴
	CH ₂ CH(CH ₃)	Me	H	Me	н	CH(CH ₃)(CH ₂) ₃	Me	Me	Me	H
	CH(CH ₃)CH ₂	Me	H	Me	H	CH ₂ CH(n-Pr)	Me	Me	Me	H
	(CH ₂) ₂ CH(CH ₃)	Me	H	Me	H	CH(n-Pr)CH ₂	Me	Me	Me	H
	CH(CH ₃)CH ₂ CH ₂	Me	H	Me	H	CH ₂ CH(Me)	Me	H	Me	Me
15	CH ₂ CH(CH ₃)CH ₂	Me	H	Me	н	CH(Me)CH ₂	Me	H	Me	Me
	CH(CH ₃)(CH ₂) ₃	Me	H	Me	H	$(CH_2)_2CH(Me)$	Me	H	Me	Me
	$(CH_2)_3CH(CH_3)$	Me	H	Me	H	$CH(Me)(CH_2)_2$	Me	H	Me	Me
	CH ₂ CH(CH ₃)(CH ₂) ₂	Me	Ħ	Me	H	CH ₂ CH(Et)	Me	H	Me	Me
	$(CH_2)_2CH(CH_3)CH_2$	Me	Ħ	Me	H	CH(Et)CH ₂	Me	H	Me	Me
20	CH ₂ CH(Et)	Me	H	Me	H	$(CH_2)_3CH(Me)$	Me	H	Me	Me
	CH(Et)CH ₂	Me	H	Me	H	$CH(Me)(CH_2)_3$	Me	Ħ	Me	Me
	CH ₂ CH(Et)CH ₂	Me	H	Me	H	CH ₂ CH(n-Pr)	Me	H	Me	Me
	CH ₂ CH(n-Pr)	Me	H	Me	H	CH(n-Pr)CH ₂	Me	H	Me	Me
	CH(n-Pr)CH ₂	Me	H	Me	H	CH ₂ CH(CH ₃)	Me	Ħ	Et	H
25	CH ₂ CH(n-Pr)CH ₂	Me	H	Me	н	$CH_2CH(CH_3)$	Me	H	n-Pr	H
	CH ₂ CH(CH ₃)	Me	Me	Me	H	CH ₂ CH(CH ₃)	Me	H	n-Bu	H
	CH(CH ₃)CH ₂	Me	Me	Me	H	$CH_2CH(CH_3)$	Me	CHO	Me	H
	$(CH_2)_2CH(CH_3)$	Me	Me	Me	н	$CH_2CH(CH_3)$	Me	CHO	Et	H
	$CH(CH_3)(CH_2)_2$	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	H	Et	H
30	CH ₂ CH(CH ₃)CH ₂	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	Ħ	n-Pr	H
	CH ₂ CH(Et)	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	H	n-Bu	H
	CH(Et)CH ₂	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	CHO	Me	H
	$(CH_2)_3CH(CH_3)$	Me	Me	Me	H	CH(CH ₃)CH ₂	Me	CHO	Et	H

10	R ¹	B	R ³	R ¹	B	R ³
	Me	CH_2CH_2	H	Me	$(CH_2)_3$	CHO
	Me	CH ₂ CH ₂	Me ·	Me	$(CH_2)_3$	COMe
	Me	CH ₂ CH ₂	Et	Me	$(CH_2)_3$	CH ₂ CN
	Me	CH ₂ CH ₂	n-Pr	Me	$(CH_2)_3$	${ m CH_2NMe_2}$
15	Me	CH ₂ CH ₂	CHO	Me	$(CH_2)_3$	OMe
	Me	CH ₂ CH ₂	COMe	Me	$(CH_2)_3$	allyl
	Me	CH ₂ CH ₂	CH ₂ CN	Me	$(CH_2)_3$	CH ₂ CCH
	Me	CH ₂ CH ₂	${ m CH_2NMe_2}$	Me	CH_2CH_2	CH ₂ CCH
	Me ·	CH_2CH_2	OMe	Et	$(CH_2)_3$	H
20	Me	CH ₂ CH ₂	SO ₂ Me	Et	$(CH_2)_3$	Me
	Me	CH ₂ CH ₂	allyl	Et	(CH ₂) ₃	Et
	Et	CH ₂ CH ₂	H	Et	$(CH_2)_3$	CHO
	Et	CH ₂ CH ₂	Me	Et	$(CH_2)_3$	CH ₂ CN
	Et	CH_2CH_2	Et	Et	$(CH_2)_3$	CH_2NMe_2
25	Et	CH ₂ CH ₂	CHO	n-Pr	CH_2CH_2	H
	Et	CH_2CH_2	CH ₂ CN	n-Pr	CH_2CH_2	Me
	Et	CH_2CH_2	CH_2NMe_2	n-Pr	CH_2CH_2	CHO
	Me	$(CH_2)_3$	H	n-Pr	CH_2CH_2	CH ₂ CN
	Me	(CH ₂) ₃	Me	n-Pr	CH ₂ CH ₂	$\mathrm{CH_2NMe_2}$
30	Me	(CH ₂) ₃	Et	c-Pr	CH ₂ CH ₂	H
	Me	(CH ₂) ₃	n-Pr	c-Pr	CH ₂ CH ₂	CH ₂ CN

	R ¹	B	R ³	R ¹	B	\mathbb{R}^3
5	CH ₂ -c-Pr	CH_2CH_2	CH ₂ CN	Et	CHCH	CH ₂ CN
	CH ₂ -c-Pr	CH ₂ CH ₂	H	Et	CHCH	CH_2NMe_2
	n-Pr	(CH ₂) ₃	H	n-Pr	CHCH	H
	n-Pr	(CH ₂) ₃	Me	n-Pr	CHCH	Me
	n-Pr	(CH ₂) ₃	CHO	n-Pr	CHCH	CHO
10	n-Pr	$(CH_2)_3$	CH ₂ CN	n-Pr	CHCH	CH ₂ CN
	n-Pr	$(CH_2)_3$	CH ₂ NMe ₂	n-Pr	CHCH	CH_2NMe_2
	c-Pr	$(CH_2)_3$	H	c-Pr	CHCH	H
	e-Pr	$(CH_2)_3$	CH ₂ CN	c-Pr	CHCH	CH ₂ CN
	CH ₂ -c-Pr	$(CH_2)_3$	H	CH ₂ -c-Pr		H
15	CH ₂ -c-Pr	$(CH_2)_3$	CH ₂ CN	CH ₂ -c-Pr	CHCH	CH ₂ CN
	Me	CHCH	H			
	Me	CHCH	Me			
	Me	CHCH	Et			
	Me	CHCH	n-Pr			
20	Me	CHCH	CHO			
	Me	CHCH	COMe			
	Me	CHCH	CH ₂ CN			
	Me	CHCH	CH ₂ NMe ₂	1		
	Me	CHCH	OMe			
25	Me	CHCH	SO ₂ Me			
	Me	CHCH	allyl			
	Me	CHCH	CH ₂ CCH			
	Et	CHCH	H			
	Et	CHCH	Me			
30	Et	CHCH	Et			
	Et	CHCH	CHO			

5 R¹S NO₂

10	R ¹	В	R ³	R1	B .	R ³
10	Me	CH_2CH_2	H	Me	$(CH_2)_3$	CHO
	Me	CH ₂ CH ₂	Me	Me	$(CH_2)_3$	COMe
	Me	CH ₂ CH ₂	Et	Me	$(CH_2)_3$	CH ₂ CN
	Me	CH ₂ CH ₂	n-Pr	Me	$(CH_2)_8$	CH_2NMe_2
15	Me	CH ₂ CH ₂	CHO	Me	$(CH_2)_3$	OMe
	Me	CH ₂ CH ₂	COMe	Me	$(CH_2)_3$	allyl
	Me	CH ₂ CH ₂	CH ₂ CN	Me	$(CH_2)_3$	CH ₂ CCH
	Me	CH ₂ CH ₂	CH_2NMe_2	Me	CH_2CH_2	CH ₂ CCH
	Me	CH_2CH_2	ОМе	Et	$(CH_2)_3$	H
20	Me	CH ₂ CH ₂	SO ₂ Me	Et	$(CH_2)_3$	Me
	Me	CH_2CH_2	allyl	Et	$(CH_2)_3$	Et
	Et	CH_2CH_2	H	Et	$(CH_2)_3$	CHO
	Et	CH ₂ CH ₂	Me	Et	$(CH_2)_3$	CH ₂ CN
	Et	CH_2CH_2	Et	Et	$(CH_2)_3$	CH ₂ NMe ₂
25	Et	CH_2CH_2	CHO	n-Pr	CH_2CH_2	H
	Et	CH_2CH_2	CH ₂ CN	n-Pr	CH_2CH_2	Me
	Et	CH_2CH_2	CH ₂ NMe ₂	n-Pr	CH_2CH_2	CHO
	Me	$(CH_2)_3$	H	n-Pr	CH_2CH_2	CH ₂ CN
	Me	$(CH_2)_3$	Me	n-Pr	CH_2CH_2	CH ₂ NMe ₂
30	Me	$(CH_2)_3$	Et	c-Pr	CH_2CH_2	H
-	Me	(CH ₂) ₃	n-Pr	c-Pr	CH_2CH_2	CH ₂ CN

	R1	Ė	R ³	R ¹	B	R ³
5	CH ₂ -c-Pr	CH_2CH_2	CH ₂ CN	Et	CHCH	CH ₂ CN
	CH_2 -c-Pr	CH_2CH_2	H	Et	CHCH	CH_2NMe_2
	n-Pr	$(CH_2)_3$	H	n-Pr	CHCH	H
	n-Pr	(CH ₂) ₃	Me	n-Pr	CHCH	Me
•	n-Pr	$(CH_2)_3$	СНО	n-Pr	CHCH	CHO
10	n-Pr	(CH ₂) ₃	CH ₂ CN	n-Pr	CHCH	CH ₂ CN
	n-Pr	(CH ₂) ₃	CH_2NMe_2	n-Pr	CHCH	${ m CH_2NMe_2}$
	c-Pr	$(CH_2)_3$	H	c-Pr	CHCH	H
	c-Pr	(CH ₂) ₃	CH ₂ CN	c-Pr	CHCH	CH ₂ CN
	$\mathrm{CH_{2^{-c}-Pr}}$	$(CH_2)_3$	H	CH2-c-Pr	CHCH	H
15	CH_2 -e-Pr	$(CH_2)_3$	CH ₂ CN	CH2-c-Pr	CHCH	CH ₂ CN
	Me	CHCH	H			
	Me	CHCH	Me			
	Me	CHCH	Et			
	Me	CHCH	n-Pr			
20	Me	CHCH	СНО			
	Me	CHCH	COMe			
	Me	CHCH	CH ₂ CN			
	Me	CHCH	CH ₂ NMe ₂			
	Me	CHCH	OMe			
2 5	Me	CHCH	SO ₂ Me			
	Me	CHCH	allyl			
	Me	CHCH	CH ₂ CCH			
	Et .	CHCH	H			
	Et	CHCH	Me			
30	Et	CHCH	E t			
	Et	CHCH	СНО			

10	\mathbb{R}^1	n	A	R ³
	Me	0	(CH ₂) ₃	H
	Me	o	(CH ₂) ₃	Me
	Me	0	(CH ₂) ₃	CHO
	Me	0	$(CH_2)_3$	CH ₂ CN
15	Me	1	CH_2CH_2	H
	Me	1	CH_2CH_2	Me
•	Me ^	1	$\mathrm{CH_2CH_2}$	CHO
	Me	1	CH_2CH_2	CH ₂ CN
	Me	1	(CH ₂) ₃	H
20	Me	1	(CH ₂) ₃	Me
	Me	1	(CH ₂) ₃	CHO
	Me	1	$(CH_2)_3$	CH ₂ CN
	Me	2	$\mathtt{CH_2CH_2}$	H
	Me	2	CH_2CH_2	Me
25	Me	2	$\mathtt{CH_2CH_2}$	CHO
•	Me	2	$\mathtt{CH_2CH_2}$	CH ₂ CN
•	Me	2	(CH ₂) ₃	Ħ
	Me	2	(CH ₂) ₃	Me
	Me	2	$(CH_2)_3$	CHO
30	Me	2	(CH ₂) ₃	CH ₂ CN

5 $R^{1}S(O)_{n}AN N-R^{3}$

10	R ¹	n	A	R 3
	Me	0	(CH ₂) ₃	H
	Me	0	(CH ₂) ₃	Me
	Me	0	$(CH_2)_3$	СНО
	Me	0	$(CH_2)_3$	CH ₂ CN
15	Me	1	CH ₂ CH ₂	H
	Me	1	CH_2CH_2	Me
	Me	1	CH ₂ CH ₂	CHO
	Me	1	CH ₂ CH ₂	CH ₂ CN
	Me	1	$(CH_2)_3$	H
20	Me	1	$(CH_2)_3$	Me
	Me	1	$(CH_2)_3$	CHO
	Me	1	$(CH_2)_3$	CH ₂ CN
	Me	2	CH_2CH_2	H
05	Me	2	$\mathtt{CH_2CH_2}$	Me
25	Me	2	CH_2CH_2	CHO
	Me	2	CH ₂ CH ₂	CH ₂ CN
	Me	2	$(CH_2)_3$	H
	Me	2	$(CH_2)_3$	Me
	Me	2	(CH ₂) ₃	СНО
3 0	Me	2	(CH ₂) ₃	CH ₂ CN

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10	R ¹	n	A	R 3
	Me	0	(CH ₂) ₃	H
	Me	0	(CH ₂) ₃	Me
	Me	0	(CH ₂) ₃	СНО
15	Me	0	(CH ₂) ₃	CH ₂ CN
	Me	1	CH ₂ CH ₂	Ħ
	Me	1	CH ₂ CH ₂	Me
	Me	1	CH ₂ CH ₂	- CHO
	Me	1	$\mathtt{CH_2CH_2}$	CH ₂ CN
20	Me	1	(CH ₂) ₃	н
	Me	1	(CH ₂) ₃	Me
	Me	1	(CH ₂) ₃	СНО
	Me	1	(CH ₂) ₃	CH ₂ CN
	Me	2	CH ₂ CH ₂	H
25	Me	2	CH ₂ CH ₂	Me
	Me	2	CH ₂ CH ₂	СНО
	Me	2	CH ₂ CH ₂	CH ₂ CN
	Me	2	(CH ₂) ₃	Н
	Me	2	(CH ₂) ₃	Me
30	Me	2	(CH ₂) ₃	CHO
	Me	2	(CH ₂) ₃	CH ₂ CN

	N_NO ₂
5	î
	$R^1S(O)_nAN$ $N-R^3$

10	\mathbf{R}^{1}	n	A	R 3
	Me	0	(CH ₂) ₃	н
	Me	0	(CH ₂) ₃	Me
	Me	0	(CH ₂) ₃	CHO
15	Me	0	(CH ₂) ₃	CH ₂ CN
	Me	1	CH ₂ CH ₂	H
	Me ,	1	CH ₂ CH ₂	Me
	Me	1	CH ₂ CH ₂	СНО
	Me	1	CH ₂ CH ₂	CH ₂ CN
20	Me	1.	(CH ₂) ₃	H.
	Me	1	$(CH_2)_3$	Me
	Me	1	$(CH_2)_3$	СНО
	Me	1	(CH ₂) ₃	CH ₂ CN
	Me	2	$\mathtt{CH_2CH_2}$	н
25	Me	2	CH ₂ CH ₂	Me
	Me	2	CH_2CH_2	СНО
	Me	2	CH ₂ CH ₂	CH ₂ CN
	Me	2	(CH ₂) ₃	н
	Me	2	(CH ₂) ₃	Me
30	Me	2	(CH ₂) ₃	CHO
	Me	2	(CH ₂) ₃	CH ₂ CN

5 R¹S(O)_nAN N—R³

	\mathbb{R}^1	n	A	R ³
10	Me	0	(CH ₂) ₃	H
	Me	0	(CH ₂) ₃	Me
	Me	0	$(CH_2)_3$	CHO
	Me	0	$(CH_2)_3$	CH ₂ CN
15	Me	. 1	${ m CH_2CH_2}$	H
20	Me .	1	CH_2CH_2	Me
	Me	1	$\mathtt{CH_2CH_2}$	CHO
	Me	1	CH_2CH_2	CH ₂ CN
	Me	1	(CH ₂) ₃	H
20	Me	1	$(CH_2)_3$	Me
	Me	1	(CH ₂) ₃	CHO
	Me	1	$(CH_2)_3$	CH ₂ CN
	Me	2	CH_2CH_2	H
	Me	2	CH_2CH_2	Me
25	Me.	2	CH_2CH_2	CHO
	Me	2	CH ₂ CH ₂	CH ₂ CN
	Me	2	(CH ₂) ₃	H
	Me	2	$(CH_2)_3$	Me
	Me	2	$(CH_2)_3$	CHO
30	Me	2	(CH ₂) ₃	CH ₂ CN

10	R ¹	n	A	R 3
10	Me	. 0	(CH ₂) ₃	H
	Me	0	(CH ₂) ₃	Me
	Me	0	(CH ₂) ₃	CHO
	Me	0	(CH ₂) ₃	CH ₂ CN
15	Me	1	$\mathrm{CH_2CH_2}$	H
	Me	1	$\mathrm{CH_2CH_2}$	Me
	Me	1	CH ₂ CH ₂	CHO
	Me	1	CH_2CH_2	CH ₂ CN
	Me	1	$(CH_2)_3$	H
20	Me	1	(CH ₂) ₃	Me
	Me	1	$(CH_2)_3$	CHO
	Me	1	(CH ₂) ₃	CH ₂ CN
	Me	2	CH ₂ CH ₂	H
	Me	2	CH_2CH_2	Me
25	Me.	2	$\mathrm{CH_2CH_2}$	CHO
	Me	2	$\mathrm{CH_2CH_2}$	CH ₂ CN
	Me	2	(CH ₂) ₃	н
	Me	2	(CH ₂) ₃	Me
	Me	2	$(CH_2)_3$	CHO
30	Me	2	$(CH_2)_3$	CH ₂ CN

INDEX TABLE 1

	CMPD	<u>Z</u>	\mathbb{R}^2	R ³	<u>R</u> ⁴	<u>m.p.°C</u>
10	1	CHNO ₂	H	Me	Me	oil
	2	CHNO ₂	H	Me	H	131.5-133ª
	3	CHNO ₂	H	Et	H	85-87
	4	CHNO ₂	Me	Me	H	oil
	5	CHNO ₂	H	$MeS(CH_2)_2$	H	142-143
15	6	CHNO ₂	H	CH ₂ -c-Pr	H	139-140
	7	CHNO ₂	н	n-Pr	H	99-100
	8	CHNO ₂	H	H	H	146-150 (dec)
	9	CHNO ₂	H	OMe	H	oil
	10	CHNO ₂	H	n-Bu	H	110-112
20	11	CHNO ₂	H	$Me_2N(CH_2)_2$	H	oil

a Recrystallization from ethanol provided material identical to the compound melting at 131.5-133°C by $^{1}{\rm H}$ and $^{13}{\rm C}$ NMR but with a melting point of 115-116°C.

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25

INDEX TABLE 2

5

10 R3 m.p.°C

12 H 75-77
13 Me oil

15

INDEX TABLE 3

20

25 B m.p.°C

14 CH₂CH₂ 102-104

15 CH₂CH₂CH₂ 120-122

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Formulation and Use

Th compounds f this inv ntion will generally be used in formulation with an agriculturally suitable carrier comprising a liquid or solid diluent or an organic solvent. Useful formulations of the compounds of Formula I can be prepared in conventional ways. They include dusts, granules, baits, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like. Many of these can be applied directly. Sprayable formulations can be extended in suitable media and used at spray volumes of from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations, broadly, contain from less than about 1% to 99% by weight of active ingredient(s) and at least one of a) about 0.1% to 20% surfactant(s) and b) about 5% to 99% solid or liquid diluent(s). More specifically, they will contain effective amounts of these ingredients in the following approximate proportions: Democrat by Weight

		Per	cent by Wei	g <u>ht</u>
20		Active Ingredient	Diluent(s)	Surfactant(s)
	Wettable Powders	25-90	0-74	1-10
25	Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates)	5-50	40-95	0-15
	Dusts	1-25	70-99	0-5
30	Granules, Baits and Pellets	0.01-95	5-99	0-15
	High Strength Compositions	90-99	0-10	0-2

Lower or higher levels of active ingredient can, of course, be
present depending on the intended use and the physical properties of the

compound. Higher ratios of surfactant to active ingredient are sometimes desirable, and are achieved by incorporation into the formulation or by tank mixing.

Typical solid diluents are described in Watkins, et al., "Handbook 5 of Insecticide Dust Diluents and Carriers", 2nd Ed., Dorland Books, Caldwell, New Jersey. The more absorptive diluents are preferred for wettable powders and the denser ones for dusts. Typical liquid diluents and solvents are described in Marsden, "Solvents Guide," 2nd Ed., Interscience, New York, 1950. Solubility under 0.1% is preferred for 10 suspension concentrates; solution concentrates are preferably stable against phase separation at 0°C. "McCutcheon's Detergents and Emulsifiers Annual", Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, "Encyclopedia of Surface Active Agents", Chemical Publ. Co., Inc., New York, 1964, list surfactants and 15 recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, etc. Preferably, ingredients should be approved by the U.S. Environmental Protection Agency for the use 20 intended.

The methods of making such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer or fluid energy mill. Suspensions are prepared by wet milling 25 (see, for example, U.S. 3,060,084). Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See J. E. Browning, "Agglomeration", Chemical Engineering, December 4, 1967, pages 147 and following, and "Perry's Chemical Engineer's Handbook", 4th Ed., McGraw-Hill, New York, 1963, pages 8 to 59 and following.

Example A

Emulsifiable Concentrate

N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

5 1,1-ethenediamine

20%

blend of oil soluble sulfonates

and polyoxyethylene ethers

10%

isophorone

70%

The ingredients are combined and stirred with gentle warming to speed solution. A fine screen filter is included in packaging operation to insure the absence of any extraneous undissolved material in the product.

Example B

15 Wettable Powder

N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

1,1-ethenediamine

30%

sodium alkylnaphthalenesulfonate

2%

synthetic amorphous silica

3%

20 kaolinite

63%

The active ingredient is mixed with the inert materials in a blender. After grinding in a hammermill, the material is re-blended and sifted through a 50 mesh screen.

25

Example C

Dust

Wettable powder of Example B

10%

pyrophyllite (powder)

90%

The wettable powder and the pyrophyllite diluent are thoroughly blended and then packaged. The product is suitable for use as a dust.

Example D

Granule

N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

35 1,1-ethenediamine

10%

attapulgite granules (low volative matter, 0.71/0.30 mm; U.S.S. N .

25-50 sieves)

90%

The active ingredient is dissolved in a volatile solvent such as acetone and sprayed upon dedusted and pre-warmed attapulgite granules in a double cone blender. The acetone is then driven off by heating. The granules are then allowed to cool and are packaged.

10

Б

Example E

Granule

Wett able powder of Example B 15% gypsum 69% potassium sulfate 16%

The ingredients are blended in a rotating mixer and water sprayed on to accomplish granulation. When most of the material has reached the desired range of 0.1 to 0.42 mm (U.S.S. No. 18 to 40 sieves), the granules are removed, dried, and screened. Oversize material is crushed to produce additional material in the desired range. These granules contain 4.5% active ingredient.

Example F

Solution

N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

25 1.1-ethenediamine

25%

N-methyl-pyrrolidone

75%

The ingredients are combined and stirred to produce a solution suitable for direct, low volume application.

30

35

Example G

Aqueous Suspension

N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

1,1-ethenediamine	2.0%
Isopropyl phosphoric ester	0.2%
White Carbon	1.0%

Fin ly powdered talc

96.8%

The ingr dients ar thoroughly blended and pulveriz d to mak a driftless dust. The material can then be packaged.

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Example H

Oil Suspension

N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

1,1-ethenediamine

35.0%

10 blend of polyalcohol carboxylic

6.0%

esters and oil soluble petroleum

sulfonates

xylene range solvent

59.0%

The ingredients are combined and ground together in a sand mill to produce particles substantially all below 5 microns. The product can 15 be used directly, extended with oils, or emulsified in water.

Example I

Bait Granules

20 N-methyl-N'-[2-(methylthio)ethyl]-2-nitro-

1.1-ethenediamine

3.0%

blend of polyethoxylated nonyl-

9.0%

phenols and sodium dodecyl-

benzene sulfonates

25

30

ground up corn cobs

88.0%

The active ingredient and surfactant blend are dissolved in a suitable solvent such as acetone and sprayed onto the ground corn cobs. The granules are then dried and packaged.

Compounds of Formula I can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of effective agricultural protection. Examples of other agricultural protectants with which compounds of this invention can be formulated are:

T_	se			•		
10	90	~	~	М		•
-	į	~~		34	-	٠

- ${\bf 3-hydroxy-N-methylcrotonamide} ({\bf dimethylphosphate}) {\bf ester} \\ {\bf (monocrotophos)}$
- 5 methylcarbamic acid, ester with 2,3-dihydro-2,2dimethyl-7-benzofuranol (carbofuran)
 - O-[2,4,5-trichloro-a-(chloromethyl)benzyl]phosphoric acid, O',O'-dimethyl ester (tetrachlorvinphos)
 - 2-mercaptosuccinic acid, diethyl ester, S-ester with
- thionophosphoric acid, dimethyl ester (malathion)
 phosphorothioic acid, O,O-dimethyl, O-g-nitrophenyl
 ester (methyl parathion)
 - methylcarbamic acid, ester with a-naphthol (carbaryl) methyl O-(methylcarbamoyl)thiolacetohydroxamate
- 15 (methomyl)
 - N'-(4-chloro-o-tolyl)-N,N-dimethylformamidine (chlordimeform)
 - O,O-diethyl-O-(2-isopropyl-4-methyl-6-pyrimidylphosphorothioate (diazinon)
- 20 octachlorocamphene (toxaphene)
 - O-ethyl-O-p-nitrophenyl phenylphosphonothioate (EPN)
 - (S)-a-cyano-m-phenoxybenzyl-(1R,3R)-3-(2,2-dibromovinyl)-2,2-dimethylcyclopropanecarboxylate (deltamethrin)
- 25 Methyl-N',N'-dimethyl-N-[(methylcarbamoyl)oxy]-1-thioox amimidate (oxamyl)
 - cyano(3-phenoxyphenyl)-methyl-4-chloro-a-(1-methylethyl)benzeneacetate (fenvalerate)
- (3-phenoxyphenyl)methyl(±)-<u>cis,trans</u>-3-(2,2-dichloro 30 ethenyl)-2,2-dimethylcyclopropanecarboxylate (permethrin)
 - a-cyano-3-phenoxybenzyl 3-(2,2-dichlorovinyl)-2,2-dimethylcyclopropanecarboxylate (cypermethrin)
- O-ethyl-S-(p-chlorophenyl)ethylphosphonodithioate
 (profenofos)

phosphorothiolothionic acid, O-ethyl-O-[4-(methylthio)-phenyl]-S-n-propyl ester (sulprof s).

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Additional insecticides are listed hereafter by their common names: triflumuron, diflubenzuron, methoprene, buprofezin, thiodicarb, acephate, azinphosmethyl, chlorpyrifos, dimethoate, fonophos, isofenphos, methidathion, methamidiphos, monocrotphos, phosmet, phosphamidon, phosalone, pirimicarb, phorate, terbufos, trichlorfon, methoxychlor, bifenthrin, biphenate, cyfluthrin, fenpropathrin, fluvalinate, flucythrinate, tralomethrin, metal-dehyde and rotenone.

Fungicides:

15 methyl 2-benzimidazolecarbamate (carbendazim)
tetramethylthiuram disulfide (thiuram)
n-dodecylguanidine acetate (dodine)
manganese ethylenebisdithiocarbamate (maneb)
1,4-dichloro-2,5-dimethoxybenzene (chloroneb)

20 methyl 1-(butylcarbamoyl)-2-benzimidazolecarbamate (benomyl)

1-[2-(2,4-dichlorophenyl)-4-propyl-1,3-dioxolan-2-ylmethyl]-1H-1,2,4-triazole (propiconazole)
2-cyano-N-ethylcarbamoyl-2-methoxyiminoacetamide

25 (cymoxanil)

1-(4-chlorophenoxy)-3,3-dimethyl-1-(1H-1,2,4-triazol-1-yl)-2-butanone (triadimefon)

 ${\bf N-(trichloromethylthio)} tetrahydrophthalimide~(captan)$

N-(trichloromethylthio)phthalimide (folpet)

30 1-[[[bis(4-fluorophenyl)][methyl]silyl]methyl]-1H-1,2,4-triazole.

Nematocides:

S-methyl-1-(dimethyl carbamoyl)-N-(methyl carbamoyloxy)-

35 thioformimidate

S-methyl 1-carbamoyl-N-(methylcarbamoyloxy)thioformimidate N-isopropylphosphoramidic acid O-ethyl O'-[4-(methylthio)-m-tolyl]diester (fenamiphos)

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Bactericides:

tribasic copper sulfate streptomycin sulfate

10 Acaricides:

senecioic acid, ester with 2-<u>sec</u>-butyl-4,6-dinitrophenol (binapacryl)

6-methyl-1,3-cithiolo[4,5-ß]quinoxalin-2-one (oxythioquinox)

ethyl 4,4'-dichlorobenzilate (chlorobenzilate)
1,1-bis(p-chlorophenyl)-2,2,2-trichloroethanol
(dicofol)

bis(pentachloro-2,4-cyclopentadien-1-yl) (dienochlor) tricyclohexyltin hydroxide (cyhexatin)

trans-5-(4-chlorophenyl)-N-cyclohexyl-4-methyl-2-oxothiazolidine-3-carboxamide (hexythiazox) amitraz

propargite fenbutatin-oxide

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Biological

Bacillus thuringiensis

Avermectin B.

30 Utility

The compounds of this invention exhibit activity in agricultural and non-agricultural environments against a wide spectrum of foliar and soil-inhabiting arthropods which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and

animal h alth. The c mpounds ar particularly useful against planthoppers and leafhoppers. Those skilled in the art will recognize that not all compounds are equally effective against all pests but the compounds of this invention display activity against:

Larvae of the order Lepidoptera including fall and beet armyworm and other Spodoptera spp., tobacco budworm, corn earworm and other Heliothis spp., European corn borer, navel orangeworm, stalk/stem borers and other pyralids, cabbage and soybean loopers and other loopers, codling moth, grape berry moth and other tortricids, black cutworm, spotted cutworm, other cutworms and other noctuids, diamondback moth, green cloverworm, velvetbean caterpillar, green cloverworm, pink bollworm, gypsy moth, and spruce budworm;

Foliar feeding larvae and adults of the order <u>Coleoptera</u> including Colorado potato beetle, Mexican bean beetle, flea beetle, Japanese beetles, boll weevil, leaf beetles including rice beetle, and root-feeding insects such as the rice water and rice root weevils, <u>Diabrotica</u> spp., Japanese beetle, European chafer and other <u>coleopteran</u> grubs and wireworms;

Adults and nymphs of the orders Hemiptera and Homoptera including brown planthopper, small brown planthopper, green leafhopper and other rice plant and leafhoppers, other leafhoppers (Cicadellidae) and planthoppers (Superfamily Fulgoroidea especially Cixiidae, Delphacidae, Flatidae, Fulgoridae, Issidae and Meenoplidae), tarnished plant bugs (Miridae), stink bugs (Pentatomidae), cinch, rice and other seed bugs (Lygaeidae), squash bugs (Coreidae), rice bugs (Alydidae), red bugs and cotton stainers (Pyrrhocoridae), aphids (Aphididae), scales (Coccidae and Diaspididae), lace bugs (Tingidae), cicadas (Cicadidae), spittlebugs (Cercopidae), whiteflies (Aleurodidae), psyllids (Psyllidae), phylloxerans (Phylloxeridae) and mealybugs (Pseudococcidae);

Adults and nymphs of the order Thripidae;

Adults, larvae and eggs of the order <u>acari</u> (mites) including European red mite, two spotted spider mite, rust mites, McDaniel mite, and foliar feed mites;

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Adults and immatures of the order <u>Orthoptera</u> including grasshoppers;

Adults and immatures f the order <u>Diptera</u> including leafminers, midges, fruit flies (<u>tephritidae</u>), and soil maggots;

Adults and immatures of the order <u>Thysanoptera</u> including onion thrips and other foliar feeding thrips;

Insect pests of the order <u>Hymenoptera</u> including carpenter ants, bees, hornets and wasps;

Insect pests of the order <u>Diptera</u> including house flies, stable flies, face flies, horn flies, blow flies, and other muscoid fly pests, horse flies, deer flies and other <u>Brachycera</u>, mosquitoes, black flies, biting midges, sand flies, sciarids, and other <u>Nematocera</u>;

Insect pests of the order <u>Orthoptera</u> including cockroaches and crickets;

Insect pests of the order <u>Isoptera</u> including the Eastern subterranean termite and other termites;

Insect pests of the order <u>Mallophaga</u> and <u>Anoplura</u> including the head louse, body louse, chicken head louse and other sucking and chewing parasitic lice that attack man and animals; and

Insect pests of the order <u>Siphonoptera</u> including the cat flea, dog flea and other fleas.

A more preferred spectrum of activity for the compounds of this invention are foliar and soil-inhabiting arthropods which are pests of agronomic crops, as well as greenhouse, ornamental, nursery and fruit crops. The compounds of this invention display activity against economically important agronomic, greenhouse, ornamental, fruit and nursery crop pests.

The specific species for which control is exemplified are: aster leafhopper (Macrosteles fascifrons), rice planthopper (Sogatodes orzicola), black been aphid (Aphis fabae), and southern corn rootworm (Diabrotica undecimpunctata). The pest control protection afforded by compounds of the present invention is not limited, however, to these species.

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Application

Arthropod p sts are controll d by applying one or more of th Formula I compounds of this invention, in an effective amount, to the locus of infestation, to the area to be protected, or directly on the pests to be controlled. Because of the diversity of habitat and behavior of these arthropod pest species, many different methods of application are employed. A preferred method of application is by spraying with equipment that directs the compound to the environment of the pests, on the foliage, in the soil or paddy, to the plant part that is infested or needs to be protected. Alternatively, granular formulations of these compounds can be applied to or incorporated into the soil, paddy or nursery box. Other methods of application can also be employed including direct and residual sprays.

The compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds in a carrier that may include diluents and/or surfactants in a formulation compatible with agronomic and nonagronomic utility. Preferred methods of application involve spraying a water dispersion, refined oil solution or dust containing the compound.

The rate of application of the Formula I compounds required for effective control will depend on such factors and the species of arthropod to be controlled, the pest's life cycle, life stage, location, time of year, host crop, feeding and mating behavior, ambient moisture and temperature, and the like. In general, application rates of 0.55-0.055 kg of active ingredient per hectare are sufficient to provide large-scale effective control of pests in agronomic ecosystems under normal circumstances. Application rates as low as about 0.1 mg/sq meter or less up to about 150 mg/sq meter or more can be employed on arthropods in a nonagronomic environment such as the household or other building or nonagronomic locus.

The following Examples demonstrate the control efficacy of compounds of Formula I on specific pests; See Table 1 for compound descriptions. Compounds not listed with data were either not screened

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on that test speci s or produc d less than 80% mortality when tested as described in Examples 3 and 4.

EXAMPLE 3

5 Aster Leafhopper

Test units were prepared from a series of 12 oz. (350 ml) cups, each containing oat (Avena satavia) seedlings in a 1-inch layer of sterilized soil. Solutions of test compounds were prepared in a 75 acetone:25 water solvent and applied to the seedlings with a hydraulic sprayer by passing three sets of cups on a conveyor belt, beneath a flat-fan nozzle calibrated to deliver 0.055 kg/HA at 30 psi (207 kPa). Approximately 1 hour after treatment, a thin layer of sand was placed over the soil in each cup, the units capped and 10-20 adult aster leafhoppers (Macrosteles fascifrons) each aspirated into the cups. The units were held at 27°C, 50% RH and 14L:10D for 48 hours, after which time mortality readings were taken. The following table lists the activity of the compounds against aster leafhopper.

EXAMPLE 4

20 Rice Planthopper

The test procedure of Example 3 was repeated for efficacy against adults of the rice planthopper (Sogatodes orzicola) except four sets of cups containing rice (Oryza satavia) seedlings were treated. The sprayer was calibrated to deliver 0.055 kg/HA. The results are tabulated below.

25

	Compound	T. % Mortality <u>Leafhopper</u>	ABLE % Mortality Planthopper
	1	100	<80
30	2	100	100
	14	100	100
	15	98	82

CLAIMS

What is claimed is:

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1. An arthropodicidal composition comprising, as active ingredient, a compound of the formula:

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$$\begin{array}{c|c}
z \\
R^{1-X-A-N} & R^{3} \\
\downarrow & \downarrow \\
R^{2} & R^{4}
\end{array}$$

I

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in an amount effective to control planthoppers and leafhoppers, and a carrier therefor, wherein:

Z is selected from the group CHNO2 and NNO2;

X is selected from $S(O)_n$;

20

A is selected from the group C_1 - C_4 alkylene optionally substituted with C_1 - C_3 alkyl, C_2 - C_3 alkoxycarbonyl, halogen and CN;

R¹ is selected from the group C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl and C₄-C₆ cycloalkylalkyl;

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n is 0, 1 or 2;

R² and R³ are independently selected from the group H, CH₂CN, C₁-C₄ alkyl, CHO, C₂-C₄ alkylcarbonyl, C₂-C₃ alkoxycarbonyl C₂-C₄ alkoxyalkyl, C₃-C₆ dialkoxyalkyl, C₁-C₃ alkoxy, C₁-C₃ alkylsulfonyl, C₃-C₄ alkenyl, C₃-C₄ alkynyl, C₁-C₄ alkylamino, C₂-C₄ dialkylamino and benzyl substituted with R⁵;

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R⁴ is selected from the group C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl and C₄-C₆ cycloalkylalkyl; or

	$ m R^2$ and $ m R^4$ can be taken together as $ m C_2 ext{-}C_3$ alkylene or $ m C_2 ext{-}C_3$ alkenylene each optionally substituted with 1-4 $ m C_1 ext{-}C_2$ alk	yl;
	and	
5	$ m R^{5}$ is selected from the group halogen, $ m C_{1} ext{-}C_{2}$ alkyl, $ m C_{1} ext{-}C_{2}$	
	haloalkyl, C_1 - C_2 alkoxy, C_1 - C_2 thioalkyl, C_1 - C_2	
	halothicalkyl, C ₁ -C ₂ haloalkoxy, NO ₂ and CN.	
	and CN.	
10	2. A composition according to Claim 1 wherein Z is CHNO2.	,
	3. A composition according to Claim 1 wherein Z is NNO2.	
	4. A composition according to Claim 2 wherein: A is CH ₂ CH ₂ ;	
15	\mathbb{R}^{1} is selected from the group \mathbb{C}_{1} - \mathbb{C}_{4} alkyl;	
	$ m R^2$ and $ m R^3$ are independently selected from the group H $ m C_1\text{-}C_4$ alkyl, $ m C_2\text{-}C_3$ alkoxycarbonyl and $ m C_2\text{-}C_4$	I,
	alkylcarbonyl; and	
20	\mathbb{R}^4 is selected from the group \mathbb{C}_1 - \mathbb{C}_4 alkyl.	
	$5.$ A composition according to Claim 2 wherein $ m R^2$ and $ m R^4$ are taken together and independently selected from the group $ m C_2\text{-}C_3$	
	alkylene and C_2 - C_3 alkenylene, each optionally substituted by 1-4 C_1 -(C.
25	alkyl.	-4
	6. A composition according to Claim 4 wherein X is S.	
	7. A composition according to Claim 5 wherein X is S.	
30	8. A method for controlling planthoppers and leafhoppers	

which comprises applying to them or to their environment an effective

amount of a compound of the formula:

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wherein:

Z is selected from the group CHNO2 and NNO2:

X is selected from $S(0)_n$;

A is selected from the group C_1 - C_4 alkylene optionally substituted with C_1 - C_3 alkyl, C_2 - C_3 alkoxycarbonyl, halogen and CN;

 $m R^{1}$ is selected from the group C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl and C₄-C₆ cycloalkylalkyl;

n is 0, 1 or 2;

R² and R³ are independently selected from the group H, CH₂CN, C₁-C₄ alkyl, CHO, C₂-C₄ alkylcarbonyl, C₂-C₃ alkoxycarbonyl C₂-C₄ alkoxyalkyl, C₃-C₆ dialkoxyalkyl, C₁-C₃ alkoxy, C₁-C₃ alkylsulfonyl, C₃-C₄ alkenyl, C₃-C₄ alkynyl, C₁-C₄ alkylamino, C₂-C₄ dialkylamino and benzyl substituted with R⁵;

25 R⁴ is selected from the group C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₃-C₆ cycloalkyl and C₄-C₆ cycloalkylalkyl; or

 $m R^2$ and $m R^4$ can be taken together as $m C_2\text{-}C_3$ alkylene or $m C_2\text{-}C_3$ alkenylene each optionally substituted with 1-4 $m C_1\text{-}C_2$ alkyl; and

30 R^5 is selected from the group halogen, C_1 - C_2 alkyl, C_1 - C_2 haloalkyl, C_1 - C_2 alkoxy, C_1 - C_2 thioalkyl, C_1 - C_2 haloalkoxy, NO_2 and CN.

9. A method according to Claim 8 wherein Z is CHNO2.

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A method according to Claim 8 wherein Z is NNO_2 . **10**. 11. A method according to Claim 9 wherein: A is CH₂CH₂; 5 R^1 is selected from the group C_1 - C_4 alkyl; ${\bf R}^2$ and ${\bf R}^3$ are independently selected from the group H, C₁-C₄ alkyl, C₂-C₃ alkoxycarbonyl and C₂-C₄ alkylcarbonyl; and 10 R^4 is selected from the group C_1 - C_4 alkyl. A method according to Claim 9 wherein R² and R⁴ are taken together and independently selected from the group C2-C3 alkylene and C_2 - C_3 alkenylene, each optionally substituted by 1-4 C_1 - C_4 alkyl. 15 13. A method according to Claim 11 wherein X is S. 14. A method according to Claim 12 wherein X is S. 20 25

International Application No 1. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) PCT/US 91/03118 According to International Patent Classification (IPC) or to both National Classification and IPC Int.C1.5 A 01 N 35/08 A 01 N 43/50 A 01 N 43/54 A 01 N 51/00 II. FIELDS SEARCHED Minimum Documentation Searched? Classification System Classification Symbols Int.C1.5 A 01 N Documentation Searched other than Minimum Documentation to the Extent that such Documents are included in the Fields Searched III. DOCUMENTS CONSIDERED TO BE RELEVANT? Citation of Document, 11 with indication, where appropriate, of the relevant passages 12 Relevant to Claim No.13 X GB,A,1483633 (SHELL) 24 August 1977, see claims 1,15-18; page 4, lines 113-118 (cited 1,2,5,7 in the application) ,8,9,12 , 14 FR,A,2322849 (SHELL) 1 April 1977, see claims 2,5 & US-A-4 025 529 (cited in the X 1,2,4-9 ,11-14 application) EP,A,0302389 (TAKEDA CHEMICAL INDUSTRIES) 8 February 1989, see claim 12, page X 1,2,8,9 3, line 5 - page 5, line 39; page 42, line 31 (cited in the application) X.P EP,A,0381130 (TAKEDA CHEMICAL INDUSTRIES) 8 August 1990, see page 5, formula V; 1,2,8,9 page 6, lines 13-18; page 20, lines 1-3 Special categories of cited documents: 10 "I" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the "A" document defining the general state of the art which is not considered to be of particular relevance earlier document but published on or after the international filing date "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the arm "O" document referring to an oral disclosure, use, exhibition or other means document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family IV. CERTIFICATION Date of the Actual Completion of the International Search Date of Mailing of this International Search Report 27-08-1991 04 OCT 1991 International Searching Authority Signature of Authorized Officer EUR PEAN PATENT OFFICE

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